Welcome to STN International! Enter x:x

LOGINID:ssspta1600rxa

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS 1		Web Page URLs for STN Seminar Schedule - N. America
NEWS 2	Apr 08	"Ask CAS" for self-help around the clock
NEWS 3	Apr 09	BEILSTEIN: Reload and Implementation of a New Subject Area
NEWS 4	Apr 09	ZDB will be removed from STN
NEWS 5	Apr 19	US Patent Applications available in IFICDB, IFIPAT, and IFIUDB
NEWS 6	Apr 22	Records from IP.com available in CAPLUS, HCAPLUS, and ZCAPLUS
NEWS 7	Apr 22	BIOSIS Gene Names now available in TOXCENTER
NEWS 8	Apr 22	Federal Research in Progress (FEDRIP) now available
NEWS 9	Jun 03	New e-mail delivery for search results now available
NEWS 10	Jun 10	MEDLINE Reload
NEWS 11	Jun 10	PCTFULL has been reloaded
NEWS 12	Jul 02	FOREGE no longer contains STANDARDS file segment
NEWS 13	Jul 22	USAN to be reloaded July 28, 2002; saved answer sets no longer valid
NEWS 14	Jul 29	Enhanced polymer searching in REGISTRY
NEWS 15	Jul 30	NETFIRST to be removed from STN
NEWS 16	Aug 08	CANCERLIT reload
NEWS 17	Aug 08	PHARMAMarketLetter(PHARMAML) - new on STN
NEWS 18	Aug 08	NTIS has been reloaded and enhanced
NEWS 19	Aug 19	Aquatic Toxicity Information Retrieval (AQUIRE) now available on STN
NEWS 20	Aug 19	IFIPAT, IFICDB, and IFIUDB have been reloaded
NEWS 21	Aug 19	The MEDLINE file segment of TOXCENTER has been reloaded
NEWS 22	Aug 26	Sequence searching in REGISTRY enhanced
NEWS 23	Sep 03	JAPIO has been reloaded and enhanced
NEWS 24	Sep 16	Experimental properties added to the REGISTRY file
NEWS 25	Sep 16	Indexing added to some pre-1967 records in CA/CAPLUS
NEWS 26	Sep 16	CA Section Thesaurus available in CAPLUS and CA
NEWS 27	Oct 01	CASREACT Enriched with Reactions from 1907 to 1985
NEWS 28	Oct 21	EVENTLINE has been reloaded
NEWS 29	Oct 24	BEILSTEIN adds new search fields
NEWS 30	Oct 24	Nutraceuticals International (NUTRACEUT) now available on STN
NEWS 31	Oct 25	MEDLINE SDI run of October 8, 2002

NEWS EXPRESS	October 14 CURRENT WINDOWS VERSION IS V6.01, CURRENT MACINTOSH VERSION IS V6.0a(ENG) AND V6.0Ja(JP), AND CURRENT DISCOVER FILE IS DATED 01 OCTOBER 2002
NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS INTER	General Internet Information
NEWS LOGIN	Welcome Banner and News Items
NEWS PHONE	Direct Dial and Telecommunication Network Access to STN
NEWS WWW	CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

Examiner Anderson 703-605-1157

* * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 15:03:01 ON 15 NOV 2002

=> fil reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 15:03:09 ON 15 NOV 2002
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 14 NOV 2002 HIGHEST RN 473658-67-2
DICTIONARY FILE UPDATES: 14 NOV 2002 HIGHEST RN 473658-67-2

TSCA INFORMATION NOW CURRENT THROUGH MAY 20, 2002

Please note that search-term pricing does apply when conducting SmartSELECT searches.

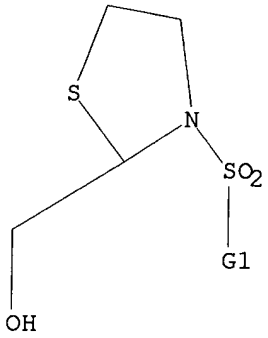
Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=>
Uploading 10007342b.str

L1 STRUCTURE UPLOADED

=> d
L1 HAS NO ANSWERS
L1 STR



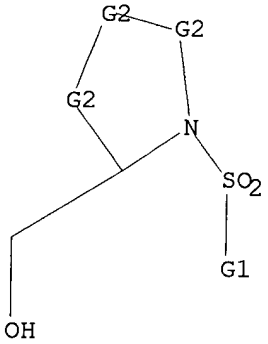
G1 Cy,Ak

Structure attributes must be viewed using STN Express query preparation.

=>
Uploading 10007342b.str

L2 STRUCTURE UPLOADED

=> d
L2 HAS NO ANSWERS
L2 STR



G1 Cy,Ak
G2 C,S

Structure attributes must be viewed using STN Express query preparation.

=> s l2 full
FULL SEARCH INITIATED 15:03:43 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 16165 TO ITERATE

100.0% PROCESSED 16165 ITERATIONS 4331 ANSWERS
SEARCH TIME: 00.00.04

L3 4331 SEA SSS FUL L2

Examiner Anderson 703-605-1157

```
=> s l1 full
FULL SEARCH INITIATED 15:03:58 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -    641 TO ITERATE

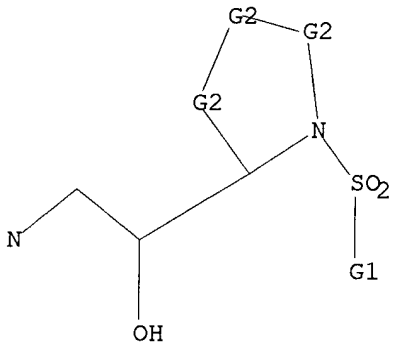
100.0% PROCESSED     641 ITERATIONS                          27 ANSWERS
SEARCH TIME: 00.00.01

L4            27 SEA SSS FUL L1

=>
Uploading 10007342b.str

L5       STRUCTURE UPLOADED

=> d
L5 HAS NO ANSWERS
L5                STR
```



G1 Cy,Ak

G2 C,S

Structure attributes must be viewed using STN Express query preparation.

```
=> s l5 subset=l3 full
FULL SUBSET SEARCH INITIATED 15:04:52 FILE 'REGISTRY'
FULL SUBSET SCREEN SEARCH COMPLETED -      4 TO ITERATE

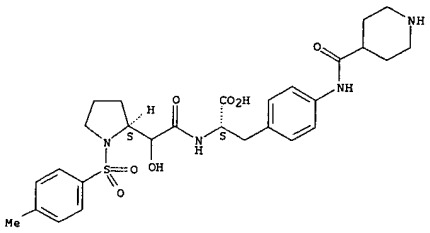
100.0% PROCESSED       4 ITERATIONS                          2 ANSWERS
SEARCH TIME: 00.00.01

L6            2 SEA SUB=L3 SSS FUL L5

=> d scan
```

L6 2 ANSWERS REGISTRY COPYRIGHT 2002 ACS
IN L-Phenylalanine, N-[hydroxy[(2S)-1-[(4-methylphenyl)sulfonyl]-2-pyrrolidinyl]acetyl]-4-[(4-piperidinylcarbonyl)amino]- (9CI)
MF C28 H36 N4 O7 S

Absolute stereochemistry.



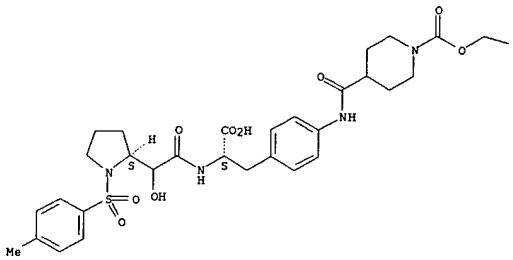
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L6 2 ANSWERS REGISTRY COPYRIGHT 2002 ACS
IN 1-Piperidinecarboxylic acid, 4-[[[4-[(2S)-2-carboxy-2-[[hydroxy[(2S)-1-[(4-methylphenyl)sulfonyl]-2-pyrrolidinyl]acetyl]amino]ethyl]phenyl]amino]carbonyl]-, 1-(phenylmethyl) ester (9CI)
MF C36 H42 N4 O9 S

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

Ph

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

ALL ANSWERS HAVE BEEN SCANNED

=> fil caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	314.37	314.58

FILE 'CAPLUS' ENTERED AT 15:05:20 ON 15 NOV 2002
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PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 15 Nov 2002 VOL 137 ISS 21
FILE LAST UPDATED: 14 Nov 2002 (20021114/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

CAS roles have been modified effective December 16, 2001. Please check your SDI profiles to see if they need to be revised. For information on CAS roles, enter HELP ROLES at an arrow prompt or use the CAS Roles thesaurus (/RL field) in this file.

=> s l6
L7 1 L6

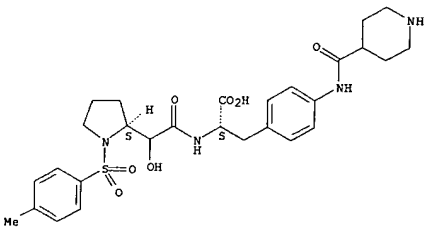
=> d ibib abs hitstr

L7 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:513717 CAPLUS
DOCUMENT NUMBER: 133:129895
TITLE: Compounds which inhibit leukocyte adhesion mediated by VLA-4
INVENTOR(S): Ashwell, Susan; Baudy, Reinhardt Bernhard; Pleiss, Michael A.; Sarantakis, Dimitrios; Thorsett, Eugene D.
PATENT ASSIGNEE(S): Elan Pharmaceuticals, Inc., USA; American Home Products Corporation
SOURCE: PCT Int. Appl., 163 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000043415	A1	20000727	WO 2000-US1603	20000121
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1150997	A1	20011107	EP 2000-911618	20000121
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 6436904	B1	20020820	US 2000-489589	20000121
PRIORITY APPLN. INFO.:			US 1999-183055P P	19990125
			US 1999-237473 A1	19990125
			WO 2000-US1603 W	20000121

OTHER SOURCE(S): MARPAT 133:129895
AB Compds. are disclosed which bind VLA-4. Certain of these compds. also inhibit leukocyte adhesion and, in particular, leukocyte adhesion mediated by VLA-4. The compds. are useful in the treatment of inflammatory diseases in a mammalian patient, e.g., human, such as asthma, Alzheimer's disease, atherosclerosis, AIDS dementia, diabetes, inflammatory bowel disease, rheumatoid arthritis, tissue transplantation, tumor metastasis and myocardial ischemia. The compds. can also be administered for the treatment of inflammatory brain diseases such as multiple sclerosis. Prepn. of compds. of the invention, e.g. N-[N-(toluene-4-sulfonyl)-L-pyrrolidin-2-ylmethyl]-L-phenylalanine, is described.
IT **286454-56-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(VLA-4-mediated leukocyte adhesion inhibitors, prepn., and therapeutic use)
RN 286454-56-6 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[4-[(2S)-2-carboxy-2-[[hydroxy[(2S)-1-[(4-methylphenyl)sulfonyl]-2-pyrrolidinyl]acetyl]amino]ethyl]phenyl]amino]carb

L7 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2002 ACS (Continued)

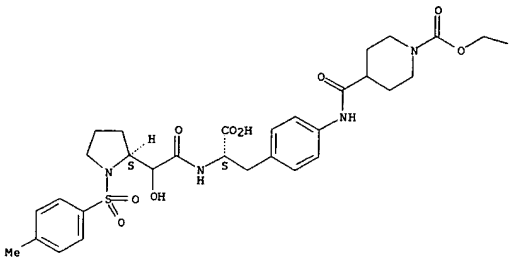


REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2002 ACS (Continued)
onyl]-, 1-(phenylmethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

Ph

IT **286454-57-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(VLA-4-mediated leukocyte adhesion inhibitors, prepn., and therapeutic use)
RN 286454-57-7 CAPLUS
CN L-Phenylalanine, N-[hydroxy[(2S)-1-[(4-methylphenyl)sulfonyl]-2-pyrrolidinyl]acetyl]-4-[(4-piperidinylcarbonyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

=> fil reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	5.58	320.16

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-0.62	-0.62

FILE 'REGISTRY' ENTERED AT 15:07:17 ON 15 NOV 2002

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 14 NOV 2002 HIGHEST RN 473658-67-2
DICTIONARY FILE UPDATES: 14 NOV 2002 HIGHEST RN 473658-67-2

TSCA INFORMATION NOW CURRENT THROUGH MAY 20, 2002

Please note that search-term pricing does apply when conducting SmartSELECT searches.

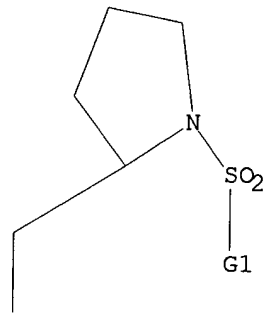
Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=>
Uploading 10007342b.str

L8 STRUCTURE UPLOADED

=> d
L8 HAS NO ANSWERS
L8 STR



G1 Cy,Ak

G2 C,S

Structure attributes must be viewed using STN Express query preparation.

```
=> s l8 subset=l3 full
FULL SUBSET SEARCH INITIATED 15:07:33 FILE 'REGISTRY'
FULL SUBSET SCREEN SEARCH COMPLETED -   4077 TO ITERATE

100.0% PROCESSED    4077 ITERATIONS                          4069 ANSWERS
SEARCH TIME: 00.00.02

L9          4069 SEA SUB=L3 SSS FUL L8

=> s l3 not l9
L10         262 L3 NOT L9

=> fil caplus
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                   ENTRY    SESSION
FULL ESTIMATED COST                               33.43     353.59

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)    SINCE FILE      TOTAL
                                                   ENTRY    SESSION
CA SUBSCRIBER PRICE                                0.00      -0.62

FILE 'CAPLUS' ENTERED AT 15:08:02 ON 15 NOV 2002
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PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2002 AMERICAN CHEMICAL SOCIETY (ACS)
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FILE COVERS 1907 - 15 Nov 2002 VOL 137 ISS 21
FILE LAST UPDATED: 14 Nov 2002 (20021114/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

CAS roles have been modified effective December 16, 2001. Please check your SDI profiles to see if they need to be revised. For information on CAS roles, enter HELP ROLES at an arrow prompt or use the CAS Roles thesaurus (/RL field) in this file.

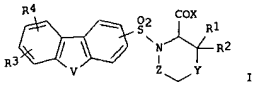
=> s l10
L11 37 L10

=> d ibib abs hitstr 1-37

L11 ANSWER 1 OF 37 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:634313 CAPLUS
DOCUMENT NUMBER: 137:185495
TITLE: Preparation of tricyclylsulfonylthiomorpholinecarboxyl ates as matrix metalloproteinase inhibitors
INVENTOR(S): O'Brien, Patrick Michael; Patt, William Chester; Picard, Joseph Armand; Shuler, Kevon Ray; Sliskovic, Drago Robert
PATENT ASSIGNEE(S): Warner-Lambert Company, USA
SOURCE: Eur. Pat. Appl., 31 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1233017	A1	20020821	EP 2002-2815	20020208
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2002169160	A1	20021114	US 2002-71662	20020208
JP 2002308859	A2	20021023	JP 2002-35628	20020213
PRIORITY APPLN. INFO.:			US 2001-268737P P	20010214
OTHER SOURCE(S):		MARPAT 137:185495		

GI



I

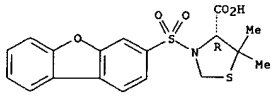
AB Title compds. [I; R1, R2 H, alkyl; R3, R4 = H , halo, alkyl, NO2, alkenyl, alkynyl, (CH2)mOH, (CH2)mCO2R5, (CH2)mOR5, etc.; X = OH , NHOH; V = O, S, SO2, NR5, CH2; R5 = H, alkyl; Z = (CH2)n; m = 0-6; n = 0-2], were prepd. Thus, (S)-4-(dibenzofuran-3-sulfonyl)-2,2-dimethylthiomorpholine-3-carboxylic acid (prepn. given) was treated with (COCl)2 and cat. DMF in CH2Cl2 to give the crude acid chloride, which was stirred with NH2OH.HCl and NaHCO3 in H2O/THF to give (S)-4-(dibenzofuran-3-sulfonyl)-2,2-dimethylthiomorpholine-3-carboxylic acid hydroxyamide. The latter inhibited MMP-1FL (full length interstitial collagenase) with IC50 = 0.013 .mu.M. I drug compns. are given.

IT **448962-26-3P 448962-27-4P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(claimed compd.; prepn. of tricyclylsulfonylthiomorpholinecarboxylates as matrix metalloproteinase inhibitors)

RN 448962-26-3 CAPLUS
CN 4-Thiazolidinecarboxylic acid, 3-(3-dibenzofuranylsulfonyl)-5,5-dimethyl-, (4R)- (9CI) (CA INDEX NAME)

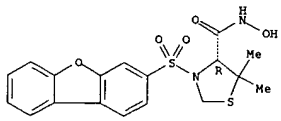
L11 ANSWER 1 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)

Absolute stereochemistry.



RN 448962-27-4 CAPLUS
CN 4-Thiazolidinecarboxamide, 3-(3-dibenzofuranylsulfonyl)-N-hydroxy-5,5-dimethyl-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

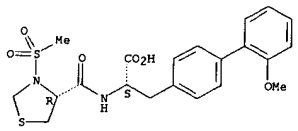
L11 ANSWER 2 OF 37 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:1462 CAPLUS
DOCUMENT NUMBER: 136:363244
TITLE: Specific and dual antagonists of .alpha.4.beta.1 and .alpha.4.beta.7 integrins
AUTHOR(S): Lin, Linus S.; Lanza, Thomas; McCauley, Ermenegilda; Van Riper, Gail; Kidambi, Usha; Cao, Jin; Egger, Linda A.; Mumford, Richard A.; Schmidt, John A.; MacCoss, Malcolm; Hagmann, William K.
CORPORATE SOURCE: Department of Medicinal Chemistry, Merck Research Laboratories, Rahway, NJ, 07065, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2002), 12(2), 133-136
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB N-(3,5-Dichlorophenylsulfonyl)-(R)-thioprolyl biarylalanine has been identified as a potent and specific antagonist of the .alpha.4.beta.1 integrin. Altering the configuration of thioproline from R to S led to a series of dual antagonists of .alpha.4.beta.1 and .alpha.4.beta.7, and the N-acetyl analog was the most potent dual antagonist. A binding site model for .alpha.4.beta.1 and .alpha.4.beta.7 is proposed to explain the structure-activity relation.

IT **425403-83-4P 425403-84-5P 425403-85-6P 425403-86-7P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(specific and dual antagonists of .alpha.4.beta.1 and .alpha.4.beta.7 integrins)

RN 425403-83-4 CAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, 2'-methoxy-.alpha.-[[[(4R)-3-(methylsulfonyl)-4-thiazolidinyl]carbonyl]amino]-, (.alpha.S)- (9CI) (CA INDEX NAME)

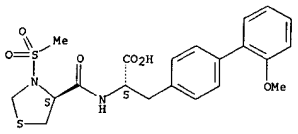
Absolute stereochemistry.



RN 425403-84-5 CAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, 2'-methoxy-.alpha.-[[[(4S)-3-(methylsulfonyl)-4-thiazolidinyl]carbonyl]amino]-, (.alpha.S)- (9CI) (CA INDEX NAME)

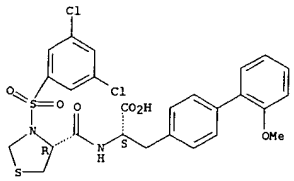
Absolute stereochemistry.

L11 ANSWER 2 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)



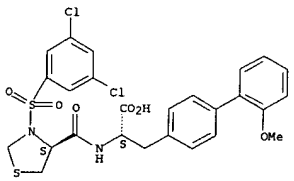
RN 425403-85-6 CAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, .alpha.-[[[(4R)-3-[(3,5-dichlorophenyl)sulfonyl]-4-thiazolidinyl]carbonyl]amino]-2'-methoxy-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 425403-86-7 CAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, .alpha.-[[[(4S)-3-[(3,5-dichlorophenyl)sulfonyl]-4-thiazolidinyl]carbonyl]amino]-2'-methoxy-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 2 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)

L11 ANSWER 3 OF 37 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:690103 CAPLUS
DOCUMENT NUMBER: 135:227251
TITLE: Preparation of N-sulfonylated 4-aminophenylalanine dipeptide derivatives as inhibitors of leukocyte adhesion mediated by VLA-4
INVENTOR(S): Ashwell, Susan; Grant, Francine S.; Konradi, Andrei W.; Kreft, Anthony; Lombardo, Louis John; Pleiss, Michael A.; Sarantakis, Dimitrios; Semko, Christopher M.; Thorsett, Eugene D.
PATENT ASSIGNEE(S): Athena Neurosciences, Inc., USA; American Home Products Corp.
SOURCE: U.S., 45 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6291453	B1	20010918	US 1998-126091	19980730

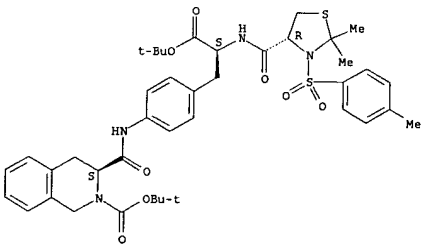
PRIORITY APPLN. INFO.: US 1997-112019P P 19970731
OTHER SOURCE(S): MARPAT 135:227251

AB Disclosed are title dipeptides R1SO2NR2CHR3-Q-CHR5CO2H [R1 = (un)substituted alkyl, aryl, cycloalkyl, heterocyclyl or heteroaryl; R2 = H, (un)substituted alkyl, cycloalkyl, cycloalkenyl, heterocyclyl, aryl or heteroaryl; R3 = H, any group R1; R1R2N or R2R3N may be (un)substituted heterocyclyl; R5 = (CH2)x-Ar-R5'; R5' = NR12C(Z)NR8R8', NR12C(Z)R13; R12 = H, alkyl, aryl; R8, R8' = H, any group R1; R8 and R8' may join together to form a heterocyclic ring; R13 = satd. heterocyclyl; Z = O, S, NR13; x = 1-4; Ar = (un)substituted (hetero)aryl; Q = C(X)NR7; R7 = H, alkyl; X = O, S (with provisos)] which bind VLA-4 (also referred to as .alpha.4.beta.1 integrin and CD49d/CD29). Certain of these compds. also inhibit leukocyte adhesion and, in particular, leukocyte adhesion mediated by VLA-4. Such compds. are useful in the treatment of inflammatory diseases in a mammalian patient, e.g., human, such as asthma, Alzheimer's disease, atherosclerosis, AIDS dementia, diabetes, inflammatory bowel disease, rheumatoid arthritis, tissue transplantation, tumor metastasis and myocardial ischemia. The compds. can also be administered for the treatment of inflammatory brain diseases such as multiple sclerosis. Thus, condensation of N-tosyl-L-prolyl-4-amino-L-phenylalanine Me ester with 3-phenylpropyl isothiocyanate afforded N-tosyl-L-prolyl-4-[3-(3-phenylpropyl)thioureido]-L-phenylalanine Me ester.

IT **220149-11-1P 220149-12-2P 359014-18-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-sulfonylated aminophenylalanine dipeptide derivs. as inhibitors of leukocyte adhesion mediated by VLA-4)

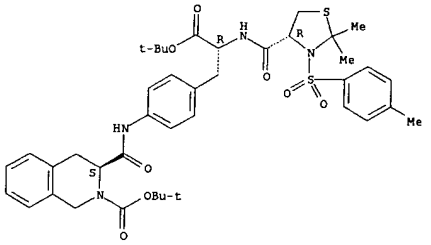
RN 220149-11-1 CAPLUS
CN 2(1H)-Isoquinolinecarboxylic acid, 3-[[[4-[(2S)-3-(1,1-dimethylethoxy)-2-[[[(4R)-2,2-dimethyl-3-[(4-methylphenyl)sulfonyl]-4-thiazolidinyl]carbonyl]amino]-3-oxopropyl]phenyl]amino]carbonyl]-3,4-dihydro-, 1,1-dimethylethyl ester, (3S)- (9CI) (CA INDEX NAME)

L11 ANSWER 3 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)
Absolute stereochemistry.



RN 220149-12-2 CAPLUS
CN 2(1H)-Isoquinolinecarboxylic acid, 3-[[[4-[(2R)-3-(1,1-dimethylethoxy)-2-[[[(4R)-2,2-dimethyl-3-[(4-methylphenyl)sulfonyl]-4-thiazolidinyl]carbonyl]amino]-3-oxopropyl]phenyl]amino]carbonyl]-3,4-dihydro-, 1,1-dimethylethyl ester, (3S)- (9CI) (CA INDEX NAME)

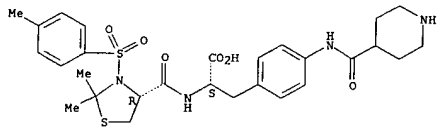
Absolute stereochemistry.



RN 359014-18-9 CAPLUS
CN L-Phenylalanine, N-[[(4R)-2,2-dimethyl-3-[(4-methylphenyl)sulfonyl]-4-thiazolidinyl]carbonyl]-4-[(4-piperidinylcarbonyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

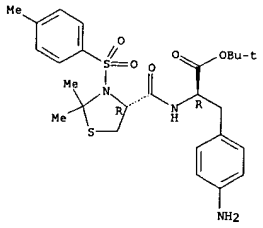
L11 ANSWER 3 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)



IT **359014-20-3**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of N-sulfonylated aminophenylalanine dipeptide derivs. as inhibitors of leukocyte adhesion mediated by VLA-4)

RN 359014-20-3 CAPLUS
CN D-Phenylalanine, 4-amino-N-[[(4R)-2,2-dimethyl-3-[(4-methylphenyl)sulfonyl]-4-thiazolidinyl]carbonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 58 THERE ARE 58 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

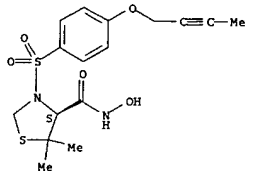
L11 ANSWER 4 OF 37 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:314178 CAPLUS
DOCUMENT NUMBER: 134:326767
TITLE: Preparation of acetylenic .alpha.-amino acid-based sulfonamide hydroxamic acid TACE inhibitors
INVENTOR(S): Levin, Jeremy I.; Chen, James M.; Cole, Derek C.; Du, Mila T.; Laakso, Leif M.
PATENT ASSIGNEE(S): American Cyanamid Company, USA
SOURCE: U.S., 109 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6225311	B1	20010501	US 2000-492691	20000127

PRIORITY APPLN. INFO.: US 1999-155249P P 19990127
OTHER SOURCE(S): MARPAT 134:326767
AB Amino acid derivs. HONHCOCR1R2NR3-X-Y-Z-CR4R5C.tplbond.CR6 [X = SO2, P(O)R10, where R10 = alkyl, cycloalkyl, aryl, heteroaryl; Y = aryl, heteroaryl, with the proviso that X and Z may not be bonded to adjacent atoms of Y; Z = O, NH, CH2, S; R1 = H, aryl, alkyl, alkenyl, alkynyl; R2 = any group given for R1, aralkyl, heteroaryl, heteroaralkyl, cycloalkyl, cycloheteroalkyl or R1 and R2 may form a ring; R3 = H, alkyl, cycloalkyl, cycloheteroalkyl, aralkyl, heteroaralkyl or R1 and R3 may form a ring; R4, R5 = H, alkyl, CN, C.tplbond.CH; R6 = any group given for R1, heteroaryl, cycloalkyl, cycloheteroalkyl] or pharmaceutically acceptable salts were prepd. as inhibitors of TNF-.alpha. converting enzyme (TACE). Thus, 2-[(4-but-2-ynyloxybenzenesulfonyl)methylamino]-N-hydroxy-3-methylbutyramide was prepd. and showed IC50 = 7.4 nM for inhibition of TACE.
IT **287405-77-0P 287406-14-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of acetylenic .alpha.-amino acid-based sulfonamide hydroxamic acid TACE inhibitors)
RN 287405-77-0 CAPLUS
CN 4-Thiazolidinecarboxamide, 3-[[4-(2-butynyloxy)phenyl]sulfonyl]-N-hydroxy-5,5-dimethyl-, (4S)- (9CI) (CA INDEX NAME)

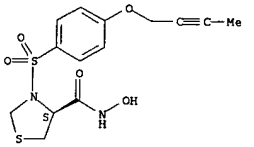
Absolute stereochemistry.

L11 ANSWER 4 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 287406-14-8 CAPLUS
CN 4-Thiazolidinecarboxamide, 3-[[4-(2-butynyloxy)phenyl]sulfonyl]-N-hydroxy-, (4S)- (9CI) (CA INDEX NAME)

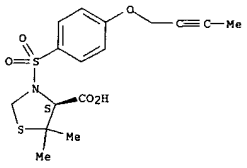
Absolute stereochemistry.



IT **287408-72-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of acetylenic .alpha.-amino acid-based sulfonamide hydroxamic acid TACE inhibitors)
RN 287408-72-4 CAPLUS
CN 4-Thiazolidinecarboxylic acid, 3-[[4-(2-butynyloxy)phenyl]sulfonyl]-5,5-dimethyl-, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 4 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)



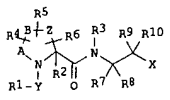
REFERENCE COUNT: 72 THERE ARE 72 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 5 OF 37 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:842163 CAPLUS
DOCUMENT NUMBER: 134:17729
TITLE: Preparation of substituted .beta.-alanine derivatives as cell adhesion inhibitors
INVENTOR(S): Durette, Philippe L.; Hagmann, William K.; Kopka, Ihor E.; Maccoss, Malcolm; Mills, Sander G.; Mumford, Richard A.; Magriotis, Plato A.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 96 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000071572	A1	20001130	WO 2000-US14017	20000519

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 1999-317789 A2 19990524
OTHER SOURCE(S): MARPAT 134:17729
GI

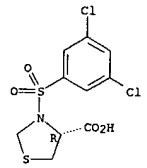


I

AB .beta.-Alanine derivs. I [the ring system contg. A-B-Z and R4-R6 is azetidine, oxazolidine, or thiazolidine; X = CO2H, PO3H2, PH(O)OH, SO2H, SO3H or their derivs., esters or amides, 5-tetrazolyl; Y = CO, OCO, NHCO, SO2, etc.; R1 = (un)substituted alkyl, alkenyl, alkynyl, Cy (Cy = cycloalkyl, heterocyclyl, aryl, heteroaryl), Cy-alkyl, -alkenyl, or -alkynyl; R2 = H, (un)substituted alkyl, alkenyl, alkynyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl; R3 = H, (un)substituted alkyl, Cy, Cy-alkyl; R7-R10 = H, alkyl, alkenyl, alkynyl, etc.] were prepd. as antagonists of VLA-4 and/or .alpha.4.beta.7 and as such are useful in the inhibition or prevention of cell adhesion and cell-adhesion mediated pathologies. Thus, N-(3,5-dichlorobenzenesulfonyl)-2(S)-prolyl-3(R)-amino-3-(4-trifluoromethoxyphenyl)propionic acid was prepd. by coupling of N-(3,5-dichlorobenzenesulfonyl)-L-proline with 3(R)-amino-3-(4-trifluoromethoxyphenyl)propionic acid Et ester acetate (synthesis given), followed by sapon.
IT **309977-62-6P 309977-63-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

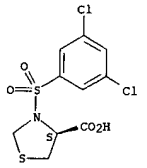
L11 ANSWER 5 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)
(prepn. of substituted .beta.-alanine derivs. as cell adhesion inhibitors)
RN 309977-62-6 CAPLUS
CN 4-Thiazolidinecarboxylic acid, 3-[(3,5-dichlorophenyl)sulfonyl]-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 309977-63-7 CAPLUS
CN 4-Thiazolidinecarboxylic acid, 3-[(3,5-dichlorophenyl)sulfonyl]-, (4S)- (9CI) (CA INDEX NAME)

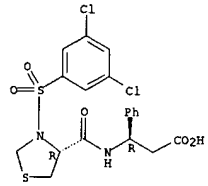
Absolute stereochemistry.



IT **309976-98-5P 309976-99-6P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of substituted .beta.-alanine derivs. as cell adhesion inhibitors)
RN 309976-98-5 CAPLUS
CN Benzenepropanoic acid, .beta.-[[[(4R)-3-[(3,5-dichlorophenyl)sulfonyl]-4-thiazolidinyl]carbonyl]amino]-, (.beta.R)- (9CI) (CA INDEX NAME)

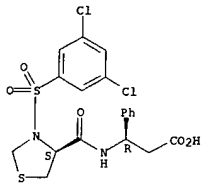
Absolute stereochemistry.

L11 ANSWER 5 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 309976-99-6 CAPLUS
CN Benzenepropanoic acid, .beta.-[[[(4S)-3-[(3,5-dichlorophenyl)sulfonyl]-4-thiazolidinyl]carbonyl]amino]-, (.beta.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 6 OF 37 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER:	2000:608743 CAPLUS
DOCUMENT NUMBER:	133:207823
TITLE:	Heterocyclic benzenesulfonamide compounds useful as bradykinin antagonists and their preparation and use
INVENTOR(S):	Dodey, Pierre; Barth, Martine; Bondoux, Michel
PATENT ASSIGNEE(S):	Fournier Industrie Et Sante, Fr.
SOURCE:	PCT Int. Appl., 118 pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	French
FAMILY ACC. NUM. COUNT:	1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000050418	A1	20000831	WO 2000-FR396	20000217
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
FR 2790260	A1	20000901	FR 1999-2412	19990226
FR 2790260	B1	20010504		
BR 2000008221	A	20011120	BR 2000-8221	20000217
EP 1155013	A1	20011121	EP 2000-906414	20000217
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002537392	T2	20021105	JP 2000-600999	20000217
US 6479515	B1	20021112	US 2001-889965	20010724
NO 2001004048	A	20010820	NO 2001-4048	20010820
PRIORITY APPLN. INFO.:			FR 1999-2412 A	19990226
			WO 2000-FR396 W	20000217

OTHER SOURCE(S): MARPAT 133:207823
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

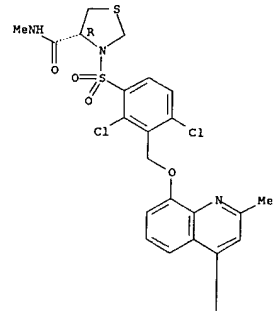
AB The invention concerns title compds. I [Het1 = 5-membered N heterocycle, particularly imidazole, pyrazole, or triazole, bound at N; Het2 = 4- to 6-membered N heterocycle selected from morpholine and certain (un)substituted azetidines, pyrrolines, pyrrolidines, piperidines, and thiazolidines, all bound at N] and their addn. salts. The invention also concerns a method for prepg. I, and the use of I in therapy, particularly for treating bradykinin-related pathologies. Uses of I for treating pain, inflammation, and severe traumatic shock are specifically claimed. Over 200 examples were prepd. For instance, 8-hydroxy-4-(1H-imidazol-1-yl)-2-methylquinoline was etherified with N-[(3-(bromomethyl)-2,4-dichlorophenyl]sulfonyl]-L-proline Me ester using NaH in DMF (68%), followed by sapon. of the Me ester (89%), amidation with N-(3-aminopropyl)-4-cyanobenzamide trifluoroacetate (81%), conversion of the cyano group to amidino in 3 steps (98%, 95%, 66%), and salification in MeOH (75%), to give title compd. II as the bismethanesulfonate. (III). In

L11 ANSWER 6 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)
a test for inhibition of [3H]-bradykinin binding to B2 receptors expressed in CHO cells, III had a Ki of 0.24 nM. III also inhibited bradykinin-induced contraction of isolated human umbilical vein, with a pA2 of 10.

IT **290344-40-0P**, 3-[[2,4-Dichloro-3-[[[4-(1H-imidazol-1-yl)-2-methyl-8-quinolinyl]oxy]methyl]phenyl]sulfonyl]-N-methyl-4-(R)-thiazolidinecarboxamide
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; prepn. of heterocyclic benzenesulfonamide derivs. as bradykinin antagonists)
RN 290344-40-0 CAPLUS
CN 4-Thiazolidinecarboxamide, 3-[[2,4-dichloro-3-[[[4-(1H-imidazol-1-yl)-2-methyl-8-quinolinyl]oxy]methyl]phenyl]sulfonyl]-N-methyl-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A

IT **290344-41-1P**, 3-[[2,4-Dichloro-3-[[[4-(1H-imidazol-1-yl)-2-methyl-8-quinolinyl]oxy]methyl]phenyl]sulfonyl]-N-methyl-4-(R)-

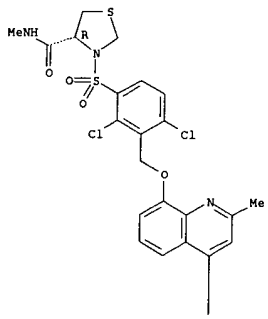
L11 ANSWER 6 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)
thiazolidinecarboxamide methanesulfonate
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; prepn. of heterocyclic benzenesulfonamide derivs. as bradykinin antagonists)
RN 290344-41-1 CAPLUS
CN 4-Thiazolidinecarboxamide, 3-[[2,4-dichloro-3-[[[4-(1H-imidazol-1-yl)-2-methyl-8-quinolinyl]oxy]methyl]phenyl]sulfonyl]-N-methyl-, (4R)-, monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 290344-40-0
CMF C25 H23 Cl2 N5 O4 S2

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A

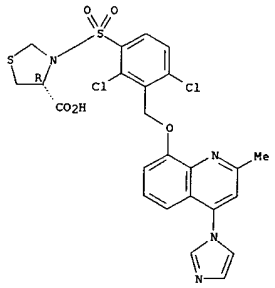
L11 ANSWER 6 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)

CM 2

CRN 75-75-2
CMF C H4 O3 S

IT **290345-36-7P**, 3-[[2,4-Dichloro-3-[[[4-(1H-imidazol-1-yl)-2-methyl-8-quinolinyl]oxy]methyl]phenyl]sulfonyl]-4-(R)-thiazolidinecarboxylic acid
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; prepn. of heterocyclic benzenesulfonamide derivs. as bradykinin antagonists)
RN 290345-36-7 CAPLUS
CN 4-Thiazolidinecarboxylic acid, 3-[[2,4-dichloro-3-[[[4-(1H-imidazol-1-yl)-2-methyl-8-quinolinyl]oxy]methyl]phenyl]sulfonyl]-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

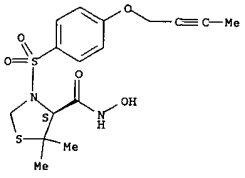
L11 ANSWER 7 OF 37 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:535102 CAPLUS
DOCUMENT NUMBER: 133:150908
TITLE: Preparation of acetylenic .alpha.-amino acid-based sulfonamide hydroxamic acid TACE inhibitors
INVENTOR(S): Levin, Jeremy Ian; Chen, James Ming; Cole, Derek Cecil
PATENT ASSIGNEE(S): American Cyanamid Company, USA
SOURCE: PCT Int. Appl., 293 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000044709	A2	20000803	WO 2000-US1981	20000127
WO 2000044709	A3	20001221		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1144368	A2	20011017	EP 2000-905750	20000127
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 2000007752	A	20011204	BR 2000-7752	20000127
JP 2002535382	T2	20021022	JP 2000-595966	20000127
NO 2001003674	A	20010924	NO 2001-3674	20010726
PRIORITY APPLN. INFO.:			US 1999-238255 A	19990127
			WO 2000-US1981 W	20000127

OTHER SOURCE(S): MARPAT 133:150908
AB Amino acid derivs. HONHCOCR1R2NR3-X-Y-Z-CR4R5C.tplbond.CR6 [X = SO2, P(O)R10, where R10 = alkyl, cycloalkyl, aryl, heteroaryl; Y = aryl, heteroaryl, with the proviso that X and Z may not be bonded to adjacent atoms of Y; Z = O, NH, CH2, S; R1 = H, aryl, alkyl, alkenyl, alkynyl; R2 = any group given for R1, aralkyl, heteroaryl, heteroaralkyl, cycloalkyl, cycloheteroalkyl or R1 and R2 may form a ring; R3 = H, alkyl, cycloalkyl, cycloheteroalkyl, aralkyl, heteroaralkyl or R1 and R3 may form a ring; R4, R5 = H, alkyl, CN, C.tplbond.CH; R6 = any group given for R1, heteroaryl, cycloalkyl, cycloheteroalkyl] or pharmaceutically acceptable salts were prepd. as inhibitors of TNF-.alpha. converting enzyme (TACE). Thus, 2-[(4-but-2-ynyloxybenzenesulfonyl)methylamino]-N-hydroxy-3-methylbutyramide was prepd. and showed IC50 = 7.4 nM for inhibition of TACE.
IT **287405-77-0P 287406-14-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of acetylenic .alpha.-amino acid-based sulfonamide hydroxamic acid TACE inhibitors)
RN 287405-77-0 CAPLUS
CN 4-Thiazolidinecarboxamide, 3-[[4-(2-butynyloxy)phenyl]sulfonyl]-N-hydroxy-5,5-dimethyl-, (4S)- (9CI) (CA INDEX NAME)

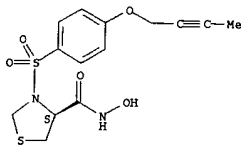
Absolute stereochemistry.

L11 ANSWER 7 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)



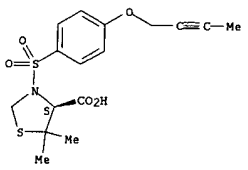
RN 287406-14-8 CAPLUS
CN 4-Thiazolidinecarboxamide, 3-[[4-(2-butynyloxy)phenyl]sulfonyl]-N-hydroxy-, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **287408-72-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of acetylenic .alpha.-amino acid-based sulfonamide hydroxamic acid TACE inhibitors)
RN 287408-72-4 CAPLUS
CN 4-Thiazolidinecarboxylic acid, 3-[[4-(2-butynyloxy)phenyl]sulfonyl]-5,5-dimethyl-, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

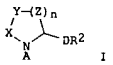


L11 ANSWER 7 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)

L11 ANSWER 8 OF 37 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:133477 CAPLUS
DOCUMENT NUMBER: 132:175848
TITLE: Carboxylic acids and isosteres of heterocyclic ring compounds having multiple heteroatoms for vision and memory disorders
INVENTOR(S): Ross, Douglas T.; Sauer, Hansjorg; Hamilton, Gregory S.; Steiner, Joseph P.
PATENT ASSIGNEE(S): Guilford Pharmaceuticals Inc., USA
SOURCE: PCT Int. Appl., 91 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000009106	A2	20000224	WO 1999-US18238	19990812
WO 2000009106	A3	20001012		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6337340	B1	20020108	US 1998-134476	19980814
CA 2336154	AA	20000224	CA 1999-2336154	19990812
AU 9953970	A1	20000306	AU 1999-53970	19990812
EP 1104300	A2	20010606	EP 1999-939731	19990812
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002522482	T2	20020723	JP 2000-564609	19990812

PRIORITY APPLN. INFO.: US 1998-134476 A 19980814
WO 1999-US18238 W 19990812
OTHER SOURCE(S): MARPAT 132:175848
GI

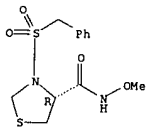


I

AB The title compds. [I; X, Y, Z = C, O, S, N; A = R1C(O)C(O), R1C(O)C(S), R1SO2, R1(E)NC(O); R1, E = H, C1-9 alkyl, C2-9 alkenyl, aryl, heteroaryl, carbocyclyl, heterocyclyl; D = bond, (substituted) C1-10 alkylene, CH:CH; R2 = CO2H, carboxylic acid isostere; n = 1-3] are prepd. for treating vision disorders, improving vision, treating memory impairment, or

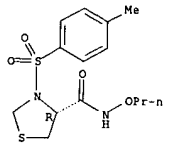
L11 ANSWER 8 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)
enhancing memory performance in an animal. I bind to immunophilin FKBP12 and preferably do not have immunosuppressive activity. Affinity for FKBP12 is measured as inhibition of prolyl peptidyl cis-trans isomerase (rotamase). Thus, GPI 1046 (10 mg/kg s.c.) protected retinal ganglion cells and optic nerve axons and myelin against degeneration following retinal ischemia in rats, and protected against retinal ganglion cell death after optic nerve transection. Me 1,3-oxazolidine-4-carboxylate was condensed with Me oxalyl chloride and the product reacted with 1,1-dimethylpropylmagnesium chloride and sapon. to produce 3-(3,3-dimethyl-2-oxopentanoyl)-1,3-oxazolidine-4-carboxylic acid, I [X = Z = CH2, Y = O, A = CH3CH2CMe2C(O)C(O), D = bond, R2 = CO2H, n = 1].
IT **251951-77-6P 251951-78-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(carboxylic acids and isosteres of heterocyclic ring compds. having multiple heteroatoms for vision and memory disorders)
RN 251951-77-6 CAPLUS
CN 4-Thiazolidinecarboxamide, N-methoxy-3-[(phenylmethyl)sulfonyl]-, (4R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 251951-78-7 CAPLUS
CN 4-Thiazolidinecarboxamide, 3-[(4-methylphenyl)sulfonyl]-N-propoxy-, (4R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



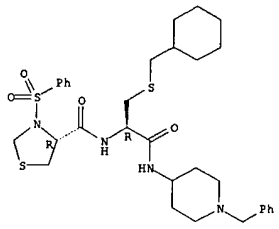
L11 ANSWER 9 OF 37 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:68444 CAPLUS
DOCUMENT NUMBER: 132:108294
TITLE: Preparation of amino acid derivatives as N-type calcium channel inhibitors
INVENTOR(S): Seko, Takuya; Kato, Masashi
PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 179 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000004005	A1	20000127	WO 1999-JP3776	19990713
W: JP, KR, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 1097929	A1	20010509	EP 1999-929813	19990713
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				

PRIORITY APPLN. INFO.: JP 1998-213452 A 19980714
WO 1999-JP3776 W 19990713
OTHER SOURCE(S): MARPAT 132:108294
AB The title compds. R1ANR2CH(DER3)CO2R4 [R1 = Ph, cycloalkyl, etc.; A = CO, etc.; R2 = H, (phenyl-substituted) alkyl; D = alkylene, etc.; E = OCO, etc.; R3 = heterocyclic ring, etc.; J = O, etc.; R4 = alkyl, heterocyclic ring, etc.] are prepd. The title compds. are useful as preventives and/or remedies for brain infarction, transient cerebral ischemic attack, postoperative cerebrospinal failure, spinal vascular failure, stress hypertension, neurosis, epilepsy, asthma, frequent urination, etc. or remedies for pain. Formulations are given. In an in vitro test (for N-type calcium channel inhibiting activity) using cells, (2R)-N-(1-benzylpiperidin-4-yl)-3-cyclohexylmethylthio-2-((4R)-3-(2-methoxyethoxycarbonyl)thiazolidin-4-ylcarbonylamino)propanamide hydrochloride at 3 .mu.M gave 81% inhibition of calcium inflow.
IT **255735-08-1P 255735-64-9P 255735-65-0P 255735-66-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of amino acid derivs. as N-type calcium channel inhibitors)
RN 255735-08-1 CAPLUS
CN 4-Thiazolidinecarboxamide, N-[(1R)-1-[[(cyclohexylmethyl)thio]methyl]-2-oxo-2-[[1-(phenylmethyl)-4-piperidinyl]amino]ethyl]-3-(phenylsulfonyl)-, (4R)- (9CI) (CA INDEX NAME)

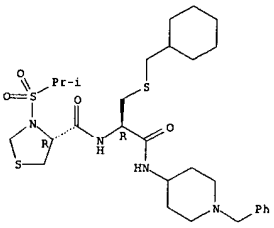
Absolute stereochemistry.

L11 ANSWER 9 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 255735-64-9 CAPLUS
CN 4-Thiazolidinecarboxamide, N-[(1R)-1-[[(cyclohexylmethyl)thio]methyl]-2-oxo-2-[[1-(phenylmethyl)-4-piperidinyl]amino]ethyl]-3-[(1-methylethyl)sulfonyl]-, monohydrochloride, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

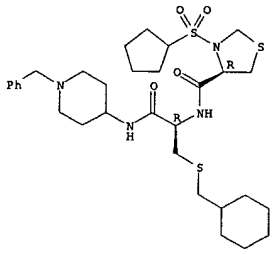


● HCl

RN 255735-65-0 CAPLUS
CN 4-Thiazolidinecarboxamide, N-[(1R)-1-[[(cyclohexylmethyl)thio]methyl]-2-oxo-2-[[1-(phenylmethyl)-4-piperidinyl]amino]ethyl]-3-(cyclopentylsulfonyl)-, monohydrochloride, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

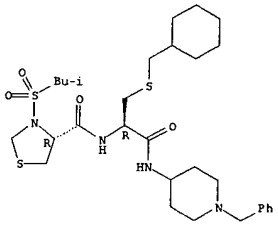
L11 ANSWER 9 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)



● HCl

RN 255735-66-1 CAPLUS
CN 4-Thiazolidinecarboxamide, N-[(1R)-1-[[(cyclohexylmethyl)thio]methyl]-2-oxo-2-[[1-(phenylmethyl)-4-piperidinyl]amino]ethyl]-3-[(2-methylpropyl)sulfonyl]-, monohydrochloride, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 10 OF 37 CAPLUS COPYRIGHT 2002 ACS

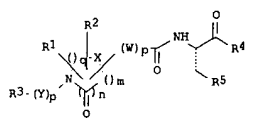
ACCESSION NUMBER: 1999:819360 CAPLUS
DOCUMENT NUMBER: 132:64524
TITLE: Preparation of N-thiazolidinylcarbonylphenylalanine derivatives and analogs as inhibitors of .alpha.4.beta.1 mediated cell adhesion
INVENTOR(S): Blinn, James R.; Chrusciel, Robert A.; Fisher, Jed F.; Tanis, Steven P.; Thomas, Edward William; Lobl, Thomas J.; Teegarden, Bradley R.
PATENT ASSIGNEE(S): Pharmacia and Upjohn Company, USA; Tanabe Seiyaku Co., Ltd.
SOURCE: PCT Int. Appl., 308 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9967230	A1	19991229	WO 1999-US14233	19990623
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9947116	A1	20000110	AU 1999-47116	19990623
EP 1089989	A1	20010411	EP 1999-930614	19990623
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002518491	T2	20020625	JP 2000-555884	19990623

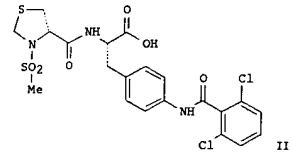
PRIORITY APPLN. INFO.: US 1998-90421P P 19980623
WO 1999-US14233 W 19990623

OTHER SOURCE(S): MARPAT 132:64524
GI

L11 ANSWER 10 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)



I



II

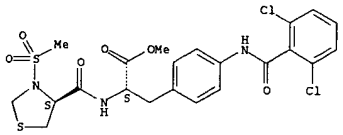
AB Title compds. (I) [wherein m = 1 or 2; n and p = independently 0 or 1; q = 1-3; R1 = independently H or alkyl for 1-4 occurrences; R2 = H, pyridyl, alkyl, or carboxy(alkyl); or R1 and R2 may be attached to the same C and form a 5-8 membered carbocyclic or azacyclic ring; R3 = H, Ph, (aryl)alkyl, alkenyl, carboxy(alkyl), acylalkyl, alkoxyalkyl, hydroxy(alkyl), cyano(alkyl), adamantyl, or a variety of (un)substituted (hetero)aryl or (hetero)cyclic groups; R4 = OH, alkoxy, NH2, NHOH, alkylaryloxy, or pyridylmethoxy; R5 = (un)substituted Ph or pyridyl; W = C1-6 alkyl; X = S, O, or CH2; Y = C(O), C(O)O, SO2, or (un)substituted C(O)NH], pharmaceutically acceptable salts and stereoisomers thereof, were prepd. as inhibitors of .alpha.4.beta.1 mediated adhesion to either the vascular cell adhesion mol. (VCAM-1) or the CS-1 domain of fibronectin and are useful in the treatment of inflammatory diseases. Approx. 290 invention compds. and their intermediates were prepd. via traditional or solid phase synthetic methods. For instance, II was synthesized in a 6-step sequence involving (1) cyclization of D-cysteine.HCl with HCHO to form (S)-3-thiazolidinecarboxylic acid, (2) N-protection with di-t-Bu dicarbonate, (3) amidation with 4-[(2,6-dichlorobenzoyl)amino]-L-phenylalanine Me ester, (4) N-deprotection with HCl, (5) N-mesylation, and (6) deesterification with aq. NaOH, followed by work up, chromatog., and lyophilization. In vitro cell adhesion inhibitory and/or modulatory activities were reported for approx. 270 invention compds. tested in Jurkat CS-1 and/or Jurkat endothelial cell (EC) adhesion inhibition assays. Nine of the 21 compds. assayed showed > 40% inhibition of VLA-4 integrin-dependent eosinophil infiltration against acute inflammation and are expected to be useful in the treatment of asthma and other VLA-4 mediated diseases.

IT **253152-18-0P 253152-58-8P 253152-60-2P**
253152-62-4P 253152-64-6P 253152-66-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(target compd.; prepn. of N-thiazolidinylcarbonylphenylalanine derivs.

L11 ANSWER 10 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)
and analogs as inhibitors of .alpha.4.beta.1 mediated cell adhesion)

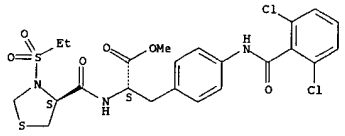
RN 253152-18-0 CAPLUS
CN L-Phenylalanine, 4-[(2,6-dichlorobenzoyl)amino]-N-[[(4S)-3-(methylsulfonyl)-4-thiazolidinyl]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 253152-58-8 CAPLUS
CN L-Phenylalanine, 4-[(2,6-dichlorobenzoyl)amino]-N-[[(4S)-3-(ethylsulfonyl)-4-thiazolidinyl]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

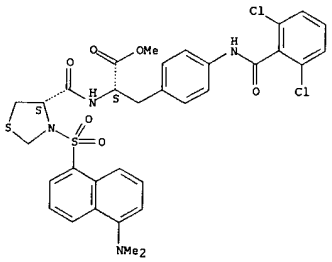
Absolute stereochemistry.



RN 253152-60-2 CAPLUS
CN L-Phenylalanine, 4-[(2,6-dichlorobenzoyl)amino]-N-[[(4S)-3-[[5-(trifluoromethyl)-2-pyridinyl]sulfonyl]-4-thiazolidinyl]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

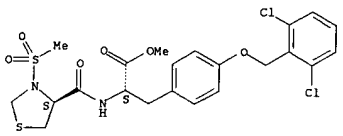
Absolute stereochemistry.

L11 ANSWER 10 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 253152-66-8 CAPLUS
CN L-Tyrosine, O-[(2,6-dichlorophenyl)methyl]-N-[[(4S)-3-(methylsulfonyl)-4-thiazolidinyl]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

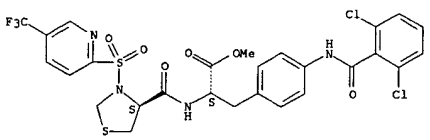


IT **253152-19-1P 253152-59-9P 253152-61-3P**
253152-63-5P 253152-65-7P 253152-67-9P
253153-72-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(target compd., prepn. of N-thiazolidinylcarbonylphenylalanine derivs. and analogs as inhibitors of .alpha.4.beta.1 mediated cell adhesion)

RN 253152-19-1 CAPLUS
CN L-Phenylalanine, 4-[(2,6-dichlorobenzoyl)amino]-N-[[(4S)-3-(methylsulfonyl)-4-thiazolidinyl]carbonyl]- (9CI) (CA INDEX NAME)

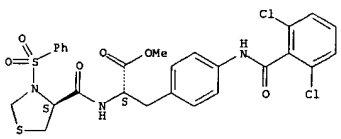
Absolute stereochemistry. Rotation (+).

L11 ANSWER 10 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 253152-62-4 CAPLUS
CN L-Phenylalanine, 4-[(2,6-dichlorobenzoyl)amino]-N-[[(4S)-3-(phenylsulfonyl)-4-thiazolidinyl]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

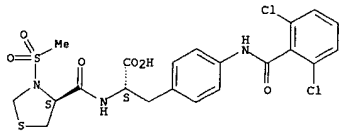
Absolute stereochemistry.



RN 253152-64-6 CAPLUS
CN L-Phenylalanine, 4-[(2,6-dichlorobenzoyl)amino]-N-[[(4S)-3-[[5-(dimethylamino)-1-naphthalenyl]sulfonyl]-4-thiazolidinyl]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

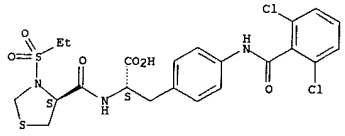
Absolute stereochemistry.

L11 ANSWER 10 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)



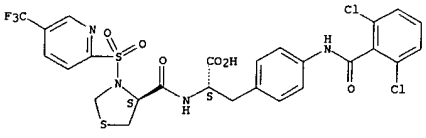
RN 253152-59-9 CAPLUS
CN L-Phenylalanine, 4-[(2,6-dichlorobenzoyl)amino]-N-[[(4S)-3-(ethylsulfonyl)-4-thiazolidinyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 253152-61-3 CAPLUS
CN L-Phenylalanine, 4-[(2,6-dichlorobenzoyl)amino]-N-[[(4S)-3-[[5-(trifluoromethyl)-2-pyridinyl]sulfonyl]-4-thiazolidinyl]carbonyl]- (9CI) (CA INDEX NAME)

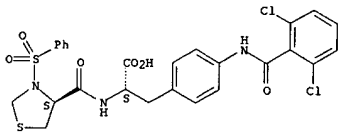
Absolute stereochemistry.



RN 253152-63-5 CAPLUS
CN L-Phenylalanine, 4-[(2,6-dichlorobenzoyl)amino]-N-[[(4S)-3-(phenylsulfonyl)-4-thiazolidinyl]carbonyl]- (9CI) (CA INDEX NAME)

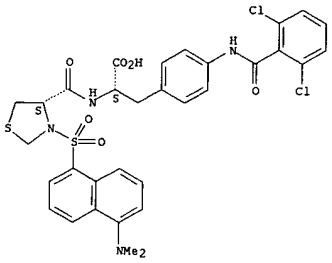
Absolute stereochemistry.

L11 ANSWER 10 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 253152-65-7 CAPLUS
CN L-Phenylalanine, 4-[(2,6-dichlorobenzoyl)amino]-N-[[(4S)-3-[[5-(dimethylamino)-1-naphthalenyl]sulfonyl]-4-thiazolidinyl]carbonyl]- (9CI) (CA INDEX NAME)

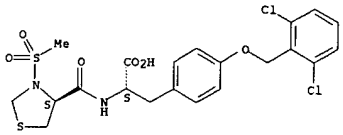
Absolute stereochemistry.



RN 253152-67-9 CAPLUS
CN L-Tyrosine, O-[(2,6-dichlorophenyl)methyl]-N-[[(4S)-3-(methylsulfonyl)-4-thiazolidinyl]carbonyl]- (9CI) (CA INDEX NAME)

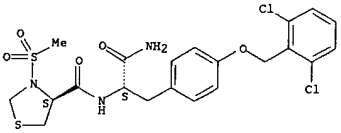
Absolute stereochemistry.

L11 ANSWER 10 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 253153-72-9 CAPLUS
CN 4-Thiazolidinecarboxamide, N-[(1S)-2-amino-1-[[4-[(2,6-dichlorophenyl)methoxy]phenyl]methyl]-2-oxoethyl]-3-(methylsulfonyl)-, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

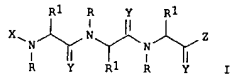


REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 11 OF 37 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:811266 CAPLUS
DOCUMENT NUMBER: 132:50253
TITLE: Preparation of tetrapeptides and their analogs that selectively bind mammalian opioid receptors
INVENTOR(S): Persons, Paul E.; Hauske, James; Hussoin, Roushan A.
PATENT ASSIGNEE(S): Sepracor, Inc., USA
SOURCE: PCT Int. Appl., 225 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9965932	A1	19991223	WO 1999-US13638	19990618
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9945729	A1	20000105	AU 1999-45729	19990618
PRIORITY APPLN. INFO.:			US 1998-89792P P	19980618
			WO 1999-US13638 W	19990618

OTHER SOURCE(S): MARPAT 132:50253
GI

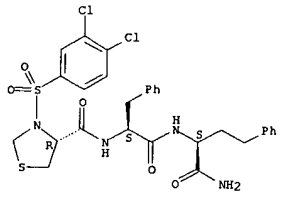


AB Tetrapeptides or analogs or peptidomimetics thereof, e.g., I [X = COR, SO2R, CONR2; Y = O, S, NR, (H)2, (R)2; Z = R, OR, SR, NR2; R = H, Me, lower alkyl, lower heteroalkyl, aryl, heteroaryl, aralkyl, heteroaralkyl; R1 = H, Me, lower alkyl, alkyl, aryl, heteroaryl, side chain of any naturally occurring .alpha.-amino acids; R and R1 taken together, when attached to adjacent N and C atoms, resp., may represent a ring with a total of 5-7 backbone atoms inclusive; said ring may contain two addnl. heteroatoms selected from O, S, N, Se and P; said ring may be unsubstituted or further substituted with one or more R, etc.], were prepd. as ligands for mammalian opioid receptors. For example, N-[[(2,5-difluorophenyl)amino]carbonyl]-Pro-Phe-HPA-NH2 (II) (HPA = L-homophenylalanine) was synthesized from Rink resin-bound Fmoc-Pro-Phe-HPA and 2,5-difluorophenyl isocyanate; II demonstrated IC50 < 1 .mu.M and < 10 .mu.M in .mu.- and .kappa.-opioid receptor assays, resp. The title compds. comprise full agonists, partial agonists, and antagonists of mammalian opioid receptors.

IT **252766-54-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

L11 ANSWER 11 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)
BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of tetrapeptides and their analogs that selectively bind mammalian opioid receptors)
RN 252766-54-4 CAPLUS
CN 4-Thiazolidinecarboxamide, N-[(1S)-2-[[(1S)-1-(aminocarbonyl)-3-phenylpropyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-3-[(3,4-dichlorophenyl)sulfonyl]-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

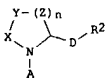


REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 12 OF 37 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:784085 CAPLUS
DOCUMENT NUMBER: 132:18814
TITLE: Aza-heterocyclic compounds used to treat neurological disorders and hair loss
INVENTOR(S): Hamilton, Gregory S.; Norman, Mark H.; Wu, Yong-Qian; Li, Jia-He; Steiner, Joseph P.
PATENT ASSIGNEE(S): Guilford Pharmaceuticals Inc., USA; Amgen, Inc.
SOURCE: PCT Int. Appl., 106 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9962888	A1	19991209	WO 1998-US25574	19981203
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2333964	AA	19991209	CA 1998-2333964	19981203
AU 9917082	A1	19991220	AU 1999-17082	19981203
ZA 9811062	A	19991220	ZA 1998-11062	19981203
BR 9815919	A	20010220	BR 1998-15919	19981203
EP 1102756	A1	20010530	EP 1998-961867	19981203
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002517383	T2	20020618	JP 2000-552100	19981203
NO 2000006117	A	20010201	NO 2000-6117	20001201
US 2002045641	A1	20020418	US 2001-776904	20010206

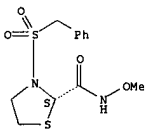
PRIORITY APPLN. INFO.: US 1998-87843P P 19980603
US 1998-204238 A3 19981203
WO 1998-US25574 W 19981203
OTHER SOURCE(S): MARPAT 132:18814
GI



I

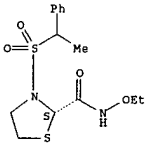
AB The invention is directed to carboxylic acids and isosteres of heterocyclic ring compds. I [X, Y, Z = C, O, S, N (provided that not all X, Y, Z are C); n = 1-3; A = R1C(O)C(O), R1C(O)C(S), R1SO2, (E)(R1)NC(O); R1, E = H, C1-9 (un)branched alkyl or alkenyl, aryl, etc.; D = C1-10 (un)branched alkyl, ethylene, butylene; R2 = carboxylic acid or carboxylic

L11 ANSWER 12 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)



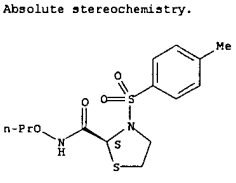
RN 251953-46-5 CAPLUS
CN 2-Thiazolidinecarboxamide, N-ethoxy-3-[(1-phenylethyl)sulfonyl]-, (2S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 251953-47-6 CAPLUS
CN 2-Thiazolidinecarboxamide, 3-[(4-methylphenyl)sulfonyl]-N-propoxy-, (2S)-(9CI) (CA INDEX NAME)

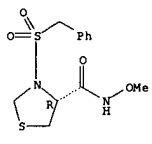
Absolute stereochemistry.



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

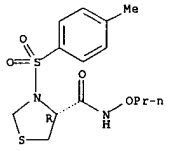
L11 ANSWER 12 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)
acid isostere] which have multiple heteroatoms within the heterocyclic ring, derivs. contg. N-linked diketos, sulfonamides, ureas and carbamates attached thereto, their prepn. and use for treating neurol. disorders including phys. damaged nerves and neurodegenerative diseases, as well as for treating alopecia and promoting hair growth.
IT **251951-77-6 251951-78-7 251953-45-4 251953-46-5 251953-47-6**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(heterocyclic compds. for treatment of neurol. disorder or hair loss)
RN 251951-77-6 CAPLUS
CN 4-Thiazolidinecarboxamide, N-methoxy-3-[(phenylmethyl)sulfonyl]-, (4R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 251951-78-7 CAPLUS
CN 4-Thiazolidinecarboxamide, 3-[(4-methylphenyl)sulfonyl]-N-propoxy-, (4R)-(9CI) (CA INDEX NAME)

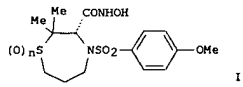
Absolute stereochemistry.



RN 251953-45-4 CAPLUS
CN 2-Thiazolidinecarboxamide, N-methoxy-3-[(phenylmethyl)sulfonyl]-, (2S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

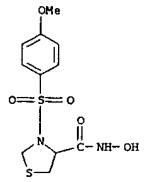
L11 ANSWER 13 OF 37 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:663041 CAPLUS
DOCUMENT NUMBER: 132:64251
TITLE: Design, Synthesis, and Biological Evaluation of Potent Thiazine- and Thiazepine-Based Matrix Metalloproteinase Inhibitors
AUTHOR(S): Almstead, Neil G.; Bradley, Rimma S.; Pikul, Stanislaw; De, Biswanath; Natchus, Michael G.; Taiwo, Yetunde O.; Gu, Fei; Williams, Lisa E.; Hynd, Barbara A.; Janusz, Michael J.; Dunaway, C. Michelle; Mieling, Glen E.
CORPORATE SOURCE: Procter and Gamble Pharmaceuticals, Health Care Research Center, Mason, OH, 45040, USA
SOURCE: Journal of Medicinal Chemistry (1999), 42(22), 4547-4562
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



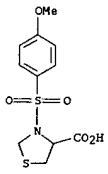
I

AB The synthesis and enzyme inhibition data for a series of thiazine- and thiazepine-based matrix metalloproteinase (MMP) inhibitors are described. The thiazine- and thiazepine-based inhibitors were discovered by optimization of heterocyclic sulfonamide-based inhibitors. The most potent series of inhibitors was obtained by modification of the amino acid D-penicillamine. This amino acid provides a gem-di-Me group on the thiazine or thiazepine ring which has a dramatic effect on the in vitro potency of this series. In particular, the sulfide I [n = 0] and the sulfone I [n = 2] were potent, broad-spectrum inhibitors of the MMPs with IC50's against MMP-1 of 0.8 and 1.9 nM, resp. The binding mode of this novel thiazepine-based series of MMP inhibitors was established based on X-ray crystallog. of the complex of stromelysin and I [n = 0].
IT **253195-08-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of thiazepine- and thiazinehydroxamic acids as metalloproteinase inhibitors)
RN 253195-08-3 CAPLUS
CN 4-Thiazolidinecarboxamide, N-hydroxy-3-[(4-methoxyphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

L11 ANSWER 13 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)



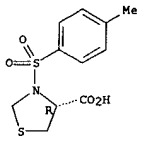
IT **253195-11-8**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of thiazepine- and thiazinehydroxamic acids as metalloproteinase inhibitors)
RN 253195-11-8 CAPLUS
CN 4-Thiazolidinecarboxylic acid, 3-[(4-methoxyphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

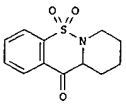
L11 ANSWER 14 OF 37 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:521346 CAPLUS
DOCUMENT NUMBER: 131:286208
TITLE: Generation of diphenyldiazomethane by oxidation of benzophenone hydrazone with Magtrieve
AUTHOR(S): Ko, Kwang-Youn; Kim, Ji-Yeon
CORPORATE SOURCE: Department of Chemistry, Ajou University, Suwon, 442-749, S. Korea
SOURCE: Bulletin of the Korean Chemical Society (1999), 20(7), 771-772
CODEN: BKCSDE; ISSN: 0253-2964
PUBLISHER: Korean Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 131:286208
AB Treatment of benzophenone hydrazone with Magtrieve in CH2Cl2 gave diphenyldiazomethane immediately. After the reaction was complete carboxylic acids including N-protected amino acids (RCO2H) to give RCO2CHPh2.
IT **246177-41-3**
RL: RCT (Reactant); RACT (Reactant or reagent)
(formation by oxidn. of benzophenone hydrazone with Magtrieve in 1-pot conversion of carboxylic acids to esters)
RN 246177-41-3 CAPLUS
CN 4-Thiazolidinecarboxylic acid, 3-[(4-methylphenyl)sulfonyl]-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



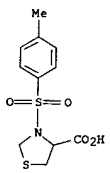
REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 15 OF 37 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:187470 CAPLUS
DOCUMENT NUMBER: 130:311751
TITLE: Synthesis of tricyclic tetrahydro 1,2-benzothiazinones via Friedel-Craft anionic equivalents
AUTHOR(S): Familoni, O. B.
CORPORATE SOURCE: Department of Chemistry, University of Lagos, Lagos, Nigeria
SOURCE: Journal of Pharmaceutical Research and Development (1998), 3(1), 21-29
CODEN: JPRDFX; ISSN: 1118-1028
PUBLISHER: National Institute for Pharmaceutical Research and Development
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 130:311751
GI



I

AB N-Benzenesulfonyl pyrrolidine-2-carboxamide, N-benzenesulfonyl piperidine-2-carboxamide and its substituted analogs were made to undergo Friedel-Craft Anionic Equiv. (FCAE) in lithium diisopropyl amide (LDA). Unsubstituted analogs gave the tricyclic benzothiazinones, e.g., I, in fair yields, while substituted analogs could not give the target compds. This type of reaction is not possible with the classical Friedel-Crafts reaction.
IT **223562-07-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate in prepn. of tricyclic benzothiazinones by cyclization of sulfonamides as Friedel Crafts anionic equivs.)
RN 223562-07-0 CAPLUS
CN 4-Thiazolidinecarboxylic acid, 3-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



L11 ANSWER 15 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)
REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

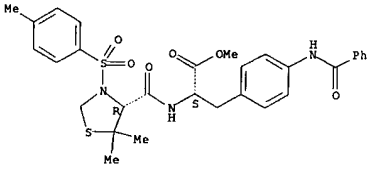
L11 ANSWER 16 OF 37 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:113712 CAPLUS
DOCUMENT NUMBER: 130:168662
TITLE: Preparation of N-sulfonylproline dipeptide derivatives and analogs as inhibitors of leukocyte adhesion mediated by VLA-4
INVENTOR(S): Thorsett, Eugene D.; Semko, Christopher M.; Pleiss, Michael A.; Kreft, Anthony; Konradi, Andrei W.; Grant, Francine S.; Baudy, Reinhardt Bernhard; Sarantakis, Dimitrios
PATENT ASSIGNEE(S): Athena Neurosciences, Inc., USA; American Home Products Corporation
SOURCE: PCT Int. Appl., 294 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9906437	A1	19990211	WO 1998-US16070	19980731
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9888234	A1	19990222	AU 1998-88234	19980731
EP 994896	A1	20000426	EP 1998-939871	19980731
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9811594	A	20000905	BR 1998-11594	19980731
JP 2001512139	T2	20010821	JP 2000-505192	19980731
NO 2000000452	A	20000327	NO 2000-452	20000128
PRIORITY APPLN. INFO.:			US 1997-904423 A2	19970731
			WO 1998-US16070 W	19980731

OTHER SOURCE(S): MARPAT 130:168662
AB Disclosed are title compds. R1SO2NR2CHR3QCHR5COR6 [R1 = (un)substituted alkyl, (un)substituted aryl, (un)substituted cycloalkyl, (un)substituted heterocyclyl; R2 = H, any group R1; R1R2 may form (un)substituted heterocyclic ring; R3 = H, any group R1; R2R3 may form (un)substituted heterocyclic ring; R5 = CH2X1; X1 = H, OH, acylamino, (un)substituted alkyl, alkoxy, aryloxy, aryl, aryloxyaryl, CO2H, carboxyalkyl, carboxyaryl, carboxyheteroaryl, (un)substituted cycloalkyl, (un)substituted heterocyclyl; Q = C(X)NR7; R7 = H, alkyl; X = O, S; R6 = NH2, (un)substituted alkoxy, (un)substituted cycloalkoxy, succinimidyloxy, adamantylamino, .beta.-cholest-5-en-3-yloxy, NHOY, NH(CH2)pCO2Y, OCH2NR9R10; Y = H, (un)substituted alkyl, (un)substituted aryl; p = 1-8; R9 = (un)substituted CO-aryl; R10 = H, CH2CO2R11, NHSO2Z'; R11 = alkyl; Z' = (un)substituted alkyl, (un)substituted cycloalkyl, (un)substituted aryl, (un)substituted heteroaryl, (un)substituted heterocyclyl; and pharmaceutically acceptable salts thereof, with provisos] which bind VLA-4 (also referred to as integrin .alpha.4.beta.1 and CD49d/CD29). Certain of

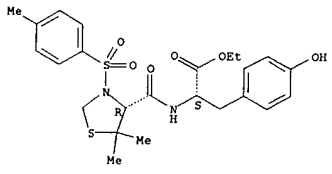
L11 ANSWER 16 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)
these compds. also inhibit leukocyte adhesion and, in particular, leukocyte adhesion mediated by VLA-4. Such compds. are useful in the treatment of inflammatory diseases in a mammalian patient, e.g., human, wherein the disease may be, for example, asthma, Alzheimer's disease, atherosclerosis, AIDS dementia, diabetes, inflammatory bowel disease, rheumatoid arthritis, tissue transplantation, tumor metastasis and myocardial ischemia. The compds. can also be administered for the treatment of inflammatory brain diseases such as multiple sclerosis. Thus, BOP-mediated peptide coupling of Ts-Pro-OH (Ts = tosyl) with H-Tyr-OMe gave 75% of the corresponding ester, which underwent sapon. in quant. yield to give desired dipeptide Ts-Pro-Tyr-OH. All prepd. compds. have IC50 .ltoreq. 15 .mu.M in a VLA-4 binding assay.
IT **220302-80-7P 220302-82-9P 220302-86-3P**
220302-96-5P 220337-23-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of N-sulfonylproline dipeptide derivs. and analogs as inhibitors of leukocyte adhesion mediated by VLA-4)
RN 220302-80-7 CAPLUS
CN L-Phenylalanine, 4-(benzoylamino)-N-[[(4R)-5,5-dimethyl-3-[(4-methylphenyl)sulfonyl]-4-thiazolidinyl]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



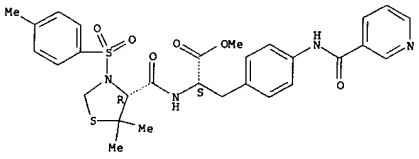
RN 220302-82-9 CAPLUS
CN L-Tyrosine, N-[[(4R)-5,5-dimethyl-3-[(4-methylphenyl)sulfonyl]-4-thiazolidinyl]carbonyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



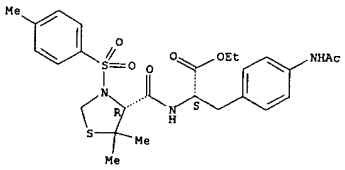
RN 220302-86-3 CAPLUS
CN L-Phenylalanine, N-[[(4R)-5,5-dimethyl-3-[(4-methylphenyl)sulfonyl]-4-thiazolidinyl]carbonyl]-4-[(3-pyridinylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 220302-96-5 CAPLUS
CN L-Phenylalanine, 4-(acetylamino)-N-[[(4R)-5,5-dimethyl-3-[(4-methylphenyl)sulfonyl]-4-thiazolidinyl]carbonyl]-, ethyl ester (9CI) (CA INDEX NAME)

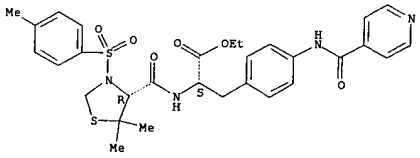
Absolute stereochemistry.



RN 220337-23-5 CAPLUS
CN L-Phenylalanine, N-[[(4R)-5,5-dimethyl-3-[(4-methylphenyl)sulfonyl]-4-thiazolidinyl]carbonyl]-4-[(4-pyridinylcarbonyl)amino]-, ethyl ester (9CI)

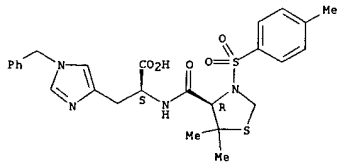
L11 ANSWER 16 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)
(CA INDEX NAME)

Absolute stereochemistry.



IT **220302-48-7P 220302-59-0P 220302-81-8P**
220302-83-0P 220302-87-4P 220302-89-6P
220302-92-1P 220302-97-6P 220303-02-6P
220303-09-3P 220303-11-7P 220303-12-8P
220303-17-3P 220303-18-4P 220303-20-8P
220303-21-9P 220303-28-6P 220303-29-7P
220303-37-7P 220303-44-6P 220303-45-7P
220303-50-4P 220303-57-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-sulfonylproline dipeptide derivs. and analogs as inhibitors of leukocyte adhesion mediated by VLA-4)
RN 220302-48-7 CAPLUS
CN L-Histidine, N-[[(4R)-5,5-dimethyl-3-[(4-methylphenyl)sulfonyl]-4-thiazolidinyl]carbonyl]-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

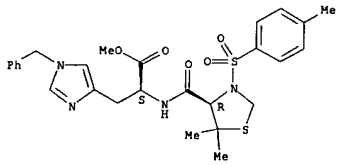
Absolute stereochemistry.



RN 220302-59-0 CAPLUS
CN L-Histidine, N-[[(4R)-5,5-dimethyl-3-[(4-methylphenyl)sulfonyl]-4-thiazolidinyl]carbonyl]-1-(phenylmethyl)-, methyl ester (9CI) (CA INDEX NAME)

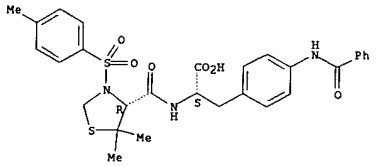
Absolute stereochemistry.

L11 ANSWER 16 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)



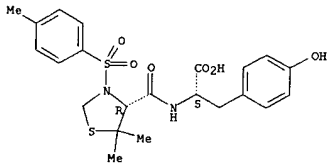
RN 220302-81-8 CAPLUS
CN L-Phenylalanine, 4-(benzoylamino)-N-[[(4R)-5,5-dimethyl-3-[(4-methylphenyl)sulfonyl]-4-thiazolidinyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

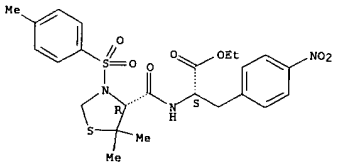


RN 220302-83-0 CAPLUS
CN L-Tyrosine, N-[[(4R)-5,5-dimethyl-3-[(4-methylphenyl)sulfonyl]-4-thiazolidinyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

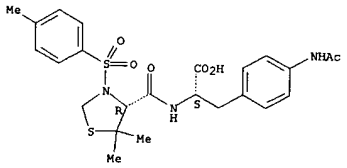


L11 ANSWER 16 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)



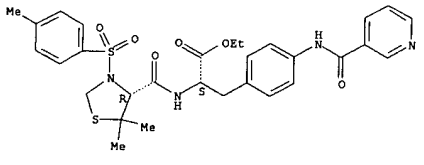
RN 220302-97-6 CAPLUS
CN L-Phenylalanine, 4-(acetylamino)-N-[[(4R)-5,5-dimethyl-3-[(4-methylphenyl)sulfonyl]-4-thiazolidinyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 220303-02-6 CAPLUS
CN L-Phenylalanine, N-[[(4R)-5,5-dimethyl-3-[(4-methylphenyl)sulfonyl]-4-thiazolidinyl]carbonyl]-4-[(3-pyridinylcarbonyl)amino]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

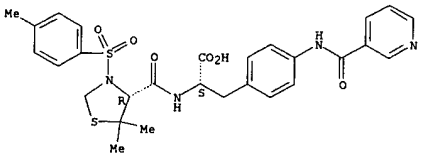


RN 220303-09-3 CAPLUS
CN L-Phenylalanine, N-[[(4R)-5,5-dimethyl-3-[(4-methylphenyl)sulfonyl]-4-thiazolidinyl]carbonyl]-4-[(4-pyridinylcarbonyl)amino]- (9CI) (CA INDEX NAME)

L11 ANSWER 16 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)

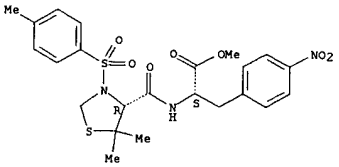
RN 220302-87-4 CAPLUS
CN L-Phenylalanine, N-[[(4R)-5,5-dimethyl-3-[(4-methylphenyl)sulfonyl]-4-thiazolidinyl]carbonyl]-4-[(3-pyridinylcarbonyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 220302-89-6 CAPLUS
CN L-Phenylalanine, N-[[(4R)-5,5-dimethyl-3-[(4-methylphenyl)sulfonyl]-4-thiazolidinyl]carbonyl]-4-nitro-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

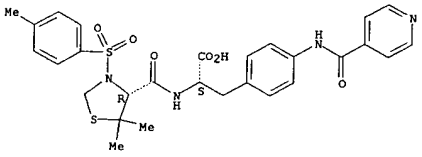


RN 220302-92-1 CAPLUS
CN L-Phenylalanine, N-[[(4R)-5,5-dimethyl-3-[(4-methylphenyl)sulfonyl]-4-thiazolidinyl]carbonyl]-4-nitro-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

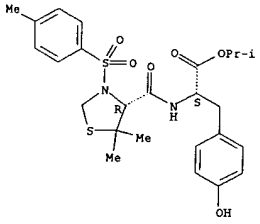
L11 ANSWER 16 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)

Absolute stereochemistry.



RN 220303-11-7 CAPLUS
CN L-Tyrosine, N-[[(4R)-5,5-dimethyl-3-[(4-methylphenyl)sulfonyl]-4-thiazolidinyl]carbonyl]-, 1-methylethyl ester (9CI) (CA INDEX NAME)

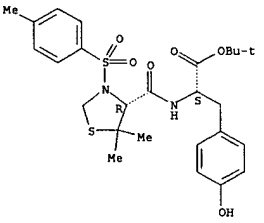
Absolute stereochemistry.



RN 220303-12-8 CAPLUS
CN L-Tyrosine, N-[[(4R)-5,5-dimethyl-3-[(4-methylphenyl)sulfonyl]-4-thiazolidinyl]carbonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

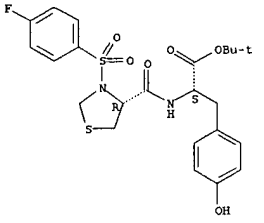
Absolute stereochemistry.

L11 ANSWER 16 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 220303-17-3 CAPLUS
CN L-Tyrosine, N-[[(4R)-3-[(4-fluorophenyl)sulfonyl]-4-thiazolidinyl]carbonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

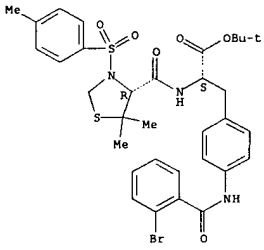
Absolute stereochemistry.



RN 220303-18-4 CAPLUS
CN L-Tyrosine, N-[[(4R)-3-[(4-fluorophenyl)sulfonyl]-5,5-dimethyl-4-thiazolidinyl]carbonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

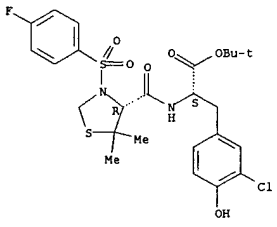
Absolute stereochemistry.

L11 ANSWER 16 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 220303-28-6 CAPLUS
CN L-Tyrosine, 3-chloro-N-[[(4R)-3-[(4-fluorophenyl)sulfonyl]-5,5-dimethyl-4-thiazolidinyl]carbonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

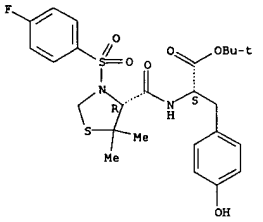
Absolute stereochemistry.



RN 220303-29-7 CAPLUS
CN L-Tyrosine, 3-chloro-N-[[(4R)-3-[(4-fluorophenyl)sulfonyl]-5,5-dimethyl-4-thiazolidinyl]carbonyl]-, 1-methylethyl ester (9CI) (CA INDEX NAME)

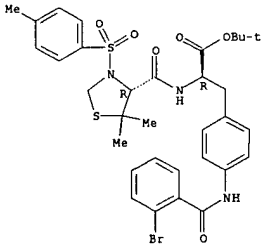
Absolute stereochemistry.

L11 ANSWER 16 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 220303-20-8 CAPLUS
CN D-Phenylalanine, 4-[(2-bromobenzoyl)amino]-N-[[(4R)-5,5-dimethyl-3-[(4-methylphenyl)sulfonyl]-4-thiazolidinyl]carbonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

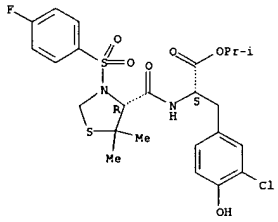
Absolute stereochemistry.



RN 220303-21-9 CAPLUS
CN L-Phenylalanine, 4-[(2-bromobenzoyl)amino]-N-[[(4R)-5,5-dimethyl-3-[(4-methylphenyl)sulfonyl]-4-thiazolidinyl]carbonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

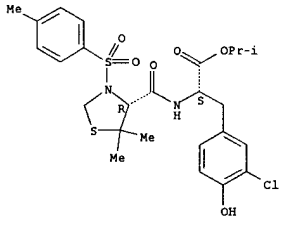
Absolute stereochemistry.

L11 ANSWER 16 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 220303-37-7 CAPLUS
CN L-Tyrosine, 3-chloro-N-[[(4R)-5,5-dimethyl-3-[(4-methylphenyl)sulfonyl]-4-thiazolidinyl]carbonyl]-, 1-methylethyl ester (9CI) (CA INDEX NAME)

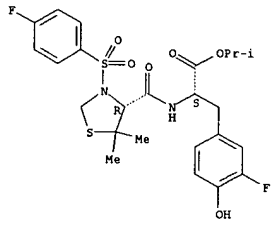
Absolute stereochemistry.



RN 220303-44-6 CAPLUS
CN L-Tyrosine, 3-fluoro-N-[[(4R)-3-[(4-fluorophenyl)sulfonyl]-5,5-dimethyl-4-thiazolidinyl]carbonyl]-, 1-methylethyl ester (9CI) (CA INDEX NAME)

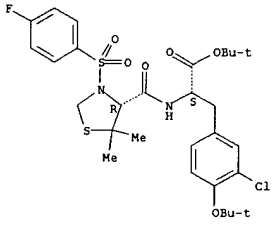
Absolute stereochemistry.

L11 ANSWER 16 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 220303-45-7 CAPLUS
CN L-Tyrosine, 3-chloro-O-(1,1-dimethylethyl)-N-[[(4R)-3-[(4-fluorophenyl)sulfonyl]-5,5-dimethyl-4-thiazolidinyl]carbonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

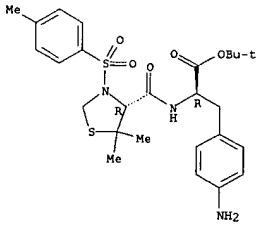
Absolute stereochemistry.



RN 220303-50-4 CAPLUS
CN L-Tyrosine, N-[[(4R)-3-[(4-fluorophenyl)sulfonyl]-5,5-dimethyl-4-thiazolidinyl]carbonyl]-, 1-methylethyl ester (9CI) (CA INDEX NAME)

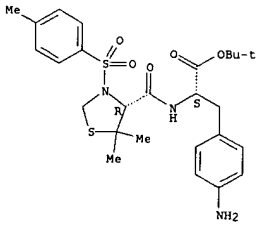
Absolute stereochemistry.

L11 ANSWER 16 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)



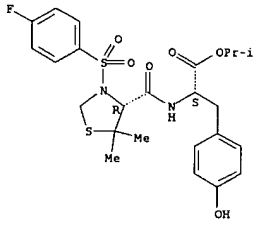
RN 220303-67-3 CAPLUS
CN L-Phenylalanine, 4-amino-N-[[(4R)-5,5-dimethyl-3-[(4-methylphenyl)sulfonyl]-4-thiazolidinyl]carbonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



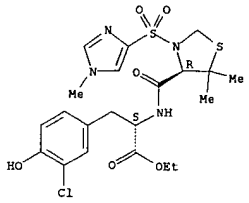
REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 16 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 220303-57-1 CAPLUS
CN L-Tyrosine, 3-chloro-N-[[(4R)-5,5-dimethyl-3-[(1-methyl-1H-imidazol-4-yl)sulfonyl]-4-thiazolidinyl]carbonyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **220303-66-2 220303-67-3**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of N-sulfonylproline dipeptide derivs. and analogs as inhibitors of leukocyte adhesion mediated by VLA-4)
RN 220303-66-2 CAPLUS
CN D-Phenylalanine, 4-amino-N-[[(4R)-5,5-dimethyl-3-[(4-methylphenyl)sulfonyl]-4-thiazolidinyl]carbonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 17 OF 37 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1999:113711 CAPLUS
DOCUMENT NUMBER: 130:153985
TITLE: Preparation of N-sulfonylprolylphenylalanine derivatives and analogs as inhibitors of leukocyte adhesion mediated by VLA-4
INVENTOR(S): Thorsett, Eugene D.; Semko, Christopher M.; Pleiss, Michael A.; Lombardo, Louis John; Konradi, Andrei W.; Grant, Francine S.; Dressen, Darren B.; Dappen, Michael S.
PATENT ASSIGNEE(S): Athena Neurosciences, Inc., USA; American Home Products Corporation
SOURCE: PCT Int. Appl., 172 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9906436	A1	19990211	WO 1998-US15327	19980731
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9885851	A1	19990222	AU 1998-85851	19980731
EP 1001975	A1	20000524	EP 1998-937054	19980731
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9811573	A	20000919	BR 1998-11573	19980731
JP 2001512138	T2	20010821	JP 2000-505191	19980731
US 6362341	B1	20020326	US 1998-127601	19980731
NO 2000000414	A	20000328	NO 2000-414	20000127

PRIORITY APPLN. INFO.: US 1997-112007P P 19970731
US 1997-903585 A1 19970731
WO 1998-US15327 W 19980731

OTHER SOURCE(S): MARPAT 130:153985

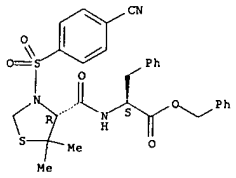
AB Disclosed are title compds. R1SO2NR2CHR3QCHR5COR6 [R1 = (un)substituted alkyl, (un)substituted aryl, (un)substituted cycloalkyl, (un)substituted heterocyclyl; R2NCHR3 form satd. heterocyclic group with the proviso that when monosubstituted, the substituent on the satd. heterocyclic group is not CO2H; R5 = (CH2)n-aryl, (CH2)n-heteroaryl; n = 1-4; Q = C(X)NR7; R7 = H, alkyl; X = O, S; R6 = NH2, (un)substituted alkoxy, (un)substituted cycloalkoxy, succinimidyloxy, adamantylamino, .beta.-cholest-5-en-3-yloxy, NHOY, NH(CH2)pCO2Y, OCH2NR9R10; Y = H, (un)substituted alkyl, (un)substituted aryl; p = 1-8; R9 = (un)substituted CO-aryl; R10 = H, CH2CO2R11, NHSO2Z; R11 = alkyl; Z = (un)substituted alkyl, (un)substituted cycloalkyl, (un)substituted aryl, (un)substituted heteroaryl, (un)substituted heterocyclyl; and pharmaceutically acceptable salts thereof, with the proviso that when R1 = 2,4,6-Me3C6H2, R2NCHR3 = pyrrolidinyl ring and Q = C(O)NH, then R5 .noteq. benzyl; with the further proviso that when R1 = 4-MeC6H4, R2NCHR3 = pyrrolidinyl derived from D-proline, and Q = C(O)NH, then R5 .noteq. benzyl derived from D-phenylalanine] which bind VLA-4 (also referred to as integrin .alpha.4.beta.1 and CD49d/CD29). Certain of these compds. also inhibit

L11 ANSWER 17 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)
leukocyte adhesion and, in particular, leukocyte adhesion mediated by VLA-4. Such compds. are useful in the treatment of inflammatory diseases in a mammalian patient, e.g., human, wherein the disease may be, for example, asthma, Alzheimer's disease, atherosclerosis, AIDS dementia, diabetes, inflammatory bowel disease, rheumatoid arthritis, tissue transplantation, tumor metastasis and myocardial ischemia. The compds. can also be administered for the treatment of inflammatory brain diseases such as multiple sclerosis. Thus, BOP-mediated coupling of Boc-L-Pro-OH with L-phenylalanine benzyl ester hydrochloride in the presence of N-methylmorpholine, followed by acidic deprotection, sulfonylation with MeSO2Cl, and catalytic deprotection to give desired dipeptide MeSO2-L-Pro-L-Phe-OH.

IT **220187-53-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of N-sulfonylprolylphenylalanine derivs. and analogs as inhibitors of leukocyte adhesion mediated by VLA-4)

RN 220187-53-1 CAPLUS
CN L-Phenylalanine, N-[[(4R)-3-[(4-cyanophenyl)sulfonyl]-5,5-dimethyl-4-thiazolidinyl]carbonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



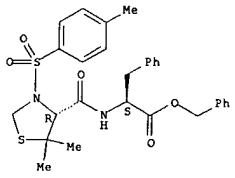
IT **220187-03-1P 220187-06-4P 220187-35-9P 220187-36-0P 220187-52-0P 220187-62-2P 220187-71-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-sulfonylprolylphenylalanine derivs. and analogs as inhibitors of leukocyte adhesion mediated by VLA-4)

RN 220187-03-1 CAPLUS
CN L-Phenylalanine, N-[[(4R)-5,5-dimethyl-3-[(4-methylphenyl)sulfonyl]-4-thiazolidinyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

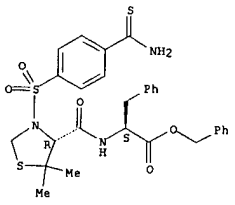
L11 ANSWER 17 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)

Absolute stereochemistry.



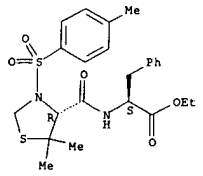
RN 220187-52-0 CAPLUS
CN L-Phenylalanine, N-[[(4R)-3-[[4-(aminothioxomethyl)phenyl]sulfonyl]-5,5-dimethyl-4-thiazolidinyl]carbonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

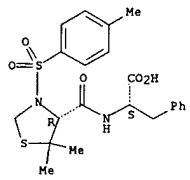


RN 220187-62-2 CAPLUS
CN L-Phenylalanine, N-[[(4R)-5,5-dimethyl-3-[(4-methylphenyl)sulfonyl]-4-thiazolidinyl]carbonyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

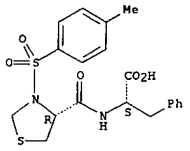


L11 ANSWER 17 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)



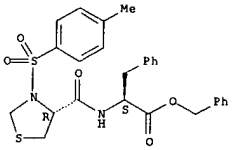
RN 220187-06-4 CAPLUS
CN L-Phenylalanine, N-[[(4R)-3-[(4-methylphenyl)sulfonyl]-4-thiazolidinyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 220187-35-9 CAPLUS
CN L-Phenylalanine, N-[[(4R)-3-[(4-methylphenyl)sulfonyl]-4-thiazolidinyl]carbonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

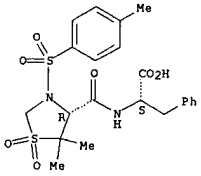


RN 220187-36-0 CAPLUS
CN L-Phenylalanine, N-[[(4R)-5,5-dimethyl-3-[(4-methylphenyl)sulfonyl]-4-thiazolidinyl]carbonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

L11 ANSWER 17 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)

RN 220187-71-3 CAPLUS
CN L-Phenylalanine, N-[[(4R)-5,5-dimethyl-3-[(4-methylphenyl)sulfonyl]-1,1-dioxido-4-thiazolidinyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

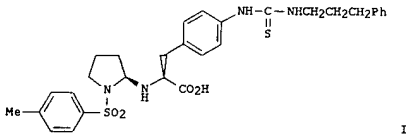


REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 18 OF 37 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:113709 CAPLUS
DOCUMENT NUMBER: 130:153983
TITLE: Preparation of N-sulfonylated aminophenylalanine dipeptide derivatives and analogs as inhibitors of leukocyte adhesion mediated by VLA-4
INVENTOR(S): Ashwell, Susan; Grant, Francine S.; Konradi, Andrei W.; Kreft, Anthony; Lombardo, Louis John; Pleiss, Michael A.; Sarantakis, Dimitrios; Semko, Christopher M.; Thorsett, Eugene D.
PATENT ASSIGNEE(S): Athena Neurosciences, Inc., USA; American Home Products Corporation
SOURCE: PCT Int. Appl., 164 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

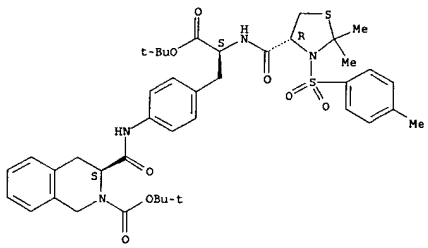
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9906434	A1	19990211	WO 1998-US15312	19980730
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9885846	A1	19990222	AU 1998-85846	19980730
ZA 9806837	A	20000502	ZA 1998-6837	19980730
EP 1001974	A1	20000524	EP 1998-937049	19980730
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9812118	A	20000718	BR 1998-12118	19980730
NO 2000000411	A	20000328	NO 2000-411	20000127

PRIORITY APPLN. INFO.: US 1997-920353 A1 19970731
WO 1998-US15312 W 19980730
OTHER SOURCE(S): MARPAT 130:153983
GI



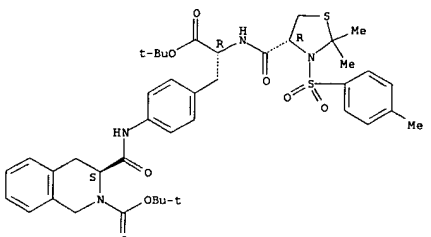
I

L11 ANSWER 18 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 220149-12-2 CAPLUS
CN 2(1H)-Isoquinolinecarboxylic acid, 3-[[[4-[(2R)-3-(1,1-dimethylethoxy)-2-[[[(4R)-2,2-dimethyl-3-[(4-methylphenyl)sulfonyl]-4-thiazolidinyl]carbonyl]amino]-3-oxopropyl]phenyl]amino]carbonyl]-3,4-dihydro-, 1,1-dimethylethyl ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 18 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)

AB Disclosed are title compds. R1SO2NR2CHR3QCHR5COR6 [R1 = (un)substituted alkyl, (un)substituted aryl, (un)substituted cycloalkyl, (un)substituted heterocyclyl; R2 = H, any group R1; R1R2 may form (un)substituted heterocyclic ring; R3 = H, any group R1; R2R3 may form (un)substituted heterocyclic ring; R5 = (CH2)x-Ar-R5'; R5' = NR12C(Z)NR8R8', NR12C(Z)R13; R12 = H, alkyl, aryl; R8, R8' = independently H, any group R1; R8R8' may form heterocyclic ring; R13 = satd. heterocycle; Z = O, S, NR13; x = 1-4; , (CH2)n-heteroaryl; n = 1-4; Q = C(X)NR7; R7 = H, alkyl; X = O, S; R6 = NH2, (un)substituted alkoxy, (un)substituted cycloalkoxy, succinimidyloxy, adamantylamino, .beta.-cholest-5-en-3-yloxy, NHOY, NH(CH2)pCO2Y, OCH2NR9R10; Y = H, (un)substituted alkyl, (un)substituted aryl; p = 1-8; R9 = (un)substituted CO-aryl; R10 = H, CH2CO2R11, NHSO2Z; R11 = alkyl; Z = (un)substituted alkyl, (un)substituted cycloalkyl, (un)substituted aryl, (un)substituted heteroaryl, (un)substituted heterocyclyl; and pharmaceutically acceptable salts thereof, with provisos] which bind VLA-4 (also referred to as integrin .alpha.4.beta.1 and CD49d/CD29). Certain of these compds. also inhibit leukocyte adhesion and, in particular, leukocyte adhesion mediated by VLA-4. Such compds. are useful in the treatment of inflammatory diseases in a mammalian patient, e.g., human, wherein the disease may be, for example, asthma, Alzheimer's disease, atherosclerosis, AIDS dementia, diabetes, inflammatory bowel disease, rheumatoid arthritis, tissue transplantation, tumor metastasis and myocardial ischemia. The compds. can also be administered for the treatment of inflammatory brain diseases such as multiple sclerosis. Thus, condensation of N-tosyl-L-prolyl-4-amino-L-phenylalanine Me ester with 3-phenylpropyl isothiocyanate gave the corresponding urea I.

IT **220149-11-1P 220149-12-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-sulfonylated aminophenylalanine dipeptide derivs. and analogs as inhibitors of leukocyte adhesion mediated by VLA-4)

RN 220149-11-1 CAPLUS
CN 2(1H)-Isoquinolinecarboxylic acid, 3-[[[4-[(2S)-3-(1,1-dimethylethoxy)-2-[[[(4R)-2,2-dimethyl-3-[(4-methylphenyl)sulfonyl]-4-thiazolidinyl]carbonyl]amino]-3-oxopropyl]phenyl]amino]carbonyl]-3,4-dihydro-, 1,1-dimethylethyl ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 19 OF 37 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:113707 CAPLUS
DOCUMENT NUMBER: 130:153981
TITLE: Preparation of N-sulfonyl dipeptide derivatives and analogs as inhibitors of leukocyte adhesion mediated by VLA-4
INVENTOR(S): Thorsett, Eugene D.; Semko, Christopher M.; Pleiss, Michael A.; Lombardo, Louis John; Grant, Francine S.; Dressen, Darren B.; Dappen, Michael S.
PATENT ASSIGNEE(S): Athena Neurosciences, Inc., USA; American Home Products Corporation
SOURCE: PCT Int. Appl., 155 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9906432	A1	19990211	WO 1998-US15325	19980731
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9885850	A1	19990222	AU 1998-85850	19980731
EP 1001971	A1	20000524	EP 1998-937053	19980731
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9812111	A	20000718	BR 1998-12111	19980731
JP 2001512135	T2	20010821	JP 2000-505187	19980731
US 6423688	B1	20020723	US 1998-126329	19980731
NO 2000000410	A	20000328	NO 2000-410	20000127

PRIORITY APPLN. INFO.: US 1997-904417 A1 19970731
US 1997-100429P P 19970731
WO 1998-US15325 W 19980731
OTHER SOURCE(S): MARPAT 130:153981
AB Disclosed are title compds. R1SO2NR2CHR3QCHR5COR6 [R1 = (un)substituted alkyl, (un)substituted aryl, (un)substituted cycloalkyl, (un)substituted heterocyclyl; R2 = H, any group R1; R1R2 may form (un)substituted heterocyclic ring; R3 = H, any group R1; R2R3 may form (un)substituted heterocyclic ring; R5 = Alk-X1, :CHY; Alk = alkyl chain of 1-10 carbon atoms; X1 = halo, CN, NO2, optionally substituted sulfonyl, sulfonyloxy, amino, alkyl, aryloxy, aryl, aryloxyaryl, carboxyalkyl, carboxyheteroaryl, etc.; Q = C(X)NR7; R7 = H, alkyl; X = O, S; R6 = NH2, (un)substituted alkoxy, (un)substituted cycloalkoxy, succinimidyloxy, adamantylamino, .beta.-cholest-5-en-3-yloxy, NHOY, NH(CH2)pCO2Y, OCH2NR9R10; Y = H, (un)substituted alkyl, (un)substituted aryl; p = 1-8; R9 = (un)substituted CO-aryl; R10 = H, CH2CO2R11, NHSO2Z; R11 = alkyl; Z = (un)substituted alkyl, (un)substituted cycloalkyl, (un)substituted aryl, (un)substituted heteroaryl, (un)substituted heterocyclyl; and pharmaceutically acceptable salts thereof, with provisos] which bind VLA-4 (also referred to as integrin .alpha.4.beta.1 and CD49d/CD29). Certain of these compds. also inhibit leukocyte adhesion and, in particular, leukocyte adhesion mediated by VLA-4. Such compds. are useful in the treatment of inflammatory diseases in a mammalian patient, e.g., human, wherein the disease may be,

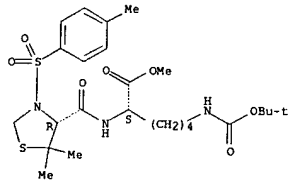
L11 ANSWER 19 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)
for example, asthma, Alzheimer's disease, atherosclerosis, AIDS dementia, diabetes, inflammatory bowel disease, rheumatoid arthritis, tissue transplantation, tumor metastasis and myocardial ischemia. The compds. can also be administered for the treatment of inflammatory brain diseases such as multiple sclerosis. Thus, BOP-mediated peptide coupling of Ts-Pro-OH (Ts = tosyl) with H-Asp(OCMe3)-OMe.HCl, followed by .alpha.-ester sapon., gave gave desired title compd. Ts-Pro-Asp(OCMe3)-OH. All prepd. compds. have IC50 .ltoreq. 15 .mu.M in a VLA-4 binding assay.

IT **220176-30-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of N-sulfonyl dipeptide derivs. and analogs as inhibitors of leukocyte adhesion mediated by VLA-4)

RN 220176-30-7 CAPLUS

CN L-Lysine, N6-[(1,1-dimethylethoxy)carbonyl]-N2-[[(4R)-5,5-dimethyl-3-[(4-methylphenyl)sulfonyl]-4-thiazolidinyl]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



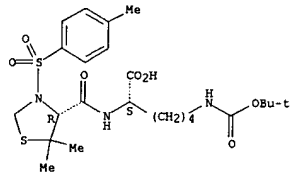
IT **220176-31-8P 220176-32-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-sulfonyl dipeptide derivs. and analogs as inhibitors of leukocyte adhesion mediated by VLA-4)

RN 220176-31-8 CAPLUS

CN L-Lysine, N6-[(1,1-dimethylethoxy)carbonyl]-N2-[[(4R)-5,5-dimethyl-3-[(4-methylphenyl)sulfonyl]-4-thiazolidinyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

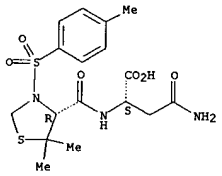
L11 ANSWER 19 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 220176-32-9 CAPLUS

CN L-Asparagine, N2-[[(4R)-5,5-dimethyl-3-[(4-methylphenyl)sulfonyl]-4-thiazolidinyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 20 OF 37 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1999:113706 CAPLUS

DOCUMENT NUMBER: 130:168661

TITLE: Preparation of N-sulfonyl phenylalanine dipeptide derivatives and analogs as inhibitors of leukocyte adhesion mediated by VLA-4

INVENTOR(S): Thorsett, Eugene D.; Semko, Christopher M.; Sarantakis, Dimitrios; Pleiss, Michael A.; Lombardo, Louis John; Kreft, Anthony; Konradi, Andrei W.; Grant, Francine S.; Dressen, Darren B.; Dappen, Michael S.; Baudy, Reinhardt Bernhard; Ashwell, Susan

PATENT ASSIGNEE(S): Athena Neurosciences, Inc., USA; American Home Products Corporation

SOURCE: PCT Int. Appl., 254 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9906431	A1	19990211	WO 1998-US15313	19980730
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9886611	A1	19990222	AU 1998-86611	19980730
ZA 9806827	A	20000502	ZA 1998-6827	19980730
EP 1001972	A1	20000524	EP 1998-937990	19980730
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9812114	A	20000718	BR 1998-12114	19980730
JP 2001512134	T2	20010821	JP 2000-505186	19980730
NO 2000000450	A	20000328	NO 2000-450	20000128
PRIORITY APPLN. INFO.:			US 1997-920394 A1	19970731
			WO 1998-US15313 W	19980730

OTHER SOURCE(S): MARPAT 130:168661

AB Disclosed are title compds. R1SO2NR2CHR3QCHR5COR6 [R1 = (un)substituted alkyl, (un)substituted aryl, (un)substituted cycloalkyl, (un)substituted heterocyclyl; R2 = H, any group R1; R1R2 may form (un)substituted heterocyclic ring; R3 = H, any group R1; R2R3 may form (un)substituted heterocyclic ring; R5 = (CH2)x-Ar-R5'; R5' = substituted alkylcarbonylamino, alkoxyaryl, aryl, heteroaryl, NR2, alkoxy-NR2, alkenyl, alkynyl, aryloxy, heteroaryloxy, tetrazolyl, etc.; each R = H, any group R1; Ar = (un)substituted aryl or heteroaryl; x = 1-4; Q = C(X)NR7; R7 = H, alkyl; X = O, S; R6 = NH2, (un)substituted alkoxy, (un)substituted cycloalkoxy, succinimidyloxy, adamantylamino, .beta.-cholest-5-en-3-yloxy, NHOY, NH(CH2)pCO2Y, OCH2NR9R10; Y = H, (un)substituted alkyl, (un)substituted aryl; p = 1-8; R9 = (un)substituted CO-aryl; R10 = H, CH2CO2R11, NHSO2Z; R11 = alkyl; Z = (un)substituted alkyl, (un)substituted cycloalkyl, (un)substituted aryl, (un)substituted heteroaryl, (un)substituted heterocyclyl; and pharmaceutically acceptable salts thereof, with provisos] which bind VLA-4 (also referred to as integrin .alpha.4.beta.1 and CD49d/CD29). Certain of these compds. also inhibit leukocyte adhesion and, in particular, leukocyte adhesion mediated

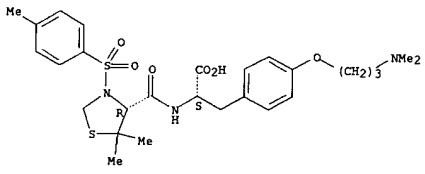
L11 ANSWER 20 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)
by VLA-4. Such compds. are useful in the treatment of inflammatory diseases in a mammalian patient, e.g., human, wherein the disease may be, for example, asthma, Alzheimer's disease, atherosclerosis, AIDS dementia, diabetes, inflammatory bowel disease, rheumatoid arthritis, tissue transplantation, tumor metastasis and myocardial ischemia. The compds. can also be administered for the treatment of inflammatory brain diseases such as multiple sclerosis. Thus, BOP-mediated peptide coupling of Ts-Pro-Phe(4-NH2)-OMe (Ts = tosyl) with Boc-Gly-OH, followed by sapon., gave desired title compd. Ts-Pro-Phe(4-Boc-Gly-NH)-OH. All prepd. compds. have IC50 .ltoreq. 15 .mu.M in a VLA-4 binding assay.

IT **220397-12-6P 220397-28-4P 220397-30-8P**
220397-67-1P 220397-70-6P 220397-72-8P
220397-78-4P 220398-05-0P 220398-08-3P
220398-25-4P 220398-28-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-sulfonyl phenylalanine dipeptide derivs. and analogs as inhibitors of leukocyte adhesion mediated by VLA-4)

RN 220397-12-6 CAPLUS

CN L-Tyrosine, O-[3-(dimethylamino)propyl]-N-[[(4R)-5,5-dimethyl-3-[(4-methylphenyl)sulfonyl]-4-thiazolidinyl]carbonyl]- (9CI) (CA INDEX NAME)

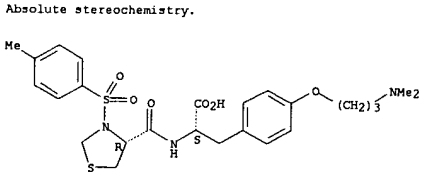
Absolute stereochemistry.



RN 220397-28-4 CAPLUS

CN L-Tyrosine, O-[3-(dimethylamino)propyl]-N-[[(4R)-3-[(4-methylphenyl)sulfonyl]-4-thiazolidinyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

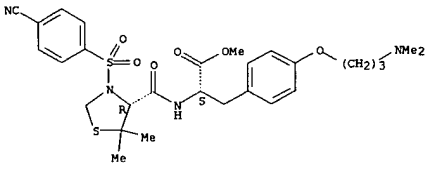


RN 220397-30-8 CAPLUS

CN L-Tyrosine, N-[[(4R)-3-[(4-cyanophenyl)sulfonyl]-5,5-dimethyl-4-

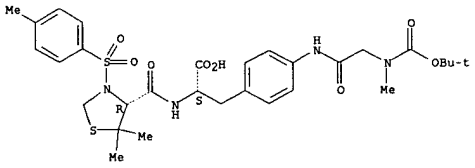
L11 ANSWER 20 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)
thiazolidinyl]carbonyl]-O-[3-(dimethylamino)propyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 220397-67-1 CAPLUS
CN L-Phenylalanine, 4-[[[[(1,1-dimethylethoxy)carbonyl]methylamino]acetyl]amino]-N-[[(4R)-5,5-dimethyl-3-[(4-methylphenyl)sulfonyl]-4-thiazolidinyl]carbonyl]- (9CI) (CA INDEX NAME)

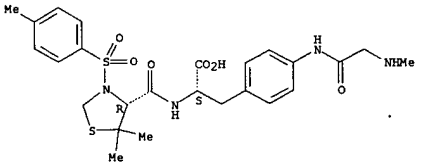
Absolute stereochemistry.



RN 220397-70-6 CAPLUS
CN L-Phenylalanine, N-[[(4R)-5,5-dimethyl-3-[(4-methylphenyl)sulfonyl]-4-thiazolidinyl]carbonyl]-4-[[(methylamino)acetyl]amino]- (9CI) (CA INDEX NAME)

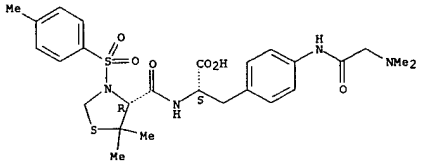
Absolute stereochemistry.

L11 ANSWER 20 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 220397-72-8 CAPLUS
CN L-Phenylalanine, 4-[[(dimethylamino)acetyl]amino]-N-[[(4R)-5,5-dimethyl-3-[(4-methylphenyl)sulfonyl]-4-thiazolidinyl]carbonyl]- (9CI) (CA INDEX NAME)

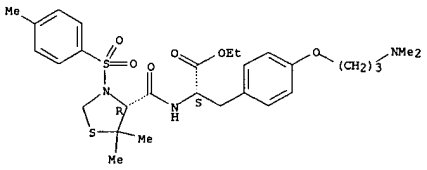
Absolute stereochemistry.



RN 220397-78-4 CAPLUS
CN L-Tyrosine, O-[3-(dimethylamino)propyl]-N-[[(4R)-5,5-dimethyl-3-[(4-methylphenyl)sulfonyl]-4-thiazolidinyl]carbonyl]-, ethyl ester (9CI) (CA INDEX NAME)

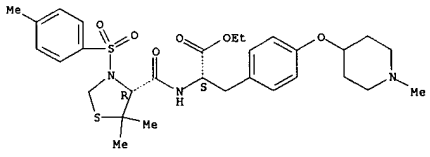
Absolute stereochemistry.

L11 ANSWER 20 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)



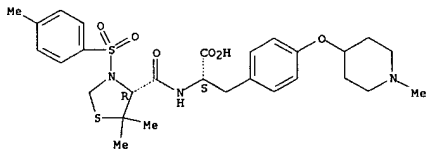
RN 220398-05-0 CAPLUS
CN L-Tyrosine, N-[[(4R)-5,5-dimethyl-3-[(4-methylphenyl)sulfonyl]-4-thiazolidinyl]carbonyl]-O-(1-methyl-4-piperidinyl)-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



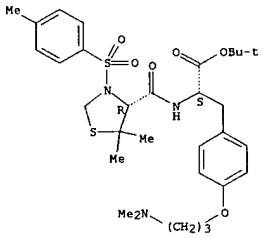
RN 220398-08-3 CAPLUS
CN L-Tyrosine, N-[[(4R)-5,5-dimethyl-3-[(4-methylphenyl)sulfonyl]-4-thiazolidinyl]carbonyl]-O-(1-methyl-4-piperidinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



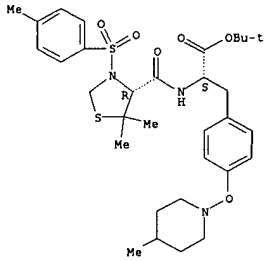
RN 220398-25-4 CAPLUS
CN L-Tyrosine, O-[3-(dimethylamino)propyl]-N-[[(4R)-5,5-dimethyl-3-[(4-methylphenyl)sulfonyl]-4-thiazolidinyl]carbonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

L11 ANSWER 20 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)
Absolute stereochemistry.



RN 220398-28-7 CAPLUS
CN L-Tyrosine, N-[[(4R)-5,5-dimethyl-3-[(4-methylphenyl)sulfonyl]-4-thiazolidinyl]carbonyl]-O-(4-methyl-1-piperidinyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

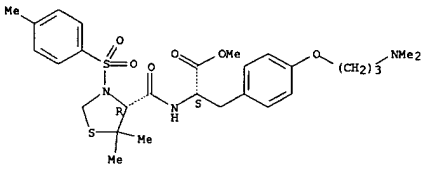


IT **220398-43-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of N-sulfonyl phenylalanine dipeptide derivs. and analogs as inhibitors of leukocyte adhesion mediated by VLA-4)

RN 220398-43-6 CAPLUS
CN L-Tyrosine, O-[3-(dimethylamino)propyl]-N-[[(4R)-5,5-dimethyl-3-[(4-methylphenyl)sulfonyl]-4-thiazolidinyl]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 20 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 21 OF 37 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:113667 CAPLUS
DOCUMENT NUMBER: 130:177528
TITLE: .alpha.9-Integrin antagonists and anti-inflammatory compositions
INVENTOR(S): Yednock, Theodore A.; Pleiss, Michael A.
PATENT ASSIGNEE(S): Athena Neurosciences, Inc., USA
SOURCE: PCT Int. Appl., 60 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9906391	A1	19990211	WO 1998-US15958	19980731
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
ZA 9806830	A	20000502	ZA 1998-6830	19980730
AU 9886050	A1	19990222	AU 1998-86050	19980731
EP 954519	A1	19991110	EP 1998-937310	19980731
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2001502361	T2	20010220	JP 1999-511273	19980731
US 2002039745	A1	20020404	US 1998-127364	19980731

PRIORITY APPLN. INFO.: US 1997-904424 A 19970731
US 1997-54453P P 19970801
WO 1998-US15958 W 19980731

OTHER SOURCE(S): MARPAT 130:177528

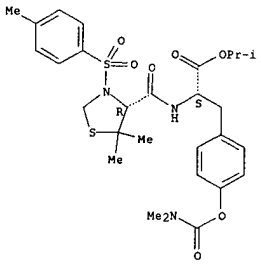
AB Pharmaceutical compns. and methods are provided for treating inflammatory conditions, particularly those that are characterized by increased binding of .alpha.9-integrin to one or more of its ligands. Also disclosed are methods for selecting compds. for use in such compns. and methods.

IT **220544-01-4P 220544-02-5P 220544-21-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction; .alpha.9-integrin antagonists and anti-inflammatory compns.)

RN 220544-01-4 CAPLUS
CN L-Tyrosine, N-[[(4R)-5,5-dimethyl-3-[(4-methylphenyl)sulfonyl]-4-thiazolidinyl]carbonyl]-, 1-methylethyl ester, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

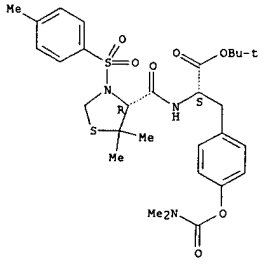
Absolute stereochemistry.

L11 ANSWER 21 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 220544-02-5 CAPLUS
CN L-Tyrosine, N-[[(4R)-5,5-dimethyl-3-[(4-methylphenyl)sulfonyl]-4-thiazolidinyl]carbonyl]-, 1,1-dimethylethyl ester, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

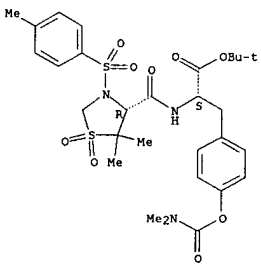
Absolute stereochemistry.



RN 220544-21-8 CAPLUS
CN L-Tyrosine, N-[[(4R)-5,5-dimethyl-3-[(4-methylphenyl)sulfonyl]-1,1-dioxido-4-thiazolidinyl]carbonyl]-, 1,1-dimethylethyl ester, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

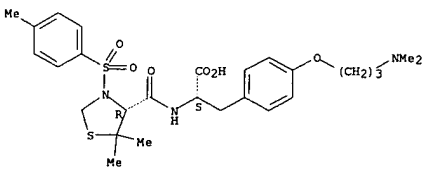
L11 ANSWER 21 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)



IT **220397-12-6 220544-23-0**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(.alpha.9-integrin antagonists and anti-inflammatory compns.)

RN 220397-12-6 CAPLUS
CN L-Tyrosine, O-[3-(dimethylamino)propyl]-N-[[(4R)-5,5-dimethyl-3-[(4-methylphenyl)sulfonyl]-4-thiazolidinyl]carbonyl]- (9CI) (CA INDEX NAME)

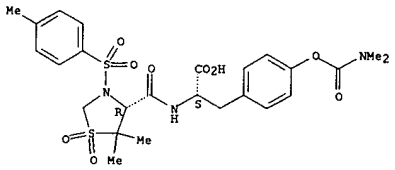
Absolute stereochemistry.



RN 220544-23-0 CAPLUS
CN L-Tyrosine, N-[[(4R)-5,5-dimethyl-3-[(4-methylphenyl)sulfonyl]-1,1-dioxido-4-thiazolidinyl]carbonyl]-, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

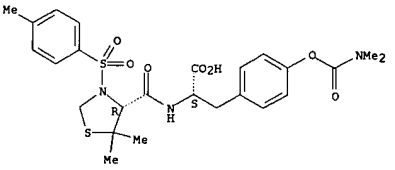
Absolute stereochemistry.

L11 ANSWER 21 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)



IT **220544-03-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(.alpha.9-integrin antagonists and anti-inflammatory compns.)
RN 220544-03-6 CAPLUS
CN L-Tyrosine, N-[[(4R)-5,5-dimethyl-3-[(4-methylphenyl)sulfonyl]-4-thiazolidinyl]carbonyl]-, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 22 OF 37 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:113666 CAPLUS
DOCUMENT NUMBER: 130:182768
TITLE: Preparation of N-sulfonyl O-carbamoyltyrosine dipeptide derivatives and analogs as inhibitors of leukocyte adhesion mediated by VLA-4
INVENTOR(S): Thorsett, Eugene D.; Semko, Christopher M.; Sarantakis, Dimitrios; Pleiss, Michael A.; Kreft, Anthony; Konradi, Andrei W.; Grant, Francine S.; Dressen, Darren B.; Ashwell, Susan; Baudy, Reinhardt Bernhard; Lombardo, Louis John
PATENT ASSIGNEE(S): Athena Neurosciences, Inc., USA; American Home Products Corporation
SOURCE: PCT Int. Appl., 386 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9906390	A1	19990211	WO 1998-US15324	19980731
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
ZA 9806830	A	20000502	ZA 1998-6830	19980730
AU 9885849	A1	19990222	AU 1998-85849	19980731
AU 740681	B2	20011108		
EP 1000051	A1	20000517	EP 1998-937052	19980731
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9811598	A	20001003	BR 1998-11598	19980731
JP 2001512114	T2	20010821	JP 2000-505149	19980731
US 2002039745	A1	20020404	US 1998-127364	19980731

PRIORITY APPLN. INFO.: US 1997-904424 A1 19970731
US 1997-54453P P 19970801
WO 1998-US15324 W 19980731

OTHER SOURCE(S): MARPAT 130:182768

AB Disclosed are title compds. R1SO2NR2CHR3QCHR5COR6 [R1 = (un)substituted alkyl, (un)substituted aryl, (un)substituted cycloalkyl, (un)substituted heterocyclyl; R2 = H, any group R1; R1R2 may form (un)substituted heterocyclic ring; R3 = H, any group R1; R2R3 may form (un)substituted heterocyclic ring; R5 = (CH2)x-Ar-R5'; R5' = OZNR8R8', OZR12; R8, R8' = independently H, (un)substituted alkyl, (un)substituted cycloalkyl, (un)substituted heterocyclyl; R12 = (un)substituted heterocyclyl; Z = CO, SO2; Ar = (un)substituted aryl or heteroaryl; x = 1-4; Q = C(X)NR7; R7 = H, alkyl; X = O, S; R6 = NH2, (un)substituted alkoxy, (un)substituted cycloalkoxy, succinimidyloxy, adamantylamino, .beta.-cholest-5-en-3-yloxy, NHOY, NH(CH2)pCO2Y, OCH2NR9R10; Y = H, (un)substituted alkyl, (un)substituted aryl; p = 1-8; R9 = (un)substituted CO-aryl; R10 = H, CH2CO2R11, NHSO2Z'; R11 = alkyl; Z' = (un)substituted alkyl, (un)substituted cycloalkyl, (un)substituted aryl, (un)substituted heteroaryl, (un)substituted heterocyclyl; and pharmaceutically acceptable salts thereof, with provisos] which bind VLA-4 (also referred to as integrin .alpha.4.beta.1 and CD49d/CD29). Certain of these compds. also inhibit leukocyte adhesion and, in particular, leukocyte adhesion mediated by VLA-4. Such compds. are useful in the treatment of inflammatory diseases in a mammalian patient, e.g., human, wherein the disease may be, for example, asthma, Alzheimer's disease, atherosclerosis, AIDS dementia, diabetes, inflammatory bowel disease, rheumatoid arthritis, tissue transplantation, tumor metastasis and myocardial ischemia. The compds. can also be administered for the treatment of inflammatory brain diseases such as multiple sclerosis. Thus, carbamoylation of Ts-Pro-Tyr-OEt (Ts = tosyl) with Me2NCOCl in the presence of Et3N and DMAP gave 99% desired title compd. Ts-Pro-Tyr(CONMe2)-OEt (I). Sapon. of I gave the corresponding free acid Ts-Pro-Tyr(CONMe2)-OH. All prepd. compds. have IC50 .ltoreq. 15 .mu.M in a VLA-4 binding assay.

L11 ANSWER 22 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)

IT **220544-01-4P 220544-02-5P 220544-06-9P**
220544-21-8P 220544-52-5P 220544-57-0P
220544-59-2P 220544-68-3P 220544-69-4P
220544-99-0P 220545-24-4P 220545-25-5P
220545-48-2P 220545-59-5P 220545-84-6P
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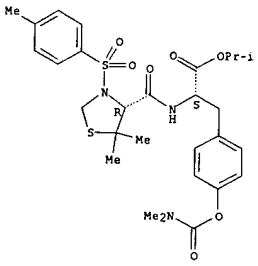
220546-63-4P 220546-65-6P 220546-67-8P
220547-27-3P 220551-48-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of N-sulfonyl O-carbamoyltyrosine dipeptide derivs. and analogs as inhibitors of leukocyte adhesion mediated by VLA-4)

RN 220544-01-4 CAPLUS
CN L-Tyrosine, N-[[(4R)-5,5-dimethyl-3-[(4-methylphenyl)sulfonyl]-4-thiazolidinyl]carbonyl]-, 1-methylethyl ester, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

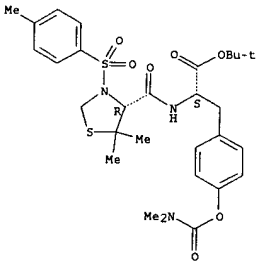
Absolute stereochemistry.



L11 ANSWER 22 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)

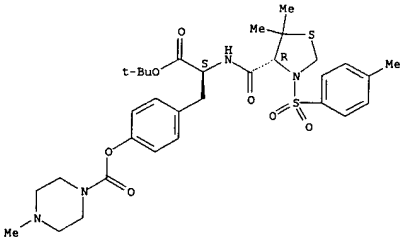
RN 220544-02-5 CAPLUS
CN L-Tyrosine, N-[[(4R)-5,5-dimethyl-3-[(4-methylphenyl)sulfonyl]-4-thiazolidinyl]carbonyl]-, 1,1-dimethylethyl ester, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



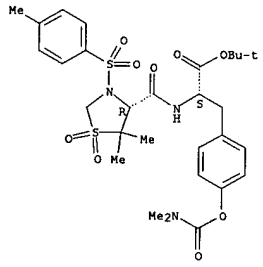
RN 220544-06-9 CAPLUS
CN L-Tyrosine, N-[[(4R)-5,5-dimethyl-3-[(4-methylphenyl)sulfonyl]-4-thiazolidinyl]carbonyl]-, 1,1-dimethylethyl ester, 4-methyl-1-piperazinecarboxylate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



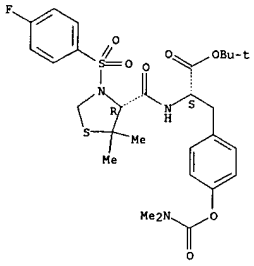
RN 220544-21-8 CAPLUS
CN L-Tyrosine, N-[[(4R)-5,5-dimethyl-3-[(4-methylphenyl)sulfonyl]-1,1-dioxido-4-thiazolidinyl]carbonyl]-, 1,1-dimethylethyl ester, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

L11 ANSWER 22 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)
Absolute stereochemistry.



RN 220544-52-5 CAPLUS
CN L-Tyrosine, N-[[(4R)-3-[(4-fluorophenyl)sulfonyl]-5,5-dimethyl-4-thiazolidinyl]carbonyl]-, 1,1-dimethylethyl ester, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

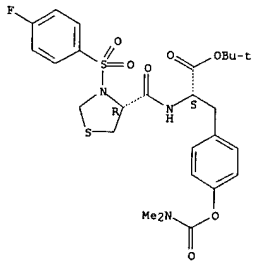
Absolute stereochemistry.



RN 220544-57-0 CAPLUS
CN L-Tyrosine, N-[[(4R)-5,5-dimethyl-3-[[4-(trifluoromethoxy)phenyl]sulfonyl]-4-thiazolidinyl]carbonyl]-, 1,1-dimethylethyl ester, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

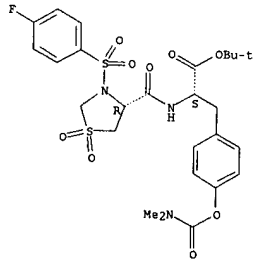
L11 ANSWER 22 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)
(ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 220544-69-4 CAPLUS
CN L-Tyrosine, N-[[(4R)-3-[(4-fluorophenyl)sulfonyl]-1,1-dioxido-4-thiazolidinyl]carbonyl]-, 1,1-dimethylethyl ester, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

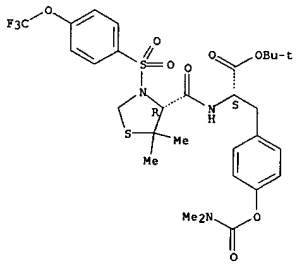


RN 220544-99-0 CAPLUS
CN L-Tyrosine, N-[[(4R)-5,5-dimethyl-3-[(phenylmethyl)sulfonyl]-4-thiazolidinyl]carbonyl]-, 1,1-dimethylethyl ester, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

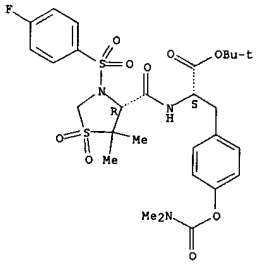
L11 ANSWER 22 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)

Absolute stereochemistry.



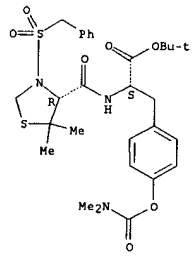
RN 220544-59-2 CAPLUS
CN L-Tyrosine, N-[[(4R)-3-[(4-fluorophenyl)sulfonyl]-5,5-dimethyl-1,1-dioxido-4-thiazolidinyl]carbonyl]-, 1,1-dimethylethyl ester, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



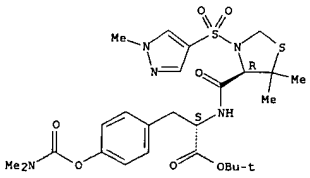
RN 220544-68-3 CAPLUS
CN L-Tyrosine, N-[[(4R)-3-[(4-fluorophenyl)sulfonyl]-4-thiazolidinyl]carbonyl]-, 1,1-dimethylethyl ester, dimethylcarbamate

L11 ANSWER 22 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 220545-24-4 CAPLUS
CN L-Tyrosine, N-[[(4R)-5,5-dimethyl-3-[(1-methyl-1H-pyrazol-4-yl)sulfonyl]-4-thiazolidinyl]carbonyl]-, 1,1-dimethylethyl ester, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

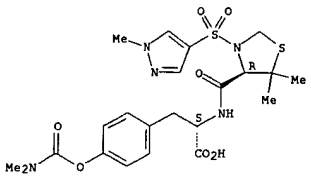
Absolute stereochemistry.



RN 220545-25-5 CAPLUS
CN L-Tyrosine, N-[[(4R)-5,5-dimethyl-3-[(1-methyl-1H-pyrazol-4-yl)sulfonyl]-4-thiazolidinyl]carbonyl]-, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

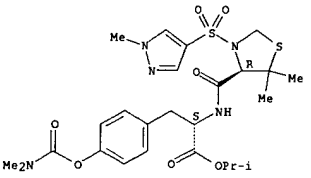
Absolute stereochemistry.

L11 ANSWER 22 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 220545-48-2 CAPLUS
CN L-Tyrosine, N-[[(4R)-5,5-dimethyl-3-[(1-methyl-1H-pyrazol-4-yl)sulfonyl]-4-thiazolidinyl]carbonyl]-, 1-methylethyl ester, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

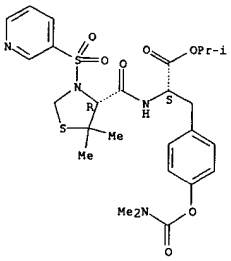
Absolute stereochemistry.



RN 220545-59-5 CAPLUS
CN L-Tyrosine, N-[[(4R)-5,5-dimethyl-3-(3-pyridinylsulfonyl)-4-thiazolidinyl]carbonyl]-, 1-methylethyl ester, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

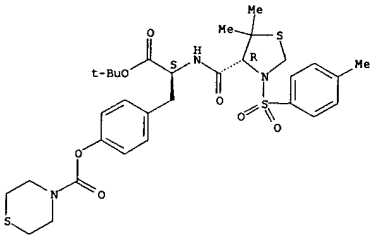
Absolute stereochemistry.

L11 ANSWER 22 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 220545-84-6 CAPLUS
CN L-Tyrosine, N-[[(4R)-5,5-dimethyl-3-[(4-methylphenyl)sulfonyl]-4-thiazolidinyl]carbonyl]-, 1,1-dimethylethyl ester, 4-thiomorpholinecarboxylate (ester) (9CI) (CA INDEX NAME)

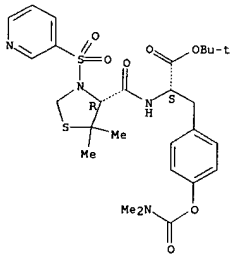
Absolute stereochemistry.



RN 220545-91-5 CAPLUS
CN L-Tyrosine, N-[[(4R)-5,5-dimethyl-3-(3-pyridinylsulfonyl)-4-thiazolidinyl]carbonyl]-, 1,1-dimethylethyl ester, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

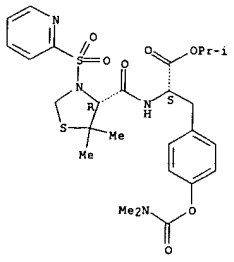
Absolute stereochemistry.

L11 ANSWER 22 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 220545-97-1 CAPLUS
CN L-Tyrosine, N-[[(4R)-5,5-dimethyl-3-(2-pyridinylsulfonyl)-4-thiazolidinyl]carbonyl]-, 1-methylethyl ester, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

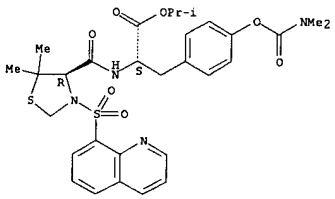
Absolute stereochemistry.



RN 220546-39-4 CAPLUS
CN L-Tyrosine, N-[[(4R)-5,5-dimethyl-3-(8-quinolinylsulfonyl)-4-thiazolidinyl]carbonyl]-, 1-methylethyl ester, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

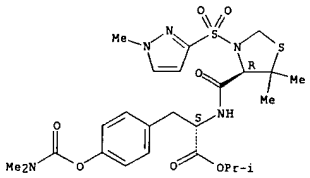
Absolute stereochemistry.

L11 ANSWER 22 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 220546-63-4 CAPLUS
CN L-Tyrosine, N-[[(4R)-5,5-dimethyl-3-[(1-methyl-1H-pyrazol-3-yl)sulfonyl]-4-thiazolidinyl]carbonyl]-, 1-methylethyl ester, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

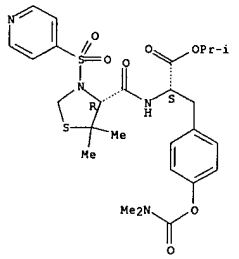
Absolute stereochemistry.



RN 220546-65-6 CAPLUS
CN L-Tyrosine, N-[[(4R)-5,5-dimethyl-3-(4-pyridinylsulfonyl)-4-thiazolidinyl]carbonyl]-, 1-methylethyl ester, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

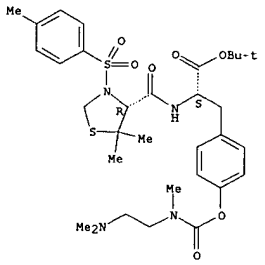
Absolute stereochemistry.

L11 ANSWER 22 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 220546-67-8 CAPLUS
CN L-Tyrosine, N-[[(4R)-5,5-dimethyl-3-[(4-methylphenyl)sulfonyl]-4-thiazolidinyl]carbonyl]-, 1,1-dimethylethyl ester, [2-(dimethylamino)ethyl]methylcarbamate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

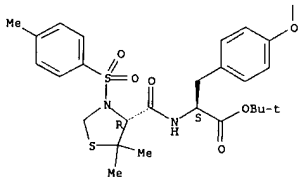


RN 220547-27-3 CAPLUS
CN L-Tyrosine, N-[[(4R)-5,5-dimethyl-3-[(4-methylphenyl)sulfonyl]-4-thiazolidinyl]carbonyl]-, 1,1-dimethylethyl ester, 1,4-piperazinedicarboxylate (2:1) (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

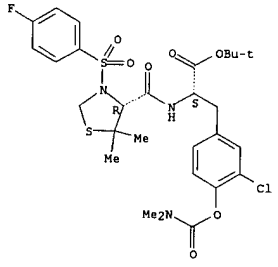
L11 ANSWER 22 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)

PAGE 2-A



RN 220551-48-4 CAPLUS
CN L-Tyrosine, 3-chloro-N-[[(4R)-3-[(4-fluorophenyl)sulfonyl]-5,5-dimethyl-4-thiazolidinyl]carbonyl]-, 1,1-dimethylethyl ester, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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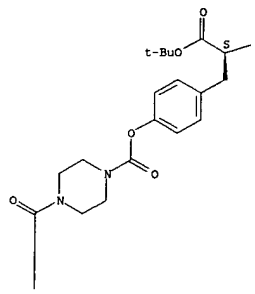
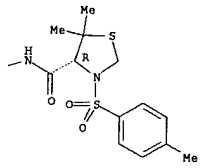
L11 ANSWER 22 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)

PAGE 1-A



PAGE 1-B



L11 ANSWER 22 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)

220546-69-0P 220546-71-4P 220546-72-5P
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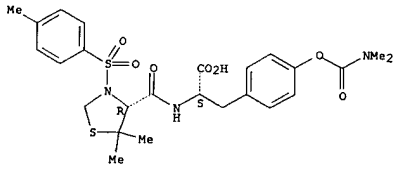
220546-76-9P 220546-77-0P 220546-79-2P
220546-80-5P 220546-86-1P 220547-28-4P
220547-29-5P 220547-30-8P 220547-33-1P
220547-34-2P 220547-35-3P 220547-38-6P
220547-39-7P 220547-42-2P 220547-43-3P
220547-45-5P 220547-46-6P 220547-47-7P
220547-48-8P 220547-51-3P 220547-53-5P
220547-54-6P 220547-56-8P 220547-62-6P
220547-63-7P 220547-64-8P 220547-65-9P
220547-76-2P 220547-77-3P 220547-80-8P
220547-84-2P 220547-88-6P 220547-90-0P
220547-91-1P 220547-92-2P 220547-93-3P
220551-45-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-sulfonyl O-carbamoyltyrosine dipeptide derivs. and analogs as inhibitors of leukocyte adhesion mediated by VLA-4)

RN 220544-03-6 CAPLUS
CN L-Tyrosine, N-[[(4R)-5,5-dimethyl-3-[(4-methylphenyl)sulfonyl]-4-thiazolidinyl]carbonyl]-, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

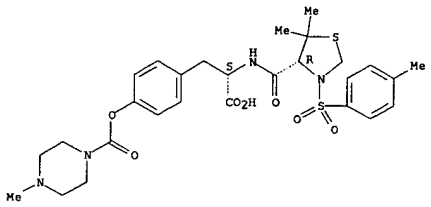
Absolute stereochemistry.



RN 220544-07-0 CAPLUS
CN L-Tyrosine, N-[[(4R)-5,5-dimethyl-3-[(4-methylphenyl)sulfonyl]-4-thiazolidinyl]carbonyl]-, 4-methyl-1-piperazinecarboxylate (ester) (9CI) (CA INDEX NAME)

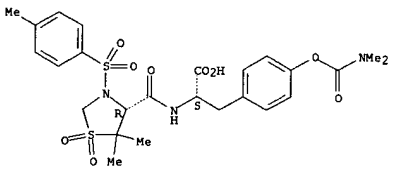
Absolute stereochemistry.

L11 ANSWER 22 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 220544-23-0 CAPLUS
CN L-Tyrosine, N-[[(4R)-5,5-dimethyl-3-[(4-methylphenyl)sulfonyl]-1,1-dioxido-4-thiazolidinyl]carbonyl]-, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

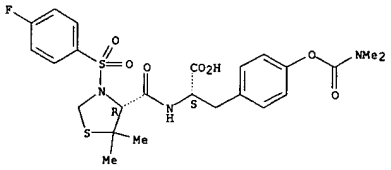
Absolute stereochemistry.



RN 220544-51-4 CAPLUS
CN L-Tyrosine, N-[[(4R)-3-[(4-fluorophenyl)sulfonyl]-5,5-dimethyl-4-thiazolidinyl]carbonyl]-, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

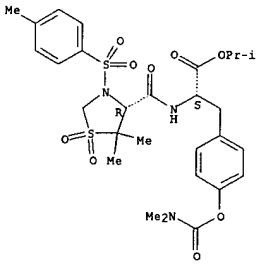
Absolute stereochemistry.

L11 ANSWER 22 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 220544-60-5 CAPLUS
CN L-Tyrosine, N-[[(4R)-5,5-dimethyl-3-[(4-methylphenyl)sulfonyl]-1,1-dioxido-4-thiazolidinyl]carbonyl]-, 1-methylethyl ester, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

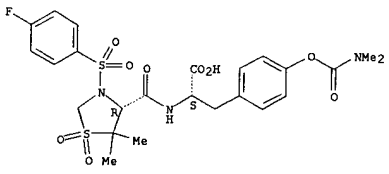
Absolute stereochemistry.



RN 220544-63-8 CAPLUS
CN L-Tyrosine, N-[[(4R)-3-[(4-fluorophenyl)sulfonyl]-5,5-dimethyl-1,1-dioxido-4-thiazolidinyl]carbonyl]-, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

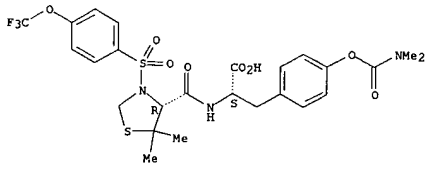
Absolute stereochemistry.

L11 ANSWER 22 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)



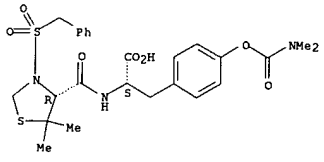
RN 220544-78-5 CAPLUS
CN L-Tyrosine, N-[[(4R)-5,5-dimethyl-3-[[4-(trifluoromethoxy)phenyl]sulfonyl]-4-thiazolidinyl]carbonyl]-, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 220544-96-7 CAPLUS
CN L-Tyrosine, N-[[(4R)-5,5-dimethyl-3-[(phenylmethyl)sulfonyl]-4-thiazolidinyl]carbonyl]-, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

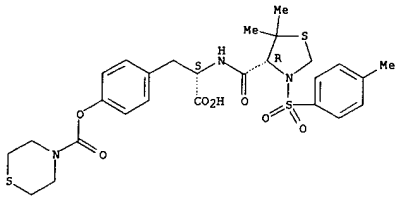
Absolute stereochemistry.



RN 220545-85-7 CAPLUS
CN L-Tyrosine, N-[[(4R)-5,5-dimethyl-3-[(4-methylphenyl)sulfonyl]-4-thiazolidinyl]carbonyl]-, 4-thiomorpholinecarboxylate (ester) (9CI) (CA INDEX NAME)

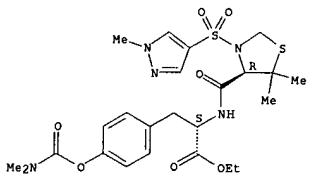
L11 ANSWER 22 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)

Absolute stereochemistry.



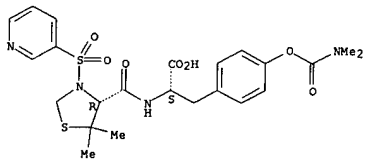
RN 220545-87-9 CAPLUS
CN L-Tyrosine, N-[[(4R)-5,5-dimethyl-3-[(1-methyl-1H-pyrazol-4-yl)sulfonyl]-4-thiazolidinyl]carbonyl]-, ethyl ester, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



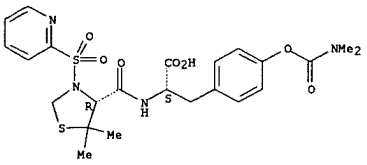
RN 220545-89-1 CAPLUS
CN L-Tyrosine, N-[[(4R)-5,5-dimethyl-3-(3-pyridinylsulfonyl)-4-thiazolidinyl]carbonyl]-, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



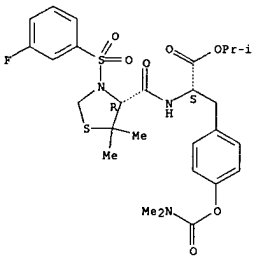
L11 ANSWER 22 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)
RN 220545-99-3 CAPLUS
CN L-Tyrosine, N-[[(4R)-5,5-dimethyl-3-(2-pyridinylsulfonyl)-4-thiazolidinyl]carbonyl]-, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 220546-03-2 CAPLUS
CN L-Tyrosine, N-[[(4R)-3-[(3-fluorophenyl)sulfonyl]-5,5-dimethyl-4-thiazolidinyl]carbonyl]-, 1-methylethyl ester, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

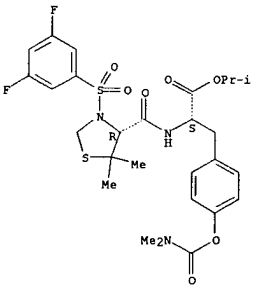
Absolute stereochemistry.



RN 220546-05-4 CAPLUS
CN L-Tyrosine, N-[[(4R)-3-[(2-fluorophenyl)sulfonyl]-5,5-dimethyl-4-thiazolidinyl]carbonyl]-, 1-methylethyl ester, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

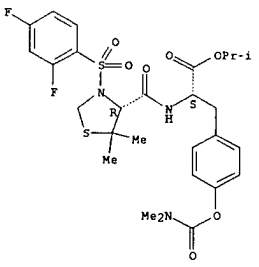
Absolute stereochemistry.

L11 ANSWER 22 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)
Absolute stereochemistry.



RN 220546-11-2 CAPLUS
CN L-Tyrosine, N-[[(4R)-3-[(2,4-difluorophenyl)sulfonyl]-5,5-dimethyl-4-thiazolidinyl]carbonyl]-, 1-methylethyl ester, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

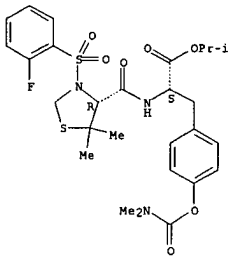
Absolute stereochemistry.



RN 220546-13-4 CAPLUS
CN L-Tyrosine, N-[[(4R)-3-[(4-chlorophenyl)sulfonyl]-5,5-dimethyl-4-thiazolidinyl]carbonyl]-, 1-methylethyl ester, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

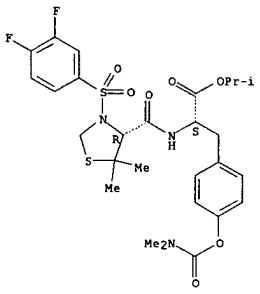
Absolute stereochemistry.

L11 ANSWER 22 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)



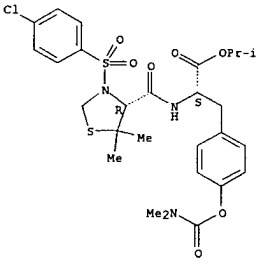
RN 220546-07-6 CAPLUS
CN L-Tyrosine, N-[[(4R)-3-[(3,4-difluorophenyl)sulfonyl]-5,5-dimethyl-4-thiazolidinyl]carbonyl]-, 1-methylethyl ester, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



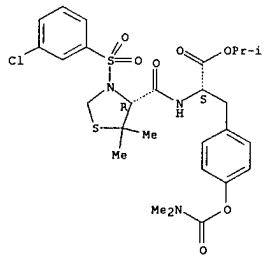
RN 220546-09-8 CAPLUS
CN L-Tyrosine, N-[[(4R)-3-[(3,5-difluorophenyl)sulfonyl]-5,5-dimethyl-4-thiazolidinyl]carbonyl]-, 1-methylethyl ester, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

L11 ANSWER 22 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 220546-15-6 CAPLUS
CN L-Tyrosine, N-[[(4R)-3-[(3-chlorophenyl)sulfonyl]-5,5-dimethyl-4-thiazolidinyl]carbonyl]-, 1-methylethyl ester, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

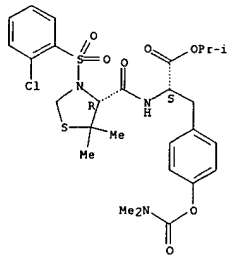
Absolute stereochemistry.



RN 220546-17-8 CAPLUS
CN L-Tyrosine, N-[[(4R)-3-[(2-chlorophenyl)sulfonyl]-5,5-dimethyl-4-thiazolidinyl]carbonyl]-, 1-methylethyl ester, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

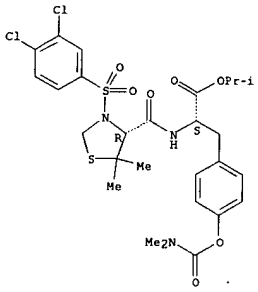
Absolute stereochemistry.

L11 ANSWER 22 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 220546-19-0 CAPLUS
CN L-Tyrosine, N-[[(4R)-3-[(3,4-dichlorophenyl)sulfonyl]-5,5-dimethyl-4-thiazolidinyl]carbonyl]-, 1-methylethyl ester, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

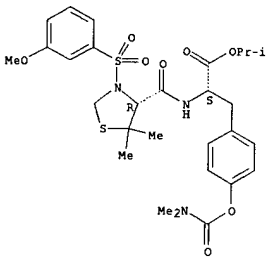
Absolute stereochemistry.



RN 220546-20-3 CAPLUS
CN L-Tyrosine, N-[[(4R)-3-[(3,5-dichlorophenyl)sulfonyl]-5,5-dimethyl-4-thiazolidinyl]carbonyl]-, 1-methylethyl ester, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

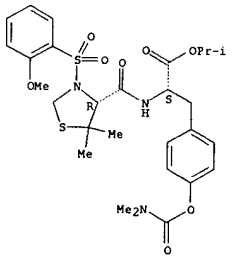
L11 ANSWER 22 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 220546-25-8 CAPLUS
CN L-Tyrosine, N-[[(4R)-3-[(2-methoxyphenyl)sulfonyl]-5,5-dimethyl-4-thiazolidinyl]carbonyl]-, 1-methylethyl ester, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

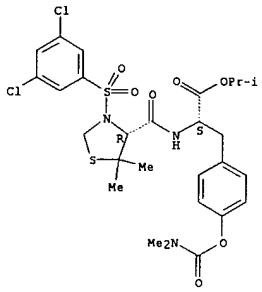
Absolute stereochemistry.



RN 220546-26-9 CAPLUS
CN L-Tyrosine, N-[[(4R)-3-[(3,4-dimethoxyphenyl)sulfonyl]-5,5-dimethyl-4-thiazolidinyl]carbonyl]-, 1-methylethyl ester, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

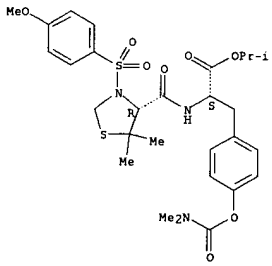
Absolute stereochemistry.

L11 ANSWER 22 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)
Absolute stereochemistry.



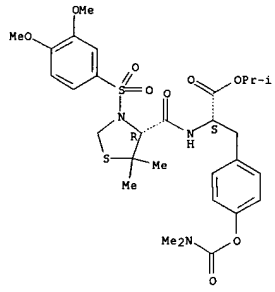
RN 220546-23-6 CAPLUS
CN L-Tyrosine, N-[[(4R)-3-[(4-methoxyphenyl)sulfonyl]-5,5-dimethyl-4-thiazolidinyl]carbonyl]-, 1-methylethyl ester, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



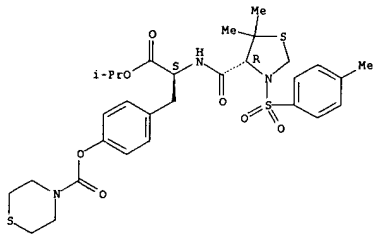
RN 220546-24-7 CAPLUS
CN L-Tyrosine, N-[[(4R)-3-[(3-methoxyphenyl)sulfonyl]-5,5-dimethyl-4-thiazolidinyl]carbonyl]-, 1-methylethyl ester, dimethylcarbamate (ester)

L11 ANSWER 22 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 220546-33-8 CAPLUS
CN L-Tyrosine, N-[[(4R)-5,5-dimethyl-3-[(4-methylphenyl)sulfonyl]-4-thiazolidinyl]carbonyl]-, 1-methylethyl ester, 4-thiomorpholinecarboxylate (ester) (9CI) (CA INDEX NAME)

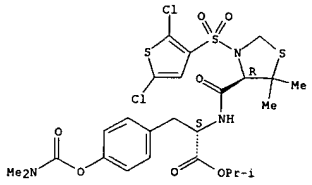
Absolute stereochemistry.



RN 220546-35-0 CAPLUS
CN L-Tyrosine, N-[[(4R)-3-[(2,5-dichloro-3-thienyl)sulfonyl]-5,5-dimethyl-4-thiazolidinyl]carbonyl]-, 1-methylethyl ester, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

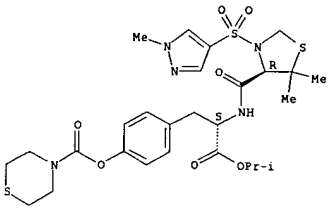
Absolute stereochemistry.

L11 ANSWER 22 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 220546-36-1 CAPLUS
CN L-Tyrosine, N-[[(4R)-5,5-dimethyl-3-[(1-methyl-1H-pyrazol-4-yl)sulfonyl]-4-thiazolidinyl]carbonyl]-, 1-methylethyl ester, 4-thiomorpholinecarboxylate (ester) (9CI) (CA INDEX NAME)

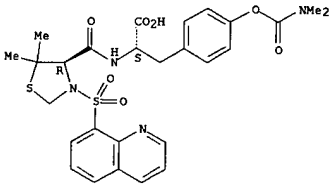
Absolute stereochemistry.



RN 220546-40-7 CAPLUS
CN L-Tyrosine, N-[[(4R)-5,5-dimethyl-3-(8-quinolinylsulfonyl)-4-thiazolidinyl]carbonyl]-, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

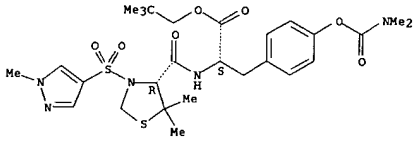
Absolute stereochemistry.

L11 ANSWER 22 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)



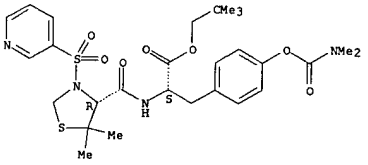
RN 220546-44-1 CAPLUS
CN L-Tyrosine, N-[[(4R)-5,5-dimethyl-3-[(1-methyl-1H-pyrazol-4-yl)sulfonyl]-4-thiazolidinyl]carbonyl]-, 2,2-dimethylpropyl ester, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 220546-45-2 CAPLUS
CN L-Tyrosine, N-[[(4R)-5,5-dimethyl-3-(3-pyridinylsulfonyl)-4-thiazolidinyl]carbonyl]-, 2,2-dimethylpropyl ester, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

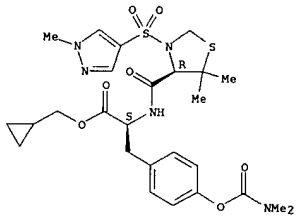
Absolute stereochemistry.



L11 ANSWER 22 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)

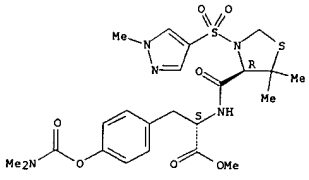
RN 220546-46-3 CAPLUS
CN L-Tyrosine, N-[[(4R)-5,5-dimethyl-3-[(1-methyl-1H-pyrazol-4-yl)sulfonyl]-4-thiazolidinyl]carbonyl]-, cyclopropylmethyl ester, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 220546-47-4 CAPLUS
CN L-Tyrosine, N-[[(4R)-5,5-dimethyl-3-[(1-methyl-1H-pyrazol-4-yl)sulfonyl]-4-thiazolidinyl]carbonyl]-, methyl ester, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

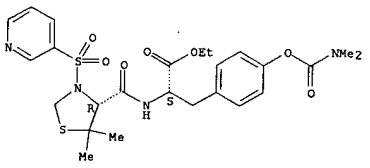
Absolute stereochemistry.



RN 220546-48-5 CAPLUS
CN L-Tyrosine, N-[[(4R)-5,5-dimethyl-3-(3-pyridinylsulfonyl)-4-thiazolidinyl]carbonyl]-, ethyl ester, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

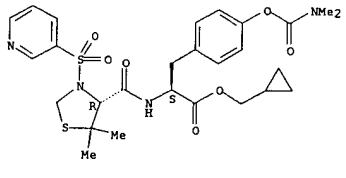
Absolute stereochemistry.

L11 ANSWER 22 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)



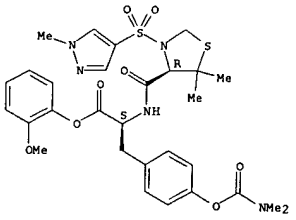
RN 220546-49-6 CAPLUS
CN L-Tyrosine, N-[[(4R)-5,5-dimethyl-3-(3-pyridinylsulfonyl)-4-thiazolidinyl]carbonyl]-, cyclopropylmethyl ester, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 220546-50-9 CAPLUS
CN L-Tyrosine, N-[[(4R)-5,5-dimethyl-3-[(1-methyl-1H-pyrazol-4-yl)sulfonyl]-4-thiazolidinyl]carbonyl]-, 2-methoxyphenyl ester, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

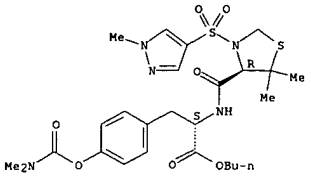
Absolute stereochemistry.



RN 220546-51-0 CAPLUS

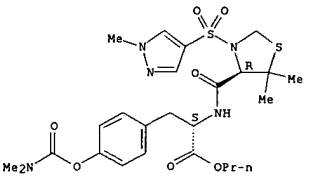
L11 ANSWER 22 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)
CN L-Tyrosine, N-[[(4R)-5,5-dimethyl-3-[(1-methyl-1H-pyrazol-4-yl)sulfonyl]-4-thiazolidinyl]carbonyl]-, butyl ester, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 220546-52-1 CAPLUS
CN L-Tyrosine, N-[[(4R)-5,5-dimethyl-3-[(1-methyl-1H-pyrazol-4-yl)sulfonyl]-4-thiazolidinyl]carbonyl]-, propyl ester, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

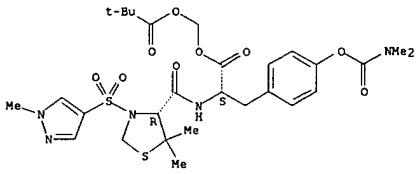
Absolute stereochemistry.



RN 220546-53-2 CAPLUS
CN L-Tyrosine, N-[[(4R)-5,5-dimethyl-3-[(1-methyl-1H-pyrazol-4-yl)sulfonyl]-4-thiazolidinyl]carbonyl]-, (2,2-dimethyl-1-oxopropoxy)methyl ester, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

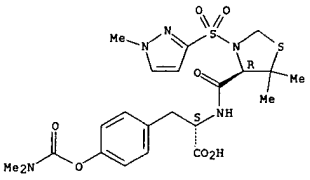
Absolute stereochemistry.

L11 ANSWER 22 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)



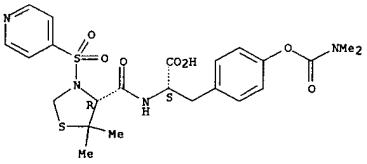
RN 220546-64-5 CAPLUS
CN L-Tyrosine, N-[[(4R)-5,5-dimethyl-3-[(1-methyl-1H-pyrazol-3-yl)sulfonyl]-4-thiazolidinyl]carbonyl]-, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 220546-66-7 CAPLUS
CN L-Tyrosine, N-[[(4R)-5,5-dimethyl-3-(4-pyridinylsulfonyl)-4-thiazolidinyl]carbonyl]-, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

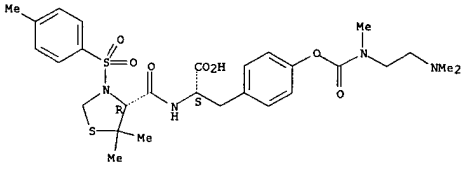
Absolute stereochemistry.



RN 220546-69-0 CAPLUS

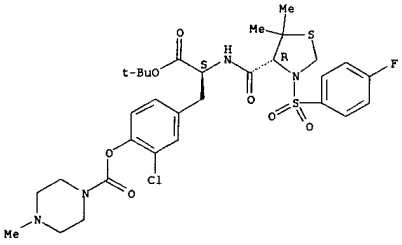
L11 ANSWER 22 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)
CN L-Tyrosine, N-[[(4R)-5,5-dimethyl-3-[(4-methylphenyl)sulfonyl]-4-thiazolidinyl]carbonyl]-, [2-(dimethylamino)ethyl]methylcarbamate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 220546-71-4 CAPLUS
CN L-Tyrosine, 3-chloro-N-[[(4R)-3-[(4-fluorophenyl)sulfonyl]-5,5-dimethyl-4-thiazolidinyl]carbonyl]-, 1,1-dimethylethyl ester, 4-methyl-1-piperazinecarboxylate (ester) (9CI) (CA INDEX NAME)

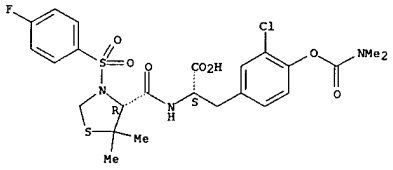
Absolute stereochemistry.



RN 220546-72-5 CAPLUS
CN L-Tyrosine, 3-chloro-N-[[(4R)-3-[(4-fluorophenyl)sulfonyl]-5,5-dimethyl-4-thiazolidinyl]carbonyl]-, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

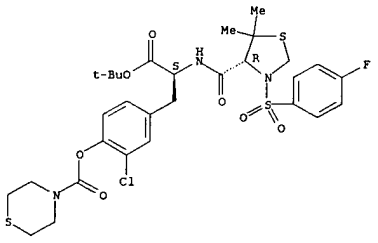
Absolute stereochemistry.

L11 ANSWER 22 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 220546-73-6 CAPLUS
CN L-Tyrosine, 3-chloro-N-[[(4R)-3-[(4-fluorophenyl)sulfonyl]-5,5-dimethyl-4-thiazolidinyl]carbonyl]-, 1,1-dimethylethyl ester, 4-thiomorpholinecarboxylate (ester) (9CI) (CA INDEX NAME)

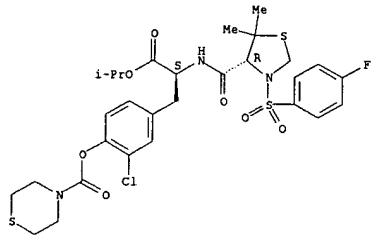
Absolute stereochemistry.



RN 220546-74-7 CAPLUS
CN L-Tyrosine, 3-chloro-N-[[(4R)-3-[(4-fluorophenyl)sulfonyl]-5,5-dimethyl-4-thiazolidinyl]carbonyl]-, 1-methylethyl ester, 4-thiomorpholinecarboxylate (ester) (9CI) (CA INDEX NAME)

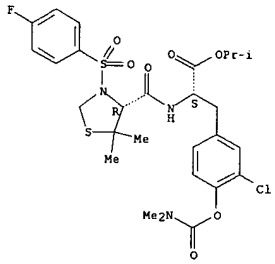
Absolute stereochemistry.

L11 ANSWER 22 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 220546-75-8 CAPLUS
CN L-Tyrosine, 3-chloro-N-[[(4R)-3-[(4-fluorophenyl)sulfonyl]-5,5-dimethyl-4-thiazolidinyl]carbonyl]-, 1-methylethyl ester, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

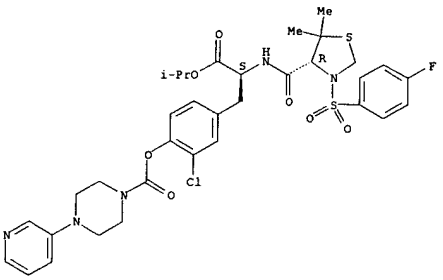
Absolute stereochemistry.



RN 220546-76-9 CAPLUS
CN L-Tyrosine, 3-chloro-N-[[(4R)-5,5-dimethyl-3-[(4-methylphenyl)sulfonyl]-4-thiazolidinyl]carbonyl]-, 1-methylethyl ester, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

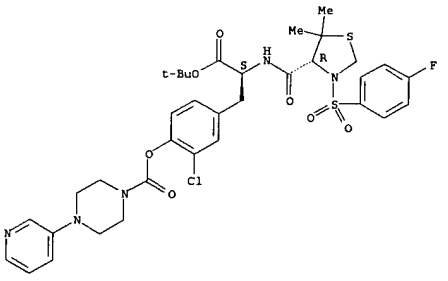
Absolute stereochemistry.

L11 ANSWER 22 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 220546-80-5 CAPLUS
CN L-Tyrosine, 3-chloro-N-[[(4R)-3-[(4-fluorophenyl)sulfonyl]-5,5-dimethyl-4-thiazolidinyl]carbonyl]-, 1,1-dimethylethyl ester, 4-(3-pyridinyl)-1-piperazinecarboxylate (ester) (9CI) (CA INDEX NAME)

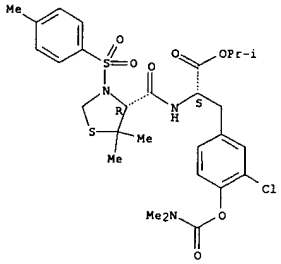
Absolute stereochemistry.



RN 220546-86-1 CAPLUS
CN L-Tyrosine, N-[[(4R)-3-[(1-butyl-1H-pyrazol-4-yl)sulfonyl]-5,5-dimethyl-4-thiazolidinyl]carbonyl]-, 1-methylethyl ester, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

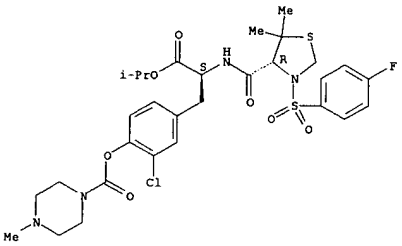
Absolute stereochemistry.

L11 ANSWER 22 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 220546-77-0 CAPLUS
CN L-Tyrosine, 3-chloro-N-[[(4R)-3-[(4-fluorophenyl)sulfonyl]-5,5-dimethyl-4-thiazolidinyl]carbonyl]-, 1-methylethyl ester, 4-methyl-1-piperazinecarboxylate (ester) (9CI) (CA INDEX NAME)

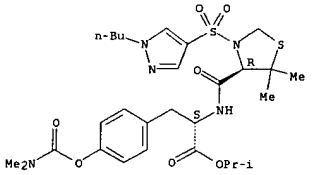
Absolute stereochemistry.



RN 220546-79-2 CAPLUS
CN L-Tyrosine, 3-chloro-N-[[(4R)-3-[(4-fluorophenyl)sulfonyl]-5,5-dimethyl-4-thiazolidinyl]carbonyl]-, 1-methylethyl ester, 4-(3-pyridinyl)-1-piperazinecarboxylate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 22 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 220547-28-4 CAPLUS
CN L-Tyrosine, N-[[(4R)-5,5-dimethyl-3-[(4-methylphenyl)sulfonyl]-4-thiazolidinyl]carbonyl]-, 1,4-piperazinedicarboxylate (2:1) (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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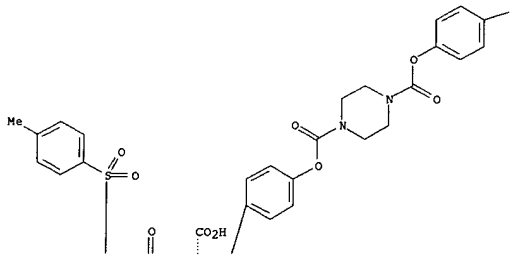
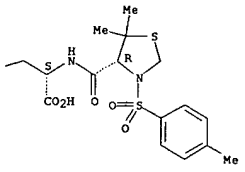


L11 ANSWER 22 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)

PAGE 1-B



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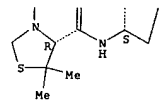
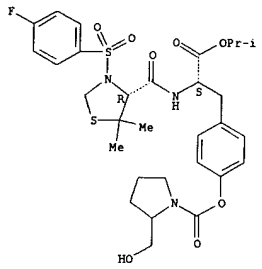


RN 220547-29-5 CAPLUS
CN L-Tyrosine, N-[[(4R)-3-[(4-fluorophenyl)sulfonyl]-5,5-dimethyl-4-thiazolidinyl]carbonyl]-, 1-methylethyl ester, 2-(hydroxymethyl)-1-pyrrolidinecarboxylate (ester) (9CI) (CA INDEX NAME)

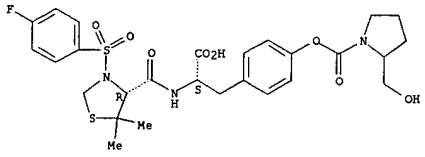
Absolute stereochemistry.



L11 ANSWER 22 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)

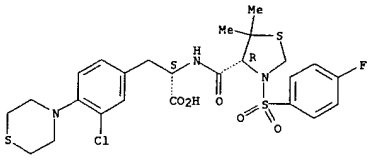
RN 220547-30-8 CAPLUS
CN L-Tyrosine, N-[[(4R)-3-[(4-fluorophenyl)sulfonyl]-5,5-dimethyl-4-thiazolidinyl]carbonyl]-, 2-(hydroxymethyl)-1-pyrrolidinecarboxylate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 220547-33-1 CAPLUS
CN L-Phenylalanine, 3-chloro-N-[[(4R)-3-[(4-fluorophenyl)sulfonyl]-5,5-dimethyl-4-thiazolidinyl]carbonyl]-4-(4-thiomorpholinyl)- (9CI) (CA INDEX NAME)

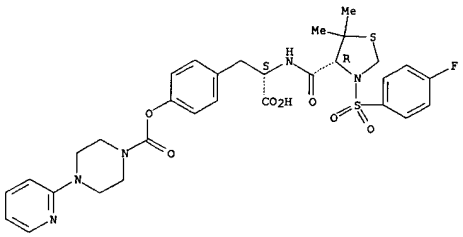
Absolute stereochemistry.



RN 220547-34-2 CAPLUS
CN L-Tyrosine, N-[[(4R)-3-[(4-fluorophenyl)sulfonyl]-5,5-dimethyl-4-thiazolidinyl]carbonyl]-, 4-(2-pyridinyl)-1-piperazinecarboxylate (ester) (9CI) (CA INDEX NAME)

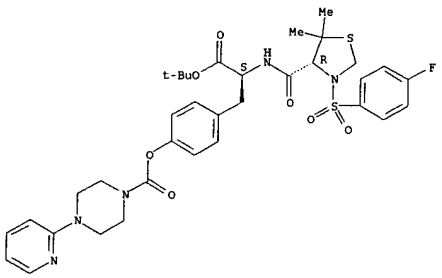
Absolute stereochemistry.

L11 ANSWER 22 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 220547-35-3 CAPLUS
CN L-Tyrosine, N-[[(4R)-3-[(4-fluorophenyl)sulfonyl]-5,5-dimethyl-4-thiazolidinyl]carbonyl]-, 1,1-dimethylethyl ester, 4-(2-pyridinyl)-1-piperazinecarboxylate (ester) (9CI) (CA INDEX NAME)

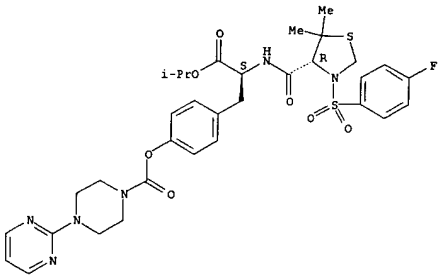
Absolute stereochemistry.



RN 220547-38-6 CAPLUS
CN L-Tyrosine, N-[[(4R)-3-[(4-fluorophenyl)sulfonyl]-5,5-dimethyl-4-thiazolidinyl]carbonyl]-, 1-methylethyl ester, 4-(2-pyrimidinyl)-1-piperazinecarboxylate (ester) (9CI) (CA INDEX NAME)

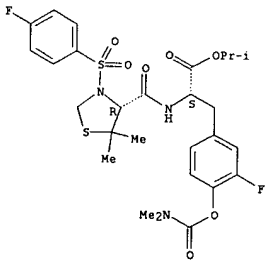
Absolute stereochemistry.

L11 ANSWER 22 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 220547-39-7 CAPLUS
CN L-Tyrosine, 3-fluoro-N-[[(4R)-3-[(4-fluorophenyl)sulfonyl]-5,5-dimethyl-4-thiazolidinyl]carbonyl]-, 1-methylethyl ester, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

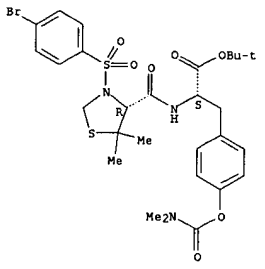
Absolute stereochemistry.



RN 220547-42-2 CAPLUS
CN L-Tyrosine, N-[[(4R)-3-[(4-bromophenyl)sulfonyl]-5,5-dimethyl-4-thiazolidinyl]carbonyl]-, 1,1-dimethylethyl ester, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

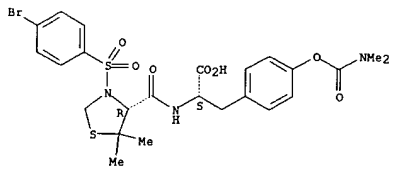
Absolute stereochemistry.

L11 ANSWER 22 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 220547-43-3 CAPLUS
CN L-Tyrosine, N-[[(4R)-3-[(4-bromophenyl)sulfonyl]-5,5-dimethyl-4-thiazolidinyl]carbonyl]-, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

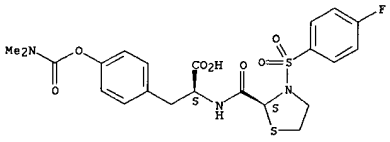
Absolute stereochemistry.



RN 220547-45-5 CAPLUS
CN L-Tyrosine, N-[[(4R)-3-[(4-fluorophenyl)sulfonyl]-5,5-dimethyl-4-thiazolidinyl]carbonyl]-, 4-(2-pyrimidinyl)-1-piperazinecarboxylate (ester) (9CI) (CA INDEX NAME)

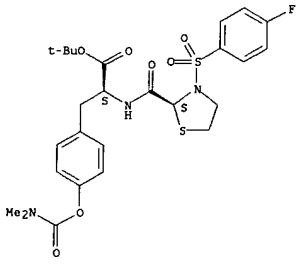
Absolute stereochemistry.

L11 ANSWER 22 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 220547-48-8 CAPLUS
CN L-Tyrosine, N-[[(2S)-3-[(4-fluorophenyl)sulfonyl]-2-thiazolidinyl]carbonyl]-, 1,1-dimethylethyl ester, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

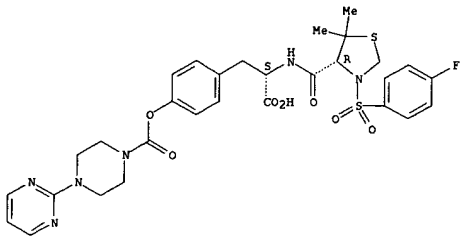
Absolute stereochemistry.



RN 220547-51-3 CAPLUS
CN L-Tyrosine, N-[[(2S)-3-[(4-fluorophenyl)sulfonyl]-2-thiazolidinyl]carbonyl]-, 4-(2-pyridinyl)-1-piperazinecarboxylate (ester) (9CI) (CA INDEX NAME)

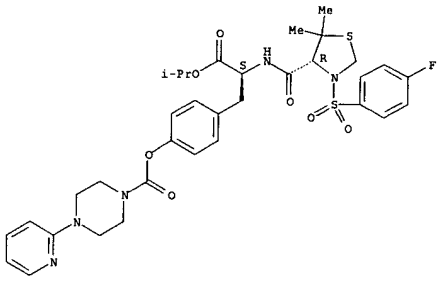
Absolute stereochemistry.

L11 ANSWER 22 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 220547-46-6 CAPLUS
CN L-Tyrosine, N-[[(4R)-3-[(4-fluorophenyl)sulfonyl]-5,5-dimethyl-4-thiazolidinyl]carbonyl]-, 1-methylethyl ester, 4-(2-pyridinyl)-1-piperazinecarboxylate (ester) (9CI) (CA INDEX NAME)

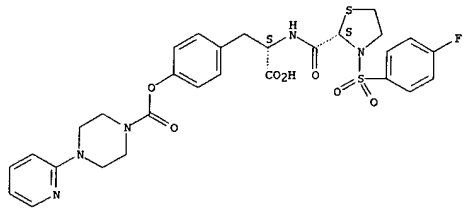
Absolute stereochemistry.



RN 220547-47-7 CAPLUS
CN L-Tyrosine, N-[[(2S)-3-[(4-fluorophenyl)sulfonyl]-2-thiazolidinyl]carbonyl]-, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

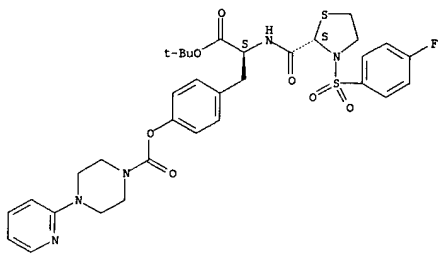
Absolute stereochemistry.

L11 ANSWER 22 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 220547-53-5 CAPLUS
CN L-Tyrosine, N-[[(2S)-3-[(4-fluorophenyl)sulfonyl]-2-thiazolidinyl]carbonyl]-, 1,1-dimethylethyl ester, 4-(2-pyridinyl)-1-piperazinecarboxylate (ester) (9CI) (CA INDEX NAME)

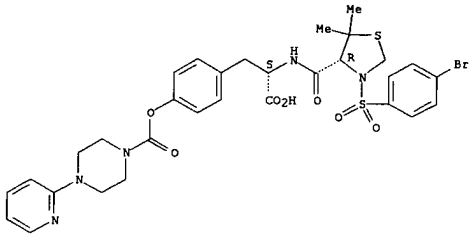
Absolute stereochemistry.



RN 220547-54-6 CAPLUS
CN L-Tyrosine, N-[[(4R)-3-[(4-bromophenyl)sulfonyl]-5,5-dimethyl-4-thiazolidinyl]carbonyl]-, 4-(2-pyridinyl)-1-piperazinecarboxylate (ester) (9CI) (CA INDEX NAME)

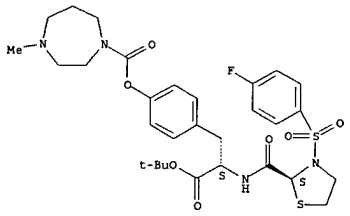
Absolute stereochemistry.

L11 ANSWER 22 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 220547-56-8 CAPLUS
CN L-Tyrosine, N-[[(2S)-3-[(4-fluorophenyl)sulfonyl]-2-thiazolidinyl]carbonyl]-, 1,1-dimethylethyl ester, hexahydro-4-methyl-1H-1,4-diazepine-1-carboxylate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

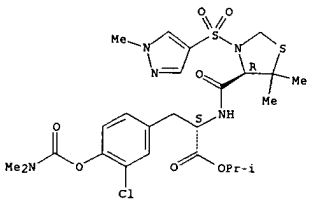


RN 220547-62-6 CAPLUS
CN L-Phenylalanine, 3-chloro-N-[[(4R)-3-[(4-fluorophenyl)sulfonyl]-5,5-dimethyl-4-thiazolidinyl]carbonyl]-4-(2-pyridinyl)-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

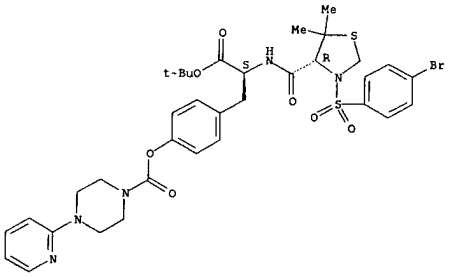
L11 ANSWER 22 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)
CN L-Tyrosine, 3-chloro-N-[[(4R)-5,5-dimethyl-3-[(1-methyl-1H-pyrazol-4-yl)sulfonyl]-4-thiazolidinyl]carbonyl]-, 1-methylethyl ester, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 220547-76-2 CAPLUS
CN L-Tyrosine, N-[[(4R)-3-[(4-bromophenyl)sulfonyl]-5,5-dimethyl-4-thiazolidinyl]carbonyl]-, 1,1-dimethylethyl ester, 4-(2-pyridinyl)-1-piperazinecarboxylate (ester) (9CI) (CA INDEX NAME)

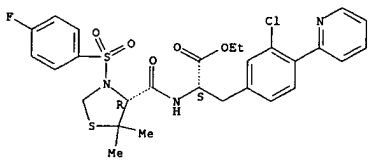
Absolute stereochemistry.



RN 220547-77-3 CAPLUS
CN L-Tyrosine, N-[[(4R)-5,5-dimethyl-3-[[4-(trifluoromethoxy)phenyl]sulfonyl]-4-thiazolidinyl]carbonyl]-, 1,1-dimethylethyl ester, 4-(2-pyridinyl)-1-piperazinecarboxylate (ester) (9CI) (CA INDEX NAME)

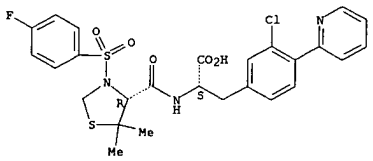
Absolute stereochemistry.

L11 ANSWER 22 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)



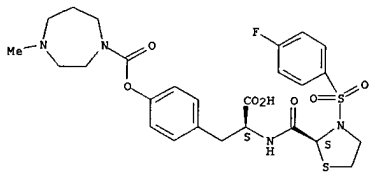
RN 220547-63-7 CAPLUS
CN L-Phenylalanine, 3-chloro-N-[[(4R)-3-[(4-fluorophenyl)sulfonyl]-5,5-dimethyl-4-thiazolidinyl]carbonyl]-4-(2-pyridinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



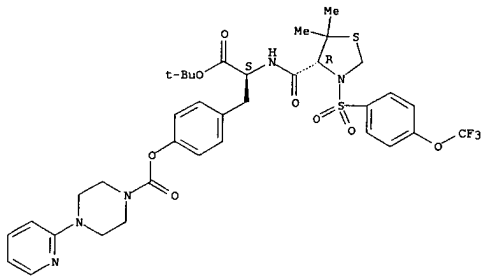
RN 220547-64-8 CAPLUS
CN L-Tyrosine, N-[[(2S)-3-[(4-fluorophenyl)sulfonyl]-2-thiazolidinyl]carbonyl]-, hexahydro-4-methyl-1H-1,4-diazepine-1-carboxylate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



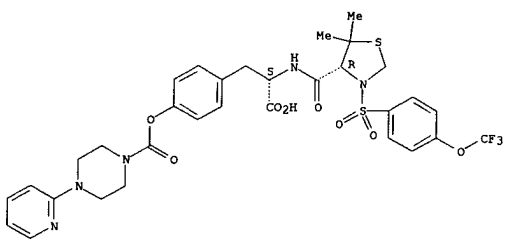
RN 220547-65-9 CAPLUS

L11 ANSWER 22 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 220547-80-8 CAPLUS
CN L-Tyrosine, N-[[(4R)-5,5-dimethyl-3-[[4-(trifluoromethoxy)phenyl]sulfonyl]-4-thiazolidinyl]carbonyl]-, 4-(2-pyridinyl)-1-piperazinecarboxylate (ester) (9CI) (CA INDEX NAME)

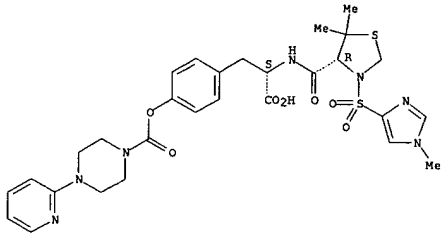
Absolute stereochemistry.



RN 220547-84-2 CAPLUS
CN L-Tyrosine, N-[[(4R)-5,5-dimethyl-3-[(1-methyl-1H-imidazol-4-yl)sulfonyl]-4-thiazolidinyl]carbonyl]-, 4-(2-pyridinyl)-1-piperazinecarboxylate (ester) (9CI) (CA INDEX NAME)

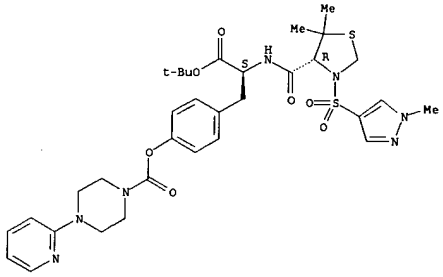
Absolute stereochemistry.

L11 ANSWER 22 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 220547-88-6 CAPLUS
CN L-Tyrosine, N-[[(4R)-5,5-dimethyl-3-[(1-methyl-1H-pyrazol-4-yl)sulfonyl]-4-thiazolidinyl]carbonyl]-, 1,1-dimethylethyl ester, 4-(2-pyridinyl)-1-piperazinecarboxylate (ester) (9CI) (CA INDEX NAME)

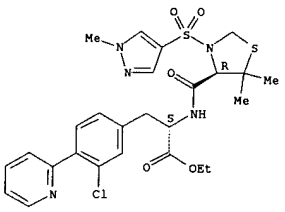
Absolute stereochemistry.



RN 220547-90-0 CAPLUS
CN L-Tyrosine, N-[[(4R)-5,5-dimethyl-3-[(1-methyl-1H-pyrazol-4-yl)sulfonyl]-4-thiazolidinyl]carbonyl]-, 2-(phenylmethoxy)ethyl ester, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

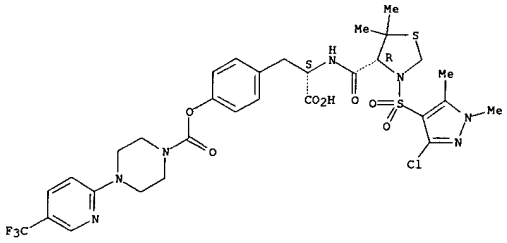
Absolute stereochemistry.

L11 ANSWER 22 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 220547-93-3 CAPLUS
CN L-Tyrosine, N-[[(4R)-3-[(3-chloro-1,5-dimethyl-1H-pyrazol-4-yl)sulfonyl]-5,5-dimethyl-4-thiazolidinyl]carbonyl]-, 4-[5-(trifluoromethyl)-2-pyridinyl]-1-piperazinecarboxylate (ester) (9CI) (CA INDEX NAME)

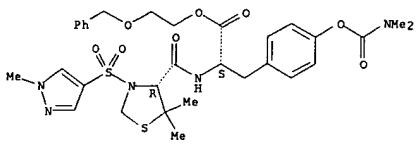
Absolute stereochemistry.



RN 220551-45-1 CAPLUS
CN L-Tyrosine, N-[[(4R)-3-[(4-fluorophenyl)sulfonyl]-4-thiazolidinyl]carbonyl]-, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

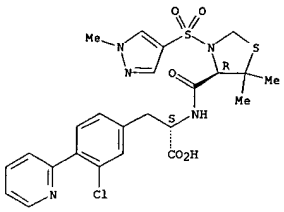
Absolute stereochemistry.

L11 ANSWER 22 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 220547-91-1 CAPLUS
CN L-Phenylalanine, 3-chloro-N-[[(4R)-5,5-dimethyl-3-[(1-methyl-1H-pyrazol-4-yl)sulfonyl]-4-thiazolidinyl]carbonyl]-4-(2-pyridinyl)- (9CI) (CA INDEX NAME)

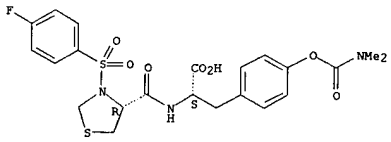
Absolute stereochemistry.



RN 220547-92-2 CAPLUS
CN L-Phenylalanine, 3-chloro-N-[[(4R)-5,5-dimethyl-3-[(1-methyl-1H-pyrazol-4-yl)sulfonyl]-4-thiazolidinyl]carbonyl]-4-(2-pyridinyl)-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 22 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)



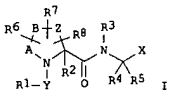
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 23 OF 37 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1998:799992 CAPLUS
DOCUMENT NUMBER: 130:52724
TITLE: Preparation of heterocyclic dipeptide derivatives as cell adhesion inhibitors
INVENTOR(S): Durette, Philippe L.; Hagmann, William K.; Maccoss, Malcolm; Mills, Sander G.; Mumford, Richard A.; Van Riper, Gail M.; Schmidt, Jack A.; Kevin, Nancy J.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 129 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9853814	A1	19981203	WO 1998-US10940	19980529
W: CA, JP, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 1001764	A1	20000524	EP 1998-926122	19980529
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 2002512625	T2	20020423	JP 1999-500934	19980529
WO 9964395	A1	19991216	WO 1998-US11623	19980611
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CN, CU, CZ, EE, GE, GW, HU, ID, IL, IS, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9880595	A1	19991230	AU 1998-80595	19980611

PRIORITY APPLN. INFO.: US 1997-48017P P 19970529
GB 1997-14314 A 19970707
US 1997-66525P P 19971125
GB 1998-686 A 19980114
WO 1998-US10940 W 19980529
WO 1998-US11623 A 19980611

OTHER SOURCE(S): MARPAT 130:52724
GI

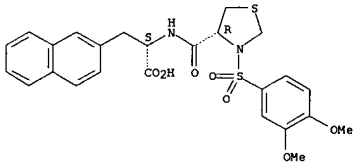


AB Title compds. I [R1 = (un)substituted C1-10 alkyl, C2-10 alkenyl, C2-10 alkynyl, Cy, Cy-C1-10 alkyl, Cy-C2-10 alkenyl, Cy-C2-10 alkynyl; R2, R5 = independently (un)substituted H, C1-10 alkyl, C2-10 alkenyl, C2-10 alkynyl, aryl, aryl-C1-10 alkyl, heteroaryl, heteroaryl-C1-10 alkyl; R3 = H, (un)substituted C1-10 alkyl, Cy, Cy-C1-10 alkyl; R4 = H, any group R1; R3R4 form mono- or bicyclic ring contg. 0-2 heteroatoms N, O, S; R4R5 form 3-7 membered mono- or bicyclic ring contg. 0-2 heteroatoms N, O, S; R10, R11 = independently = any group R3, (un)substituted C2-10 alkenyl, C2-10 alkynyl; R10R11 may form 5-7 membered heterocyclic ring contg. 0-2 addnl. heteroatoms N, O, S; R6-R8 = independently any group R10, OR10, NO2, halo, S(O)mR10, SR10, SO3R10, NR10R11, COR10, CO2R10, O2R10, CN, CONR10R11, CF3, oxo, NR10S(O)mR11, etc.; two of R6-R8 may form 5-7 membered (un)satd. monocyclic ring contg. 0-3 heteroatoms N, O, S; Cy = cycloalkyl, heterocyclyl, aryl, heteroaryl; A, Z = independently C, C-C; B = bond, C, C-C, N, O, S, S(O)m; X = CO2R10, P(O)(OR10)(OR11), P(O)(R10)(OR11), S(O)mOR10, CONR10R11, 5-tetrazolyl; Y = CO, O2C, NR11CO, SO2, P(O)(OR4), COCO; m = 1-2] = are antagonists of VLA-4 and/or .alpha.4.beta.7, and are useful for inhibition or prevention of cell adhesion and cell adhesion mediated pathologies. These compds. may be formulated into pharmaceutical compns. and are suitable for use in the treatment of asthma, allergies, inflammation, multiple sclerosis, and other inflammatory and autoimmune disorders. Thus, coupling of L-2-naphthylalanine tert-Bu ester (H-Nal-OtBu) (prepn. given) with Cbz-Pro-OH (Cbz = PhCH2O2C), followed by catalytic deprotection, sulfonylation with 3,5-Cl2C6H3SO2Cl, and acidic deesterification gave desired N-sulfonyldipeptide C12C6H3SO2-Nal-Pro-OH. Procedures for inhibition of VLA-4 dependent adhesion to a CS-1 conjugate and VCAM-IG fusion protein are given.

IT **217450-95-8P 217450-96-9P 217450-98-1P**
217451-18-8P 217451-19-9P 217451-20-2P
217451-22-4P 217451-63-3P 217451-68-8P
217451-72-4P 217451-84-8P 217451-87-1P
217451-98-4P 217452-11-4P 217452-17-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of heterocyclic dipeptide derivs. as cell adhesion inhibitors)

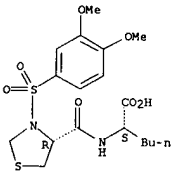
RN 217450-95-8 CAPLUS
CN 2-Naphthalenepropanoic acid, .alpha.-[[[(4R)-3-[(3,4-dimethoxyphenyl)sulfonyl]-4-thiazolidinyl]carbonyl]amino]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



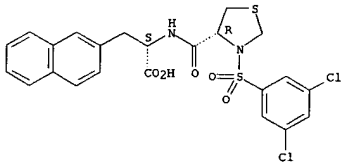
RN 217450-96-9 CAPLUS
CN L-Norleucine, N-[[(4R)-3-[(3,4-dimethoxyphenyl)sulfonyl]-4-thiazolidinyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



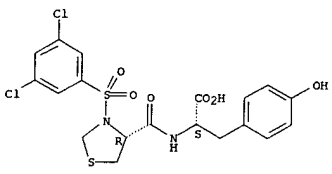
RN 217450-98-1 CAPLUS
CN 2-Naphthalenepropanoic acid, .alpha.-[[[(4R)-3-[(3,5-dichlorophenyl)sulfonyl]-4-thiazolidinyl]carbonyl]amino]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



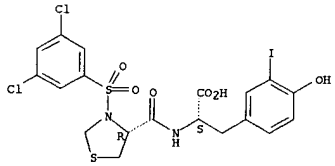
RN 217451-18-8 CAPLUS
CN L-Tyrosine, N-[[(4R)-3-[(3,5-dichlorophenyl)sulfonyl]-4-thiazolidinyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



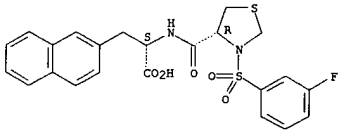
RN 217451-19-9 CAPLUS
CN L-Tyrosine, N-[[(4R)-3-[(3,5-dichlorophenyl)sulfonyl]-4-thiazolidinyl]carbonyl]-3-iodo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



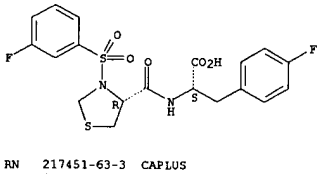
RN 217451-20-2 CAPLUS
CN 2-Naphthalenepropanoic acid, .alpha.-[[[(4R)-3-[(3-fluorophenyl)sulfonyl]-4-thiazolidinyl]carbonyl]amino]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 217451-22-4 CAPLUS
CN L-Phenylalanine, 4-fluoro-N-[[(4R)-3-[(3-fluorophenyl)sulfonyl]-4-thiazolidinyl]carbonyl]- (9CI) (CA INDEX NAME)

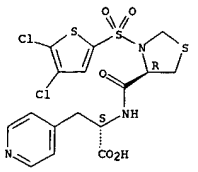
Absolute stereochemistry.



RN 217451-63-3 CAPLUS
CN 4-Pyridinepropanoic acid, .alpha.-[[[(4R)-3-[(4,5-dichloro-2-thienyl)sulfonyl]-4-thiazolidinyl]carbonyl]amino]-, (.alpha.S)- (9CI) (CA INDEX NAME)

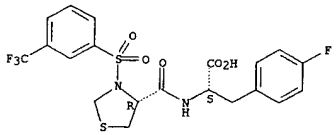
L11 ANSWER 23 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)

Absolute stereochemistry.



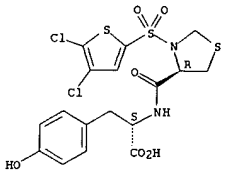
RN 217451-68-8 CAPLUS
CN L-Phenylalanine, 4-fluoro-N-[[(4R)-3-[[3-(trifluoromethyl)phenyl]sulfonyl]-4-thiazolidinyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 217451-72-4 CAPLUS
CN L-Tyrosine, N-[[(4R)-3-[(4,5-dichloro-2-thienyl)sulfonyl]-4-thiazolidinyl]carbonyl]- (9CI) (CA INDEX NAME)

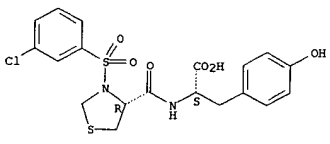
Absolute stereochemistry.



L11 ANSWER 23 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)

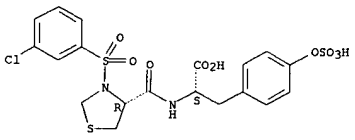
RN 217452-11-4 CAPLUS
CN L-Tyrosine, N-[[(4R)-3-[(3-chlorophenyl)sulfonyl]-4-thiazolidinyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 217452-17-0 CAPLUS
CN L-Tyrosine, N-[[(4R)-3-[(3-chlorophenyl)sulfonyl]-4-thiazolidinyl]carbonyl]-, hydrogen sulfate (ester) (9CI) (CA INDEX NAME)

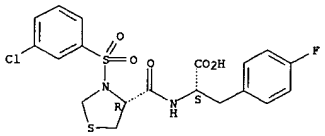
Absolute stereochemistry.



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

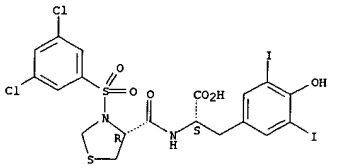
L11 ANSWER 23 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)
RN 217451-84-8 CAPLUS
CN L-Phenylalanine, N-[[(4R)-3-[(3-chlorophenyl)sulfonyl]-4-thiazolidinyl]carbonyl]-4-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



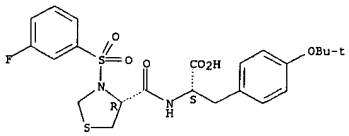
RN 217451-87-1 CAPLUS
CN L-Tyrosine, N-[[(4R)-3-[(3,5-dichlorophenyl)sulfonyl]-4-thiazolidinyl]carbonyl]-3,5-diiodo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 217451-98-4 CAPLUS
CN L-Tyrosine, O-(1,1-dimethylethyl)-N-[[(4R)-3-[(3-fluorophenyl)sulfonyl]-4-thiazolidinyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



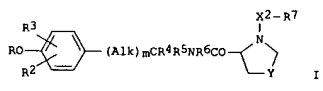
L11 ANSWER 24 OF 37 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1998:795039 CAPLUS
DOCUMENT NUMBER: 130:52733
TITLE: Preparation of tyrosine derivatives as antiinflammatory agents
INVENTOR(S): Head, John Clifford; Archibald, Sarah Catherine; Warrellow, Graham John
PATENT ASSIGNEE(S): Celltech Therapeutics Limited, UK
SOURCE: PCT Int. Appl., 55 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

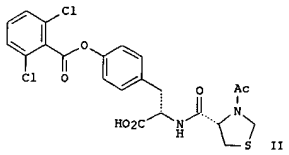
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9854207	A1	19981203	WO 1998-GB1580	19980529
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9876674	A1	19981230	AU 1998-76674	19980529
EP 984981	A1	20000315	EP 1998-924481	19980529
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
US 6093696	A	20000725	US 1998-86421	19980529
JP 2002501518	T2	20020115	JP 1999-500393	19980529

PRIORITY APPLN. INFO.: GB 1997-11143 A 19970530
GB 1997-22674 A 19971027
WO 1998-GB1580 W 19980529

OTHER SOURCE(S): MARPAT 130:52733
GI



I



II

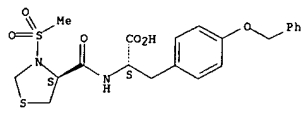
L11 ANSWER 24 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)

AB Tyrosine derivs. I [R = R1X1, (Hal1)3CSO2; R1 = optionally substituted alkyl or arom. group; R2, R3 = independently H, halo, alkyl, alkoxy, OH, NO2; R4 = H, Me; R5 = (CH2)pCO2R8; R6= H, alkyl; R7 = optionally substituted alkyl group, aryl, aralkyl; R8 = H, alkyl; Alk = alkylene chain; Hal1 = F, Cl; X1 = bond, (CH2)n, CO, CH2CO, NHCO, CH2NHCO, SO2; X2 = CO, CO2, CONH, SO2; Y = S, S(O)q; m = 0, 1; n = 1, 2; p = 0, 1; q = 1, 2] and the salts, solvates and hydrates thereof, are described. The compds. are able to inhibit the binding of .alpha.4 integrins to their ligands and are of use in the prophylaxis and treatment of immune or inflammatory disorders. Thus, coupling of N-acetyl-D-thioproline with L-tyrosine tert-Bu ester, followed by O-acylation with 2,6-dichlorobenzoyl chloride and acidic deesterification, gave desired tyrosine deriv. II. II and related thioprolyltyrosine derivs. were tested for inhibition of .alpha.4 integrin-dependent cell adhesion, and generally have IC50 values of .ltoreq.1 .mu.M in .alpha.4.beta.1 and .alpha.4.beta.7 assays, and IC50 values of .gtoreq. 50 .mu.M in assays of other integrins.

IT **217479-41-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of tyrosine derivs. as antiinflammatory agents)

RN 217479-41-9 CAPLUS
CN L-Tyrosine, N-[[(4S)-3-(methylsulfonyl)-4-thiazolidinyl]carbonyl]-O-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 25 OF 37 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1998:568589 CAPLUS
DOCUMENT NUMBER: 129:175653
TITLE: Preparation of benzenesulfonamides as elastase inhibitors
INVENTOR(S): Nakae, Takahiko; Kato, Masashi; Fujita, Takehito; Kawabata, Kazuhito; Ohno, Hiroyuki
PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan
SOURCE: U.S., 150 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5795890	A	19980818	US 1996-718722	19960924
JP 09165365	A2	19970624	JP 1995-272058	19950927
JP 09278742	A2	19971028	JP 1996-271341	19960924
JP 2881688	B2	19990412		
JP 10251218	A2	19980922	JP 1998-111630	19960924
AU 9665837	A1	19970410	AU 1996-65837	19960925
AU 714025	B2	19991216		
ZA 9608069	A	19970520	ZA 1996-8069	19960925
NO 9604045	A	19970401	NO 1996-4045	19960926
CA 2186665	AA	19970328	CA 1996-2186665	19960927
US 5998410	A	19991207	US 1998-31192	19980226

PRIORITY APPLN. INFO.: JP 1995-272058 A 19950927
JP 1996-45663 A 19960224
JP 1996-271341 A3 19960924
US 1996-718722 A3 19960924
OTHER SOURCE(S): MARPAT 129:175653
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

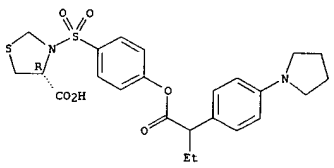
AB The title compds. [I; R1 = C1-8 alkyl, C1-8 alkoxy, OH, etc.; n = 0-5; D = carbocyclic ring; R2, R3 = H, C1-4 alkyl, C1-4 alkoxy, etc.; R2R3 = C1-4 alkylidene; CR2R3 = C3-7 cycloalkyl; R4 = C1-4 alkyl, C1-4 alkoxy; two of R4, attached to the benzene nucleus at ortho positions relative to each other, represent C3-5 alkylene; m = 0-4; R5, R6 = H, OH, C1-8 alkyl, etc.; NR5R6 = heterocyclyl) and their salts, which have an inhibitory effect on elastase and therefore are useful in the prevention and/or the treatment of emphysema, rheumatoid arthritis, atherosclerosis, adult respiratory distress syndrome (ARDS), glomerular nephritis, myocardial infarction, idiopathic ulcerative colitis, and gingivitis, were prepd. and formulated. Thus, treatment of the ester II (prepn. described) with CF3CO2H in CH2Cl2/MeOPh afforded the title compd. III.HCl which showed IC50 of 0.055 .mu.M against human polymorphonuclear elastase.

IT **190252-84-7P 190252-88-1P 190252-90-5P**
190252-91-6P 190252-94-9P 211486-29-2P
211486-40-7P

L11 ANSWER 25 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of benzenesulfonamides as elastase inhibitors)

RN 190252-84-7 CAPLUS
CN 4-Thiazolidinecarboxylic acid, 3-[[4-[1-oxo-2-[4-(1-pyrrolidinyl)phenyl]butoxy]phenyl]sulfonyl]-, monohydrochloride, (4R)- (9CI) (CA INDEX NAME)

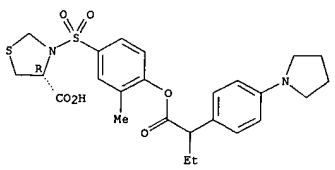
Absolute stereochemistry.



● HCl

RN 190252-88-1 CAPLUS
CN 4-Thiazolidinecarboxylic acid, 3-[[3-methyl-4-[1-oxo-2-[4-(1-pyrrolidinyl)phenyl]butoxy]phenyl]sulfonyl]-, (4R)- (9CI) (CA INDEX NAME)

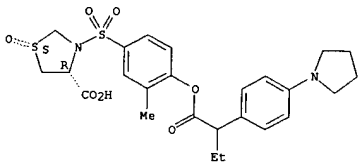
Absolute stereochemistry.



RN 190252-90-5 CAPLUS
CN 4-Thiazolidinecarboxylic acid, 3-[[3-methyl-4-[1-oxo-2-[4-(1-pyrrolidinyl)phenyl]butoxy]phenyl]sulfonyl]-, 1-oxide, monohydrochloride, (1S,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

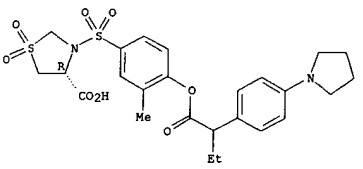
L11 ANSWER 25 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)



● HCl

RN 190252-91-6 CAPLUS
CN 4-Thiazolidinecarboxylic acid, 3-[[3-methyl-4-[1-oxo-2-[4-(1-pyrrolidinyl)phenyl]butoxy]phenyl]sulfonyl]-, 1,1-dioxide, monohydrochloride, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

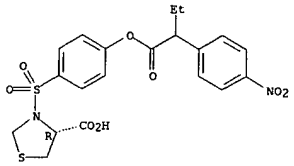


● HCl

RN 190252-94-9 CAPLUS
CN 4-Thiazolidinecarboxylic acid, 3-[[4-[2-(4-nitrophenyl)-1-oxobutoxy]phenyl]sulfonyl]-, (4R)- (9CI) (CA INDEX NAME)

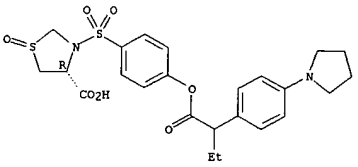
Absolute stereochemistry.

L11 ANSWER 25 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)



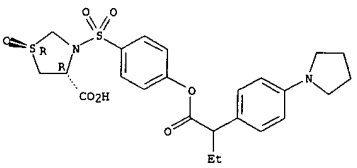
RN 211486-29-2 CAPLUS
CN 4-Thiazolidinecarboxylic acid, 3-[[4-[1-oxo-2-[4-(1-pyrrolidinyl)phenyl]butoxy]phenyl]sulfonyl]-, 1-oxide, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 211486-40-7 CAPLUS
CN 4-Thiazolidinecarboxylic acid, 3-[[4-[1-oxo-2-[4-(1-pyrrolidinyl)phenyl]butoxy]phenyl]sulfonyl]-, 1-oxide, (1R,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

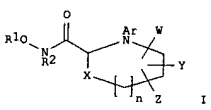


L11 ANSWER 26 OF 37 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1998:163570 CAPLUS
DOCUMENT NUMBER: 128:204898
TITLE: Prepn. of 1,3-diheterocyclic metalloprotease inhibitors
INVENTOR(S): Pikul, Stanislaw; McDow-Dunham, Kelly Lynn; Almstead, Neil Gregory; De, Biswanath; Natchus, Michael George; Taiwo, Yetunde Olabisi
PATENT ASSIGNEE(S): Procter & Gamble Company, USA
SOURCE: PCT Int. Appl., 49 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9808822	A1	19980305	WO 1997-US14550	19970822
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9739858	A1	19980319	AU 1997-39858	19970822
AU 727820	B2	20001221		
EP 927168	A1	19990707	EP 1997-937317	19970822
EP 927168	B1	20021106		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
CN 1228771	A	19990915	CN 1997-197545	19970822
BR 9713186	A	19991103	BR 1997-13186	19970822
JP 2000516251	T2	20001205	JP 1998-511710	19970822
US 6150370	A	20001121	US 1997-918419	19970826
ZA 9707693	A	19980223	ZA 1997-7693	19970827
NO 9900838	A	19990428	NO 1999-838	19990222
US 6465474	B1	20021015	US 2000-652114	20000829
US 6469000	B1	20021022	US 2000-649826	20000829

PRIORITY APPLN. INFO.: US 1996-24830P P 19960828
WO 1997-US14550 W 19970822
US 1997-918419 A1 19970826

OTHER SOURCE(S): MARPAT 128:204898
GI



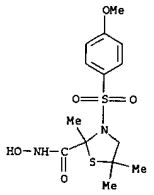
I

AB Prepn. is reported for (I; R1 = H; R2 = H, alkyl, acyl; Ar = COR3 (R3 = alkoxy, aryloxy, heteroaryloxy, etc.), SO2R4 (R4 = alkyl, heteroalkyl, aryl, etc.); X = O, S, SO, SO2, NR5 (R5 = H, alkyl, heteroalkyl, etc.); W

L11 ANSWER 26 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)
= H, alkyl, heterocycle, etc.; Y = H, OH, SR10 (R10 = H, alkyl, aryl, heteroaryl); Z = nil, spiro moiety or oxo group substituted on heterocyclic ring; n = 1-4) or an optical isomer, diastereomer or enantiomer thereof, or a pharmaceutically-acceptable salt, or biohydrolyzable amide, ester, or imide thereof which are useful as inhibitors of metalloproteases. Thus, condensation of C(CH2NH2)2Me2 with p-MeO-C6H4SO2Cl followed by cyclocondensation with HC(O)CO2Me and amidation with KNH(OH) gives N-hydroxy-1,3-di-[(4-methoxyphenyl)sulfonyl]-5,5-dimethylhexahydropyrimidine-2-carboxamide. Also disclosed are pharmaceutical compns. and methods of treating diseases, disorders and conditions characterized by metalloprotease activity using these compds. or the pharmaceutical compns. contg. them. Examples are given for treatment of rheumatoid arthritis, osteoarthritis, corneal abrasion and ulceration, chem. burns, asthma, premetastatic tumor, periodontitis, etc. Typically, for a human adult weighing .apprxeq.70 kg., 5 - 3000 mg. more preferably 5 - 1000 mg. and more preferably 10 - 100 mg. of I are administered per day in pharmaceutical compns. for systemic administration.

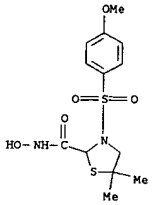
IT **203915-75-7P 203915-76-8P 203915-77-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 1,3-diheterocyclic metalloprotease inhibitors and their pharmaceutical compns.)

RN 203915-75-7 CAPLUS
CN 2-Thiazolidinecarboxamide, N-hydroxy-3-[(4-methoxyphenyl)sulfonyl]-2,5,5-trimethyl- (9CI) (CA INDEX NAME)

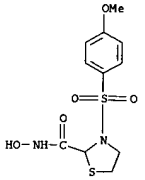


RN 203915-76-8 CAPLUS
CN 2-Thiazolidinecarboxamide, N-hydroxy-3-[(4-methoxyphenyl)sulfonyl]-5,5-dimethyl- (9CI) (CA INDEX NAME)

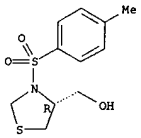
L11 ANSWER 26 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 203915-77-9 CAPLUS
CN 2-Thiazolidinecarboxamide, N-hydroxy-3-[(4-methoxyphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



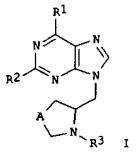
L11 ANSWER 27 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)



L11 ANSWER 27 OF 37 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1997:784208 CAPLUS
DOCUMENT NUMBER: 128:88717
TITLE: Preparation of 9-substituted purine derivatives and their use as antiviral agents
INVENTOR(S): Kojima, Eiji; Miyawaki, Shoichi; Fujii, Yuji; Murakami, Kunimutsu
PATENT ASSIGNEE(S): Jujo Paper Mfg. Co. Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 31 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 09316076	A2	19971209	JP 1996-129933	19960524

OTHER SOURCE(S): MARPAT 128:88717
GI



AB The derivs. I (A = CH2, S; R1, R2 = H, NH2; R3 = arylsulfonyl; if A = CH2, then R3 .noteq. SO2C6H4Me-p) or their salts are prepd. Also claimed are antiviral agents, esp., for treatment of AIDS, contg. I as active ingredients. The title compd. (S)-2,6-diamino-9-[N-(4-isopropylbenzenesulfonyl)-2-pyrrolidinylmethyl]purine (II) was prepd. by treatment of L-prolinol with 4-Me2CHC6H4SO2Cl and condensation of the resulting N,O-bis(4-isopropylbenzenesulfonyl)-L-prolinol with 2,6-diaminopurine. II showed an EC50 10.0 .mu.g/mL against cell damage of MT-4 cells by HIV-1 (HTLV-IIIB) and CC50 was >100 .mu.g/mL.
IT **201028-64-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of [(thia)pyrrolidinylmethyl]purines as antiviral agents)
RN 201028-64-0 CAPLUS
CN 4-Thiazolidinemethanol, 3-[(4-methylphenyl)sulfonyl]-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 28 OF 37 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1997:456150 CAPLUS
DOCUMENT NUMBER: 127:162116
TITLE: Arylsulfonamido-substituted hydroxamic acids
INVENTOR(S): MacPherson, Lawrence J.; Parker, David T.
PATENT ASSIGNEE(S): Ciba-Geigy Corp., USA
SOURCE: U.S., 31 pp., Cont.-in-part of U.S. 5,552,419.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

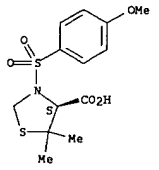
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5646167	A	19970708	US 1995-475166	19950607
US 5455258	A	19951003	US 1993-1136	19930106
US 5506242	A	19960409	US 1994-265296	19940624
US 5552419	A	19960903	US 1994-333676	19941103
WO 9640101	A1	19961219	WO 1996-EP2418	19960604
W: AL, AU, BB, BG, BR, CA, CN, CZ, EE, GE, HU, IL, IS, JP, KP, KR, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9661249	A1	19961230	AU 1996-61249	19960604
US 5817822	A	19981006	US 1997-787730	19970124

PRIORITY APPLN. INFO.:
US 1993-1136 A2 19930106
US 1994-265296 A2 19940624
US 1994-333676 A2 19941103
NZ 1993-250517 A 19931220
US 1995-475166 A 19950607
WO 1996-EP2418 W 19960604

OTHER SOURCE(S): MARPAT 127:162116
AB Arylsulfonamido-substituted hydroxamic acids HONHCOCR1R2N(CH2R)SO2Ar (Ar = carbocyclic or heterocyclic aryl; R, R1 = H, alkyl, aryl, etc.; R2 = H, alkyl; R and R1 or R1 and R2 may form a ring) or their pharmaceutically acceptable prodrug derivs. or salts were prepd. as antitumor agents. Thus, N-hydroxy-2(R)-[(4-methoxybenzenesulfonyl)(3-picolyl)amino]-3-methylbutanamide was prepd. from D-valine, 4-methoxybenzenesulfonyl chloride, 3-picolyl chloride hydrochloride, and O-tert-butylhydroxylamine hydrochloride.
IT **161314-87-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of arylsulfonamido-substituted hydroxamic acids as matrix-degrading metalloproteinase inhibitors)
RN 161314-87-0 CAPLUS
CN 4-Thiazolidinecarboxylic acid, 3-[(4-methoxyphenyl)sulfonyl]-5,5-dimethyl-, (S)- (9CI) (CA INDEX NAME)

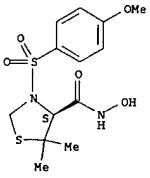
Absolute stereochemistry.

L11 ANSWER 28 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)



IT **161313-76-4P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of arylsulfonamido-substituted hydroxamic acids as matrix-degrading metalloproteinase inhibitors)
RN 161313-76-4 CAPLUS
CN 4-Thiazolidinecarboxamide, N-hydroxy-3-[(4-methoxyphenyl)sulfonyl]-5,5-dimethyl-, (S)- (9CI) (CA INDEX NAME)

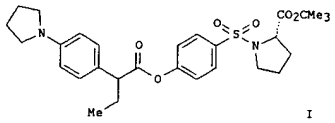
Absolute stereochemistry.



L11 ANSWER 29 OF 37 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1997:390578 CAPLUS
DOCUMENT NUMBER: 127:5005
TITLE: Preparation of sulfamoylphenyl alkanoates as elastase inhibitors
INVENTOR(S): Nakae, Takahiko; Kato, Masashi; Fujita, Takehito; Kawabata, Kazuhito; Ohno, Hiroyuki
PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 270 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 769498	A1	19970423	EP 1996-307048	19960927
R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 09165365	A2	19970624	JP 1995-272058	19950927
JP 09278742	A2	19971028	JP 1996-271341	19960924
JP 2881688	B2	19990412		
JP 10251218	A2	19980922	JP 1998-111630	19960924
AU 9665837	A1	19970410	AU 1996-65837	19960925
AU 714025	B2	19991216		
ZA 9608069	A	19970520	ZA 1996-8069	19960925
NO 9604045	A	19970401	NO 1996-4045	19960926
CA 2186665	AA	19970328	CA 1996-2186665	19960927

PRIORITY APPLN. INFO.: JP 1995-272058 A 19950927
JP 1996-45663 A 19960224
JP 1996-271341 A3 19960924
OTHER SOURCE(S): MARPAT 127:5005
GI

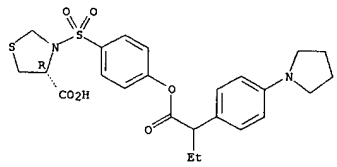


I

AB R1CR2R3CO2ZSO2NR5R6 [I; R1 = (un)substituted carbocyclic or heterocyclic ring; R2,R3 = H, halo, alkyl, Ph, etc.; R2R3 = alkylidene or atoms to complete a carbocyclic ring; R5,R6 = H, OH, alkyl, etc.; NR5R6 = heterocyclyl; Z = (un)substituted 1,4-phenylene] were prepd. Thus, (S)-4-(tert-butoxycarbonyl-1-pyrrolidinylsulfonyl)-2-methylphenol was esterified by 2-(4-pyrrolidinophenyl)butanoic acid (prepn. each given) to give title compd. II. Data for biol. activity of I were given.
IT **190252-84-7P 190252-88-1P 190252-90-5P**

L11 ANSWER 29 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)
190252-91-6P 190252-94-9P 190254-56-9P
190256-08-7P 190256-12-3P 190256-14-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of sulfamoylphenyl alkanoates as elastase inhibitors)
RN 190252-84-7 CAPLUS
CN 4-Thiazolidinecarboxylic acid, 3-[[4-[1-oxo-2-[4-(1-pyrrolidinyl)phenyl]butoxy]phenyl]sulfonyl]-, monohydrochloride, (4R)- (9CI) (CA INDEX NAME)

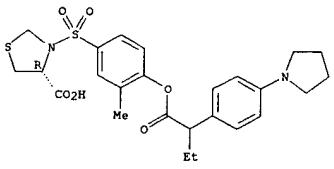
Absolute stereochemistry.



● HCl

RN 190252-88-1 CAPLUS
CN 4-Thiazolidinecarboxylic acid, 3-[[3-methyl-4-[1-oxo-2-[4-(1-pyrrolidinyl)phenyl]butoxy]phenyl]sulfonyl]-, (4R)- (9CI) (CA INDEX NAME)

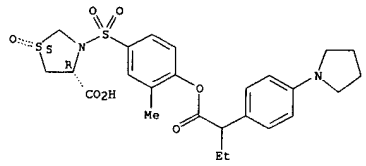
Absolute stereochemistry.



RN 190252-90-5 CAPLUS
CN 4-Thiazolidinecarboxylic acid, 3-[[3-methyl-4-[1-oxo-2-[4-(1-pyrrolidinyl)phenyl]butoxy]phenyl]sulfonyl]-, 1-oxide, monohydrochloride, (1S,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

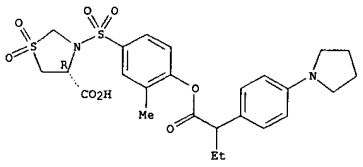
L11 ANSWER 29 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)



● HCl

RN 190252-91-6 CAPLUS
CN 4-Thiazolidinecarboxylic acid, 3-[[3-methyl-4-[1-oxo-2-[4-(1-pyrrolidinyl)phenyl]butoxy]phenyl]sulfonyl]-, 1,1-dioxide, monohydrochloride, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

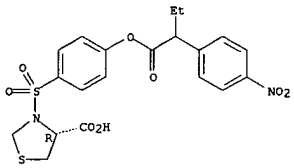


● HCl

RN 190252-94-9 CAPLUS
CN 4-Thiazolidinecarboxylic acid, 3-[[4-[2-(4-nitrophenyl)-1-oxobutoxy]phenyl]sulfonyl]-, (4R)- (9CI) (CA INDEX NAME)

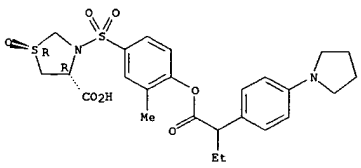
Absolute stereochemistry.

L11 ANSWER 29 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)



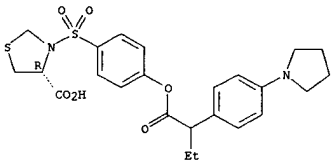
RN 190254-56-9 CAPLUS
CN 4-Thiazolidinecarboxylic acid, 3-[[3-methyl-4-[1-oxo-2-[4-(1-pyrrolidinyl)phenyl]butoxy]phenyl]sulfonyl]-, 1-oxide, [1R-(1.alpha.,4.beta.)]-[partial]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 190256-08-7 CAPLUS
CN 4-Thiazolidinecarboxylic acid, 3-[[4-[1-oxo-2-[4-(1-pyrrolidinyl)phenyl]butoxy]phenyl]sulfonyl]-, (4R)- (9CI) (CA INDEX NAME)

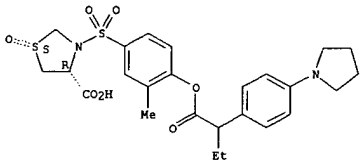
Absolute stereochemistry.



RN 190256-12-3 CAPLUS

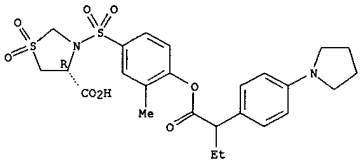
L11 ANSWER 29 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)
CN 4-Thiazolidinecarboxylic acid, 3-[[3-methyl-4-[1-oxo-2-[4-(1-pyrrolidinyl)phenyl]butoxy]phenyl]sulfonyl]-, 1-oxide, [1S-(1.alpha.,4.alpha.)]-[partial]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

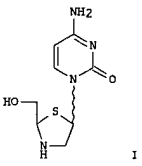


RN 190256-14-5 CAPLUS
CN 4-Thiazolidinecarboxylic acid, 3-[[3-methyl-4-[1-oxo-2-[4-(1-pyrrolidinyl)phenyl]butoxy]phenyl]sulfonyl]-, 1,1-dioxide, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L11 ANSWER 30 OF 37 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1996:620423 CAPLUS
DOCUMENT NUMBER: 126:8478
TITLE: Synthesis, structural studies and antiretroviral evaluation of 3'-aza-4'-thia-2',3'-dideoxynucleosides (thiazolidine-nucleoside analogs)
AUTHOR(S): Faury, Philippe; Camplo, Michel; Mourier, Nicolas; Trabaud, Carole; Niddam, Valerie; Kraus, Jean-Louis
CORPORATE SOURCE: Faculte Sciences Luminy, Unite INSERM, Marseille, 13288, Fr.
SOURCE: Bulletin de la Societe Chimique de France (1996), 133(6), 553-561
CODEN: BSCFAS; ISSN: 0037-8968
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

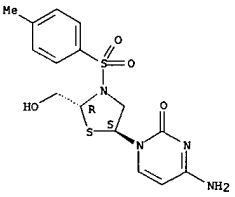
AB Starting with the concept that heterocyclic pseudo-ribose rings could confer potent antiviral activity to nucleoside analogs, we synthesized 3'-aza-4'-thia-2',3'-dideoxynucleosides, e.g. I. The synthesis of such analogs required the prepn. of N-protected-1,3-thiazolidines adequately disubstituted in 2- and 5-positions. Introduction of nucleobases on these sugar-like thiazolidines was achieved through coupling reactions using tin(IV) chloride as a catalyst. The N-protecting group (N-fluoroenylmethoxycarbonyl, N-acetyl and N-tosyl) of the thiazolidine ring is crucial for final deprotection of 3'-aza-4'-thia-2',3'-dideoxynucleosides. None of these compds. were found active on HIV-infected MT-4 cells.

IT **183477-89-6P 183477-91-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and structural studies and antiretroviral evaluation of thiazolidine nucleoside analogs)

RN 183477-89-6 CAPLUS
CN 2-Thiazolidinemethanol, 5-(4-amino-2-oxo-1(2H)-pyrimidinyl)-3-[(4-methylphenyl)sulfonyl]-, trans- (9CI) (CA INDEX NAME)

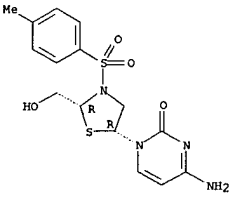
Relative stereochemistry.

L11 ANSWER 30 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 183477-91-0 CAPLUS
CN 2-Thiazolidinemethanol, 5-(4-amino-2-oxo-1(2H)-pyrimidinyl)-3-[(4-methylphenyl)sulfonyl]-, cis- (9CI) (CA INDEX NAME)

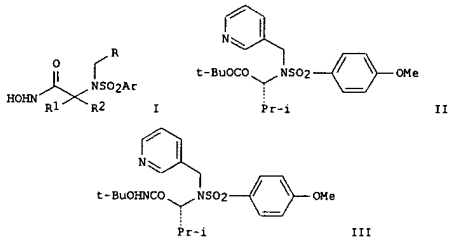
Relative stereochemistry.



L11 ANSWER 31 OF 37 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1996:563630 CAPLUS
DOCUMENT NUMBER: 125:247383
TITLE: Preparation of arylsulfonamido-substituted hydroxamic acids as matrix-degrading metalloproteinase inhibitors
INVENTOR(S): MacPherson, Lawrence J.; Parker, David T.
PATENT ASSIGNEE(S): Ciba-Geigy Corporation, USA
SOURCE: U.S., 32 pp., Cont. -in-part of U. S. Ser. No. 265,296.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

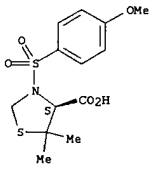
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5552419	A	19960903	US 1994-333676	19941103
US 5455258	A	19951003	US 1993-1136	19930106
US 5506242	A	19960409	US 1994-265296	19940624
US 5646167	A	19970708	US 1995-475166	19950607
US 5672615	A	19970930	US 1996-613303	19960311
US 5817822	A	19981006	US 1997-787730	19970124

PRIORITY APPLN. INFO.: US 1993-1136 A2 19930106
NZ 1993-250517 A 19931220
US 1994-265296 A2 19940624
US 1994-333676 A2 19941103
US 1995-475166 A2 19950607
OTHER SOURCE(S): MARPAT 125:247383
GI



AB The title compds. [I; Ar = carbocyclic or heterocyclic aryl; R = H, alkyl, biaryl, etc.; R1 = H, alkyl, polyhalo alkyl, etc.; R2 = H, alkyl] and

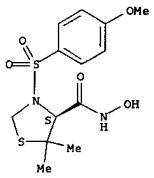
L11 ANSWER 31 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)



L11 ANSWER 31 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)
their salts, inhibitors of matrix-degrading metalloproteinase enzymes (stromelysin, collagenase and macrophage metalloelastase), were prepd. and formulated. Reaction of N-(4-methoxybenzenesulfonyl)-D-valine tert-Bu ester with 3-picolyl chloride.HCl in the presence of K2CO3 in DMF followed by deesterification of the ester (R)-II, reaction of the corresponding acid.HCl with O-tert-butylhydroxylamine.HCl in the presence of 1-hydroxybenzotriazole, 4-methylmorpholine and N-(dimethylaminopropyl)-N'-ethylcarbodiimide.HCl in CH2Cl2 and treatment of the intermediate (R)-III with HCl in dichloroethane contg. EtOH afforded (R)-I.HCl [Ar = 4-MeOC6H4; R = 3-pyridyl; R1 = isopropyl; R2 = H] which showed Ki of 17 nM against stromelysin.

IT **161313-76-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of arylsulfonamido-substituted hydroxamic acids as matrix-degrading metalloproteinase inhibitors)
RN 161313-76-4 CAPLUS
CN 4-Thiazolidinecarboxamide, N-hydroxy-3-[(4-methoxyphenyl)sulfonyl]-5,5-dimethyl-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **161314-87-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of arylsulfonamido-substituted hydroxamic acids as matrix-degrading metalloproteinase inhibitors)
RN 161314-87-0 CAPLUS
CN 4-Thiazolidinecarboxylic acid, 3-[(4-methoxyphenyl)sulfonyl]-5,5-dimethyl-, (S)- (9CI) (CA INDEX NAME)

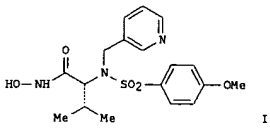
Absolute stereochemistry.

L11 ANSWER 32 OF 37 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1996:275067 CAPLUS
DOCUMENT NUMBER: 125:34156
TITLE: Arylsulfonamido-substituted hydroxamic acids and method of inhibiting metalloelastase activity, inhibiting elastin degradation, or treating macrophage metalloelastase dependent conditions in mammals
INVENTOR(S): MacPherson, Lawrence J.; Parker, David T.; Jeng, Arco Y.
PATENT ASSIGNEE(S): Ciba-Geigy Corp., USA
SOURCE: U.S., 32 pp., Cont.-in-part of U.S. 5,455,258.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5506242	A	19960409	US 1994-265296	19940624
US 5455258	A	19951003	US 1993-1136	19930106
US 5552419	A	19960903	US 1994-333676	19941103
US 5646167	A	19970708	US 1995-475166	19950607
CA 2192092	AA	19960104	CA 1995-2192092	19950612
WO 9600214	A1	19960104	WO 1995-IB464	19950612
W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KG, KP, KR, KZ, LK, LR, LT, LV, MD, MG, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TT, UA, US, UZ, VN				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9525369	A1	19960119	AU 1995-25369	19950612
AU 692553	B2	19980611		
EP 766672	A1	19970409	EP 1995-919600	19950612
EP 766672	B1	20001004		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
HU 76548	A2	19970929	HU 1996-3592	19950612
JP 11505502	T2	19990521	JP 1995-502968	19950612
AT 196762	E	20001015	AT 1995-919600	19950612
ES 2151599	T3	20010101	ES 1995-919600	19950612
IL 114171	A1	20010128	IL 1995-114171	19950615
ZA 9505206	A	19951227	ZA 1995-5206	19950623
TW 429244	B	20010411	TW 1995-84106624	19950628
US 5672615	A	19970930	US 1996-613303	19960311
FI 9605156	A	19961220	FI 1996-5156	19961220
NO 9605568	A	19970217	NO 1996-5568	19961223
US 5817822	A	19981006	US 1997-787730	19970124

PRIORITY APPLN. INFO.: US 1993-1136 A2 19930106
NZ 1993-250517 A 19931220
US 1994-265296 A2 19940624
US 1994-333676 A2 19941103
US 1995-475166 A2 19950607
WO 1995-IB464 W 19950612
OTHER SOURCE(S): MARPAT 125:34156
GI

L11 ANSWER 32 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)



I

AB The invention relates to a method of inhibiting metalloelastase activity, of inhibiting the degrdn. of elastin, or of treating macrophage metalloelastase dependent conditions in mammals which comprises administering to a mammal in need thereof an effective macrophage metalloelastase inhibiting amt. of (HO)NHCOCR1R2N(CH2R)SO2Ar wherein: Ar is carbocyclic or heterocyclic aryl; R is, e.g., H, lower alkyl, carbocyclic aryl-lower alkyl; R1 is, e.g., H, lower alkyl, carbocyclic aryl-lower alkyl; R2 = H or lower alkyl, or of a pharmaceutically acceptable prodrug deriv. thereof, or of a pharmaceutically acceptable salt thereof, or of pharmaceutical compns. comprising a said compd. Thus, e.g., treatment of D-valine with 4-methoxybenzenesulfonyl chloride followed by esterification with N,N-dimethylformamide di-t-Bu acetal afforded N-[4-methoxybenzenesulfonyl]-D-valine t-Bu ester; treatment of the latter with 3-picolyl chloride hydrochloride followed by HCl afforded 2(R)-[[4-methoxybenzenesulfonyl](3-picolyl)amino]-3-methylbutanoic acid hydrochloride; coupling with O-t-butylhydroxylamine hydrochloride followed by HCl afforded N-hydroxy-2(R)-[[4-methoxybenzenesulfonyl](3-picolyl)amino]-3-methylbutanamide.xHCl (I.xHCl) which inhibited stromelysin (based on its hydrolysis of Substance P) with Ki = 17 nM, inhibited stromelysin (based on human aggrecan substrate) with IC50 = 55 nM, inhibited collagenase with Ki = 62 nM, and inhibited the degrdn. of [3H]elastin by mouse macrophage metalloelastase with an IC50 of about 8 nM. Pharmaceutical formulations were given.

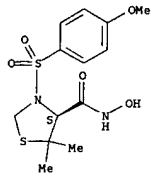
IT **161313-76-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(arylsulfonamido-substituted hydroxamic acids and method of inhibiting metalloelastase activity, inhibiting elastin degrdn., or treating macrophage metalloelastase dependent conditions in mammals)

RN 161313-76-4 CAPLUS

CN 4-Thiazolidinecarboxamide, N-hydroxy-3-[(4-methoxyphenyl)sulfonyl]-5,5-dimethyl-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 32 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)

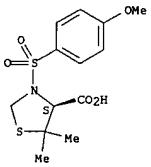


IT **161314-87-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(arylsulfonamido-substituted hydroxamic acids and method of inhibiting metalloelastase activity, inhibiting elastin degrdn., or treating macrophage metalloelastase dependent conditions in mammals)

RN 161314-87-0 CAPLUS

CN 4-Thiazolidinecarboxylic acid, 3-[(4-methoxyphenyl)sulfonyl]-5,5-dimethyl-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

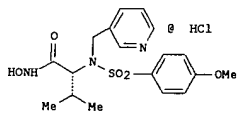


L11 ANSWER 33 OF 37 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1995:31799 CAPLUS
DOCUMENT NUMBER: 122:314456
TITLE: Arylsulfonamido-substituted hydroxamic acid antiinflammatory agents
INVENTOR(S): MacPherson, Lawrence J.; Parker, David Thomas
PATENT ASSIGNEE(S): Ciba-Geigy A.-G., Switz.
SOURCE: Eur. Pat. Appl., 43 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 606046	A1	19940713	EP 1993-810896	19931221
EP 606046	B1	19971008		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
US 5455258	A	19951003	US 1993-1136	19930106
AT 159012	E	19971015	AT 1993-810896	19931221
ES 2107648	T3	19971201	ES 1993-810896	19931221
AU 9352655	A1	19950504	AU 1993-52655	19931222
AU 684255	B2	19971211		
JP 06256293	A2	19940913	JP 1993-338108	19931228
JP 2951527	B2	19990920		
IL 108229	A1	19981030	IL 1993-108229	19931230
FI 9400012	A	19940707	FI 1994-12	19940103
CA 2112779	AA	19940707	CA 1994-2112779	19940104
NO 9400038	A	19940707	NO 1994-38	19940105
NO 180583	B	19970203		
NO 180583	C	19970514		
ZA 9400048	A	19940811	ZA 1994-48	19940105
HU 70536	A2	19951030	HU 1994-39	19940105

PRIORITY APPLN. INFO.: US 1993-1136 A 19930106
OTHER SOURCE(S): MARPAT 122:314456
GI



I

AB The title compds. OHNHCOC(R1)R2N(CH2R)SO2A [A = carbocyclic aryl, heterocyclic aryl; R = H, (un)substituted alkyl, aryl, biaryl, etc.; R1 = H, lower alkyl, aryl, biaryl, etc.; R2 = H, lower alkyl; R1R2 may form a heterocyclic substituent for cyclalkane substituent], which are effect as matrix metalloproteinase inhibitors (no data) useful in the treatment of arthritis (no data), are prepd. Thus, arylsulfonamido-substituted hydroxamic acid I, m.p. 169-170.degree. (decompn.), was prepd. from N-(tert-butyloxy)-2(R)-[[4-methoxybenzenesulfonyl](3-picolyl)amino]-3-

L11 ANSWER 33 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)

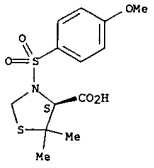
methylbutanamide and HCl.

IT **161314-87-0**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. and reaction of, in prepn. of arylsulfonamido-substituted hydroxamic acid antiinflammatory agents)

RN 161314-87-0 CAPLUS

CN 4-Thiazolidinecarboxylic acid, 3-[(4-methoxyphenyl)sulfonyl]-5,5-dimethyl-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

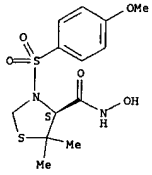


IT **161313-76-4P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as antiinflammatory agent)

RN 161313-76-4 CAPLUS

CN 4-Thiazolidinecarboxamide, N-hydroxy-3-[(4-methoxyphenyl)sulfonyl]-5,5-dimethyl-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



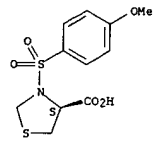
IT **161314-88-1**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in prepn. of arylsulfonamido-substituted hydroxyamic acid antiinflammatory agents)

RN 161314-88-1 CAPLUS

CN 4-Thiazolidinecarboxylic acid, 3-[(4-methoxyphenyl)sulfonyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 33 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)

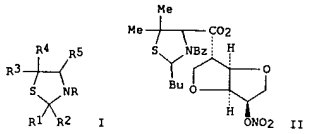


L11 ANSWER 34 OF 37 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1992:426555 CAPLUS
DOCUMENT NUMBER: 117:26555
TITLE: Thiazolidine derivatives
INVENTOR(S): Bron, Jan; Sterk, Geert Jan; Van der Werf, Jan Fetze; Timmerman, Hendrik
PATENT ASSIGNEE(S): Cedona Pharmaceuticals B. V., Neth.
SOURCE: PCT Int. Appl., 54 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9204337	A1	19920319	WO 1991-EP1663	19910903
W: AU, CA, CS, DE, FI, HU, JP, KR, NL, NO, PL, SU, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
NL 9001955	A	19920401	NL 1990-1955	19900905
AU 9184006	A1	19920330	AU 1991-84006	19910903
AU 656146	B2	19950127		
EP 547104	A1	19930623	EP 1991-915783	19910903
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
JP 06500318	T2	19940113	JP 1991-513916	19910903
ZA 9107003	A	19930428	ZA 1991-7003	19910904
FI 94754	B	19950714	FI 1993-723	19930218
FI 94754	C	19951025		
NO 9300790	A	19930304	NO 1993-790	19930304
US 5385922	A	19950131	US 1993-983530	19930304

PRIORITY APPLN. INFO.: NL 1990-1955 19900905
WO 1991-EP1663 19910903
OTHER SOURCE(S): MARPAT 117:26555
GI



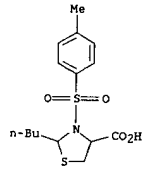
AB Thiazolidines I [R = H, acyl, sulfonyl; R1 = H, alkyl; R2 = H, alkyl, cycloalkyl aralkyl, Ph, substituted Ph; R3, R4 = H, alkyl; R5 = H, (un)substituted CO2H, CONH2] were prepd. Thus, Me(CH2)4CHO was treated with D-penicillamine followed by benzoylation and reaction with isosorbide 5-nitrate to give the thiazolidine II. II lowered blood pressure in anesthetized rabbits and had a vasodilator ED50 of 0.0980 .mu.M on rat

L11 ANSWER 34 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)

aorta in vitro.

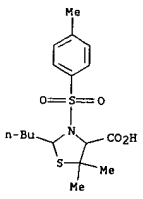
IT **141534-18-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction of, with isosorbide 5-mononitrate)

RN 141534-18-1 CAPLUS
CN 4-Thiazolidinecarboxylic acid, 2-butyl-3-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



IT **141534-24-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction of, with isosorbide nitrate)

RN 141534-24-9 CAPLUS
CN 4-Thiazolidinecarboxylic acid, 2-butyl-5,5-dimethyl-3-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



L11 ANSWER 35 OF 37 CAPLUS COPYRIGHT 2002 ACS

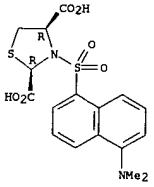
ACCESSION NUMBER: 1992:422613 CAPLUS
DOCUMENT NUMBER: 117:22613
TITLE: Endogenous alkaloids in man. 12. Determination of 1,3-thiazolidinecarboxylic acids in urine by reversed-phase HPLC after fluorescence labeling with dansyl chloride
AUTHOR(S): Bringmann, G.; Feineis, D.; Hesselmann, Ch.
CORPORATE SOURCE: Inst. Org. Chem., Univ. Wuerzburg, Wuerzburg, D-8700, Germany
SOURCE: Analytical Letters (1992), 25(3), 497-512
CODEN: ANALBP; ISSN: 0003-2719
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A sensitive and reliable HPLC assay for the detn. of highly polar alkaloid-type heterocycles and their precursors, L-cysteine, cysteamine, and D(-)-penicillamine, was developed, based on the prechromatog. derivatization of secondary amines with dansyl chloride to form yellow fluorescent compds. Series of tests, monitoring diastereomeric 5,5-dimethyl-thiazolidine-2(R,S)-4(S)-dicarboxylic acids after dansylation in matrix-free soln. and in urine, resp., using an external std. method, are presented. The detection limit for urine samples was detd. to be 2-3 nmol/mL.

IT **141985-35-5 141985-36-6 141985-37-7**
RL: PRP (Properties)
(spectra of)

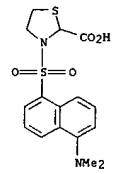
RN 141985-35-5 CAPLUS
CN 2,4-Thiazolidinedicarboxylic acid, 3-[[5-(dimethylamino)-1-naphthalenyl]sulfonyl]-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



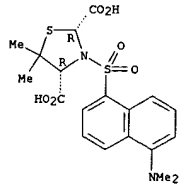
RN 141985-36-6 CAPLUS
CN 2-Thiazolidinecarboxylic acid, 3-[[5-(dimethylamino)-1-naphthalenyl]sulfonyl]- (9CI) (CA INDEX NAME)

L11 ANSWER 35 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)

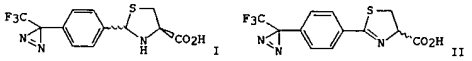


RN 141985-37-7 CAPLUS
CN 2,4-Thiazolidinedicarboxylic acid, 3-[[5-(dimethylamino)-1-naphthalenyl]sulfonyl]-5,5-dimethyl-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



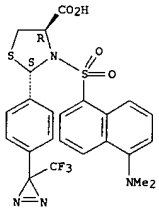
L11 ANSWER 36 OF 37 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1990:497844 CAPLUS
DOCUMENT NUMBER: 113:97844
TITLE: Thiazolidine and thiazoline derivatives of 3-aryl-3-(trifluoromethyl)diazirines for the preparation of fluorescent or 35S-radiolabeled photoaffinity probes
AUTHOR(S): Kwiatkowski, Stefan; Crocker, Peter J.; Chavan, Ashok J.; Imai, Nobuyuki; Haley, Boyd E.; Watt, David S.; Ho, Ren Jye
CORPORATE SOURCE: Dep. Chem., Univ. Kentucky, Lexington, KY, 40506, USA
SOURCE: Tetrahedron Letters (1990), 31(15), 2093-6
CODEN: TELEAY; ISSN: 0040-4039
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 113:97844
GI



AB The condensation of cysteine with 3-(4-formylphenyl)- or 3-(4-cyanophenyl)-3-trifluoromethyldiazirine furnished thiazolidine and thiazoline derivs. I and II in good yield. These heterocycles provide convenient access to forskolin photoaffinity probes contg. a 35S radiolabel or a fluorescent dansyl group.
IT **128886-90-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and coupling of, with diacetylforskolin)
RN 128886-90-8 CAPLUS
CN 4-Thiazolidinecarboxylic acid, 3-[[5-(dimethylamino)-1-naphthalenyl]sulfonyl]-2-[4-[3-(trifluoromethyl)-3H-diazirin-3-yl]phenyl]-, (2S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 36 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)



L11 ANSWER 37 OF 37 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1987:636699 CAPLUS
DOCUMENT NUMBER: 107:236699
TITLE: Preparation of benzoylthiazolidinecarboxamides as immunostimulants and anticancer agents
INVENTOR(S): Nagano, Mitsuo; Sakai, Junichi; Kitamura, Koichi
PATENT ASSIGNEE(S): Sankyo Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 12 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 62155267	A2	19870710	JP 1985-296641	19851227
JP 06006578	B4	19940126		

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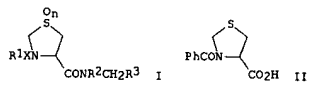
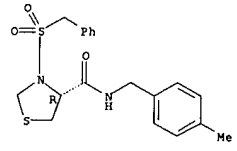


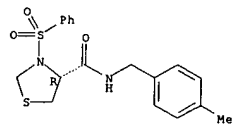
AB The title compds. [I; R1 = (un)substituted alkyl, cycloalkyl, aralkyl, aryl, heteroaryl, heterocyclyl, heterocyclylalkyl; X = CO, SO2; R2 = H, alkyl; R3 = (un)substituted Ph; n = 0-2], useful as immunostimulants and anticancer agents (no data), were prepn. A mixt. of 30 g (R)-thiazolidine-4-carboxylic acid and 31.7 g BzCl in 2 N aq. NaOH and Me2CO was stirred at 0-5.degree. for 1 h to give 96.9% benzoyl deriv. II, which (5.34 g) was condensed with 2.41 g PhCH2NH2 in CH2Cl2 in the presence of N-hydroxybenzotriazole and DCC to give 83.8% I (R1 = R3 = Ph, R2 = H, X = CO, n = 0).
IT **111390-42-2P 111420-41-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as immunostimulant and neoplasm inhibitor)
RN 111390-42-2 CAPLUS
CN 4-Thiazolidinecarboxamide, N-[(4-methylphenyl)methyl]-3-[(phenylmethyl)sulfonyl]-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L11 ANSWER 37 OF 37 CAPLUS COPYRIGHT 2002 ACS (Continued)
RN 111420-41-8 CAPLUS
CN 4-Thiazolidinecarboxamide, N-[(4-methylphenyl)methyl]-3-(phenylsulfonyl)-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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DICTIONARY FILE UPDATES:  14 NOV 2002  HIGHEST RN 473658-67-2

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Experimental and calculated property data are now available.  See HELP
PROPERTIES for more information.  See STNote 27, Searching Properties
in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

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L12     STRUCTURE UPLOADED

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SAMPLE SCREEN SEARCH COMPLETED -   1414 TO ITERATE

 70.7% PROCESSED    1000 ITERATIONS                                1 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
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PROJECTED ITERATIONS: 26025 TO 30535
PROJECTED ANSWERS: 1 TO 99

L13 1 SEA SSS SAM L12

=> s l12 full
FULL SEARCH INITIATED 15:10:48 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 27985 TO ITERATE

100.0% PROCESSED 27985 ITERATIONS 60 ANSWERS
SEARCH TIME: 00.00.05

L14 60 SEA SSS FUL L12

=> fil caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	140.28	657.85

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-23.54

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FILE COVERS 1907 - 15 Nov 2002 VOL 137 ISS 21
FILE LAST UPDATED: 14 Nov 2002 (20021114/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

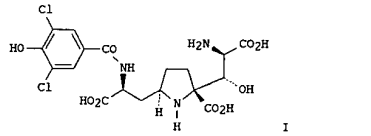
CAS roles have been modified effective December 16, 2001. Please check your SDI profiles to see if they need to be revised. For information on CAS roles, enter HELP ROLES at an arrow prompt or use the CAS Roles thesaurus (/RL field) in this file.

=> s l13
L15 1 L13

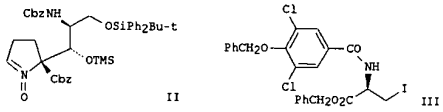
=> s l14
L16 17 L14

=> d ibib abs hitstr 1-17

L16 ANSWER 1 OF 17 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:63161 CAPLUS
DOCUMENT NUMBER: 136:355438
TITLE: The first synthesis of kaitocephalin based on the structure revision
AUTHOR(S): Watanabe, Hidenori; Okue, Masayuki; Kobayashi, Hiroyuki; Kitahara, Takeshi
CORPORATE SOURCE: Graduate School of Agricultural and Life Sciences, Department of Applied Biological Chemistry, The University of Tokyo, Bunkyo-ku, Tokyo, 113-8657, Japan
SOURCE: Tetrahedron Letters (2002), 43(5), 861-864
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 136:355438
GI



I



II III

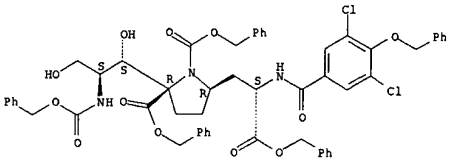
AB A total synthesis of kaitocephalin (I), a glutamate receptor antagonist, was accomplished employing a novel stereoselective C-C bond forming reaction of a nitrone (II) and a halide (III) with zinc in aq. solvent under sonication as a key step. The abs. configuration of kaitocephalin was confirmed to be 2R,3S,4R,7R,9S.

IT **420107-69-3P 420107-70-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(total synthesis of kaitocephalin via stereoselective reaction of a nitrone and a halide)

RN 420107-69-3 CAPLUS
CN 1,2-Pyrrolidinedicarboxylic acid, 5-[(2S)-2-[[3,5-dichloro-4-(phenylmethoxy)benzoyl]amino]-3-oxo-3-(phenylmethoxy)propyl]-2-[(1S,2S)-1,3-dihydroxy-2-[[(phenylmethoxy)carbonyl]amino]propyl]-, bis(phenylmethyl) ester, (2R,5R)- (9CI) (CA INDEX NAME)

L16 ANSWER 1 OF 17 CAPLUS COPYRIGHT 2002 ACS (Continued)

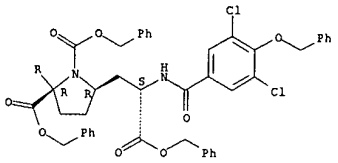
L16 ANSWER 1 OF 17 CAPLUS COPYRIGHT 2002 ACS (Continued)
Absolute stereochemistry.



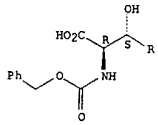
RN 420107-70-6 CAPLUS
CN 2,5-Pyrrolidinedipropanoic acid, .alpha.5-[[3,5-dichloro-4-(phenylmethoxy)benzoyl]amino]-.beta.2-hydroxy-1,2-bis[(phenylmethoxy)carbonyl]-.alpha.2-[[(phenylmethoxy)carbonyl]amino]-, .alpha.5-(phenylmethyl) ester, (.alpha.2R,.alpha.5S,.beta.2S,2R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

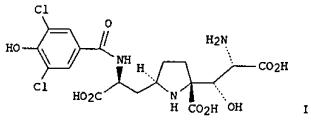


PAGE 2-A



REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 2 OF 17 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:63160 CAPLUS
DOCUMENT NUMBER: 137:6017
TITLE: Synthesis of the proposed structure and revision of stereochemistry of kaitocephalin
AUTHOR(S): Okue, Masayuki; Kobayashi, Hiroyuki; Shin-ya, Kazuo; Furihata, Kazuo; Hayakawa, Yoichi; Seto, Haruo; Watanabe, Hidenori; Kitahara, Takeshi
CORPORATE SOURCE: Graduate School of Agricultural and Life Sciences, Department of Applied Biological Chemistry, The University of Tokyo, Yayoi, Bunkyo-ku, Tokyo, 113-8657, Japan
SOURCE: Tetrahedron Letters (2002), 43(5), 857-860
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 137:6017
GI



I

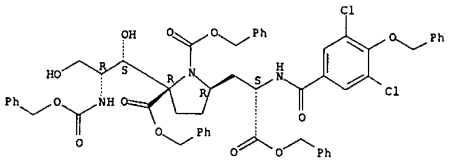
AB A stereoselective total synthesis of the proposed structure of kaitocephalin was accomplished starting from L-proline and D- and L-serines. However, its 1H NMR spectral data and retention time on HPLC were not identical with those of authentic natural kaitocephalin. The revised stereochem. of natural kaitocephalin, (2R)-isomer I, was inferred from further expts. employing diastereomers and model compds.

IT **433237-95-7P 433238-69-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis via a stereoselective coupling reaction of the proposed structure of kaitocephalin and revision of its stereochem.)

RN 433237-95-7 CAPLUS
CN 1,2-Pyrrolidinedicarboxylic acid, 5-[(2S)-2-[[3,5-dichloro-4-(phenylmethoxy)benzoyl]amino]-3-oxo-3-(phenylmethoxy)propyl]-2-[(1S,2R)-1,3-dihydroxy-2-[[(phenylmethoxy)carbonyl]amino]propyl]-, bis(phenylmethyl) ester, (2R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

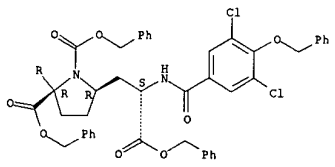
L16 ANSWER 2 OF 17 CAPLUS COPYRIGHT 2002 ACS (Continued)



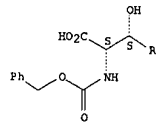
RN 433238-69-8 CAPLUS
CN 2,5-Pyrrolidinedipropanoic acid, .alpha.5-[[3,5-dichloro-4-(phenylmethoxy)benzoyl]amino]-.beta.2-hydroxy-1,2-bis[(phenylmethoxy)carbonyl]-.alpha.2-[[(phenylmethoxy)carbonyl]amino]-, .alpha.5-(phenylmethyl) ester, (.alpha.2S,.alpha.5S,.beta.2S,2R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

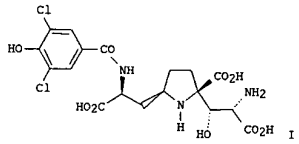


PAGE 2-A



REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

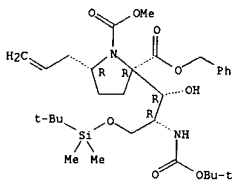
L16 ANSWER 3 OF 17 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:653064 CAPLUS
DOCUMENT NUMBER: 135:357785
TITLE: Total Synthesis of Kaitocephalin, the First Naturally Occurring AMPA/KA Receptor Antagonist
AUTHOR(S): Ma, Dawei; Yang, Jiade
CORPORATE SOURCE: State Key Laboratory of Bioorganic and Natural Products Chemistry Shanghai Institute of Organic Chemistry, Chinese Academy of Sciences, Shanghai, 200032, Peop. Rep. China
SOURCE: Journal of the American Chemical Society (2001), 123(39), 9706-9707
CODEN: JACSAT; ISSN: 0002-7863
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 135:357785
GI



AB The first total synthesis of kaitocephalin (I) includes a highly diastereoselective aldol reaction and various functional group manipulations involving internal protection and group selectivity.
IT **372187-24-1P 372187-25-2P 372187-50-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(total synthesis of kaitocephalin)
RN 372187-24-1 CAPLUS
CN 1,2-Pyrrolidinedicarboxylic acid, 2-[(1R,2R)-2-[[(1,1-dimethylethoxy)carbonyl]amino]-3-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-1-hydroxypropyl]-5-(2-propenyl)-, 1-methyl 2-(phenylmethyl) ester, (2R,5R)- (9CI) (CA INDEX NAME)

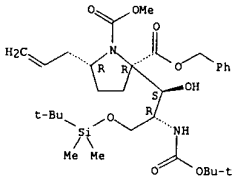
Absolute stereochemistry. Rotation (+).

L16 ANSWER 3 OF 17 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 372187-25-2 CAPLUS
CN 1,2-Pyrrolidinedicarboxylic acid, 2-[(1S,2R)-2-[[(1,1-dimethylethoxy)carbonyl]amino]-3-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-1-hydroxypropyl]-5-(2-propenyl)-, 1-methyl 2-(phenylmethyl) ester, (2R,5R)- (9CI) (CA INDEX NAME)

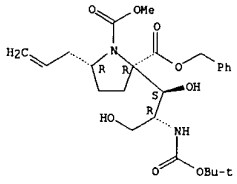
Absolute stereochemistry. Rotation (-).



RN 372187-50-3 CAPLUS
CN 1,2-Pyrrolidinedicarboxylic acid, 2-[(1S,2R)-2-[[(1,1-dimethylethoxy)carbonyl]amino]-1,3-dihydroxypropyl]-5-(2-propenyl)-, 1-methyl 2-(phenylmethyl) ester, (2R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L16 ANSWER 3 OF 17 CAPLUS COPYRIGHT 2002 ACS (Continued)



REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:34889 CAPLUS
DOCUMENT NUMBER: 132:93658
TITLE: Preparation of amino acid and peptide derivatives as microbial efflux pump inhibitors.
INVENTOR(S): Chamberland, Suzanne; Ishida, Yohei; Lee, Ving J.; Leger, Roger; Nakayama, Kiyoshi; Ohta, Toshiharu; Ohtsuka, Masami; Renau, Thomas W.; Watkins, William J.; Zhang, Zhijia J.
PATENT ASSIGNEE(S): Microcide Pharmaceuticals, Inc., USA; Daiich Pharmaceutical Co., Ltd.
SOURCE: PCT Int. Appl., 387 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000001714	A1	20000113	WO 1999-US14871	19990629
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6399629	B1	20020604	US 1998-108906	19980701
AU 9952073	A1	20000124	AU 1999-52073	19990629

PRIORITY APPLN. INFO.: US 1998-108906 A 19980701
US 1998-87514P P 19980601
WO 1999-US14871 W 19990629

OTHER SOURCE(S): MARPAT 132:93658
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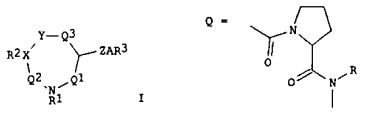


I

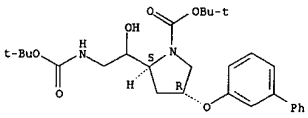
AB A method for treating a microbial infection comprises administration of title compds. [I; Q1 = (CH2)n1; Q2 = (CH2)n2; Q3 = (CH2)n3; n1 = 0, 1; n2 = 0-3; n3 = 0-2; n1+n2+n3 = 1-4; X = N, CR2a, CR2b; R2a = H, alkyl; R2b = OH, F; Y = bond, S, O, NR23; R23 = H, alkyl; R1, R2 = H, C(:NR)R',

L16 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2002 ACS (Continued)
C(:NR)NR'R'', etc.; R, R', R'' = H, alkyl; Z = bond, (CHR4)nCONR4, Q, etc.; R4 = H, alkyl, aralkyl; n = 0-3; A = bond, (CHR5)nX1(CHR5)n; X1 = O, S, bond, cycloalkylene, heterocycloalkylene; R5 = H, alkyl; R3 = H, (substituted) aryl, tetrahydronaphthyl, indanyl, thienyl, furyl, pyridyl, quinolyl, cycloalkyl, etc.; with provisos]. Thus, 1-(trans-4-aminomethyl-L-prolyl)-4-(3-chloro-2-methylphenyl)piperazine (soln. phase prepn. given) at 2.5 .mu.g/mL together with levofloxacin 0.25 .mu.g/mL gave 100% inhibition of Pseudomonas aeruginosa PAM1001 growth.

IT **254883-57-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of amino acid and peptide derivs. as microbial efflux pump inhibitors)

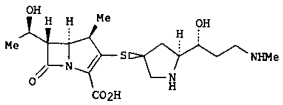
RN 254883-57-3 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 4-([1,1'-biphenyl]-3-yloxy)-2-[2-[[(1,1-dimethylethoxy)carbonyl]amino]-1-hydroxyethyl]-, 1,1-dimethylethyl ester, (2S,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 5 OF 17 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1997:504115 CAPLUS
DOCUMENT NUMBER: 127:217660
TITLE: 1.beta.-Methyl-2-(5-substituted pyrrolidin-3-ylthio)carbapenems; 3. Synthesis and antibacterial activity of BO-2727 and its related compounds
AUTHOR(S): Ohtake, Norikazu; Okamoto, Osamu; Mitomo, Ryuji; Kato, Yoshiaki; Yamamoto, Katsumi; Haga, Yuji; Fukatsu, Hiroshi; Nakagawa, Susumu
CORPORATE SOURCE: Tsukuba Res. Inst., Banyu Pharmaceutical Co., Ltd., Tsukuba, 300-26, Japan
SOURCE: Journal of Antibiotics (1997), 50(7), 598-613
CODEN: JANTAJ; ISSN: 0021-8820
PUBLISHER: Japan Antibiotics Research Association
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

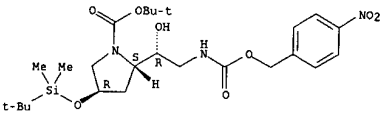
AB The synthesis and biol. activity of (1R,5S,6S)-2-[(3S,5S)-5-substituted pyrrolidin-3-ylthio]-6-[(R)-1-hydroxyethyl]-1-methyl-1-carbapen-2-em-3-carboxylic acid in which hydroxy-substituted aminoethyl, aminopropyl, and aminobutyl groups were introduced as substituents, are described. These derivs. showed potent antibacterial activity against Gram-pos. and Gram-neg. bacteria including P. aeruginosa. Among them, lenapenem (I; BO-2727), carrying an (R)-1-hydroxy-3-(N-methylamino)propyl group, was selected as a development candidate.

IT **194994-07-5P 194994-08-6P 194994-09-7P**
194994-10-0P 194994-11-1P 194994-13-3P
194994-35-9P
RL: PRP (Properties); PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis and antibacterial activity of BO-2727 and its related 1.beta.-methyl-2-(5-substituted pyrrolidin-3-ylthio)carbapenems)

RN 194994-07-5 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 4-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-2-[1-hydroxy-2-[[[(4-nitrophenyl)methoxy]carbonyl]amino]ethyl]-, 1,1-dimethylethyl ester, [2S-[2.alpha.(S*),4.beta.]]- (9CI) (CA INDEX NAME)

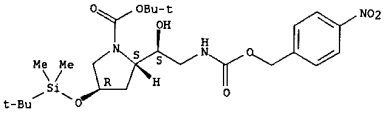
Absolute stereochemistry.

L16 ANSWER 5 OF 17 CAPLUS COPYRIGHT 2002 ACS (Continued)



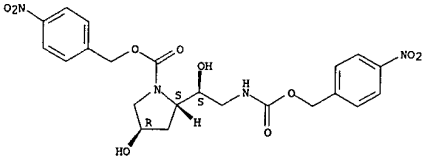
RN 194994-08-6 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 4-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-2-[1-hydroxy-2-[[[(4-nitrophenyl)methoxy]carbonyl]amino]ethyl]-, 1,1-dimethylethyl ester, [2S-[2.alpha.(R*),4.beta.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 194994-09-7 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 4-hydroxy-2-[1-hydroxy-2-[[[(4-nitrophenyl)methoxy]carbonyl]amino]ethyl]-, (4-nitrophenyl)methyl ester, [2S-[2.alpha.(R*),4.beta.]]- (9CI) (CA INDEX NAME)

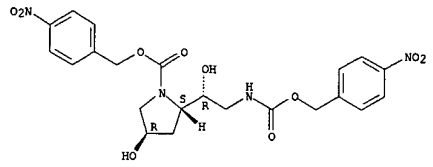
Absolute stereochemistry.



RN 194994-10-0 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 4-hydroxy-2-[1-hydroxy-2-[[[(4-nitrophenyl)methoxy]carbonyl]amino]ethyl]-, (4-nitrophenyl)methyl ester, [2S-[2.alpha.(S*),4.beta.]]- (9CI) (CA INDEX NAME)

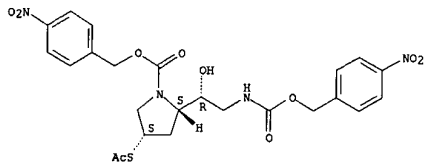
Absolute stereochemistry.

L16 ANSWER 5 OF 17 CAPLUS COPYRIGHT 2002 ACS (Continued)



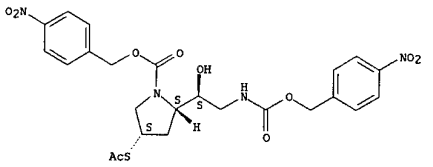
RN 194994-11-1 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 4-(acetylthio)-2-[1-hydroxy-2-[[[(4-nitrophenyl)methoxy]carbonyl]amino]ethyl]-, (4-nitrophenyl)methyl ester, [2S-[2.alpha.(S*),4.alpha.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 194994-13-3 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 4-(acetylthio)-2-[1-hydroxy-2-[[[(4-nitrophenyl)methoxy]carbonyl]amino]ethyl]-, (4-nitrophenyl)methyl ester, [2S-[2.alpha.(R*),4.alpha.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

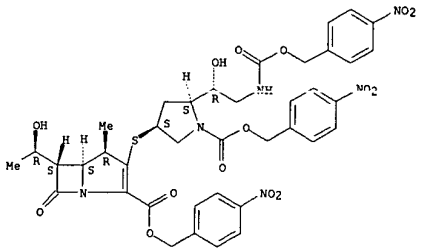


RN 194994-35-9 CAPLUS

L16 ANSWER 5 OF 17 CAPLUS COPYRIGHT 2002 ACS (Continued)

CN 1-Azabicyclo[3.2.0]hept-2-ene-2-carboxylic acid, 6-(1-hydroxyethyl)-3-[[5-[1-hydroxy-2-[[[(4-nitrophenyl)methoxy]carbonyl]amino]ethyl]-1-[[(4-nitrophenyl)methoxy]carbonyl]-3-pyrrolidinyl]thio]-4-methyl-7-oxo-, (4-nitrophenyl)methyl ester, [4R-[3[3S*,5S*(R*)],4.alpha.,5.beta.,6.beta.(R*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L16 ANSWER 6 OF 17 CAPLUS COPYRIGHT 2002 ACS

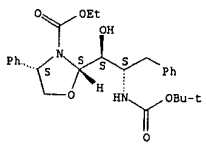
ACCESSION NUMBER: 1997:223974 CAPLUS
DOCUMENT NUMBER: 126:225242
TITLE: Regio- and Stereocontrolled Formation of Chiral Epoxy Oxazolidines via Bromocarbamation of N-Boc Alkenyl Oxazolidines. Application to Asymmetric Synthesis
AUTHOR(S): Agami, Claude; Couty, Francois; Hamon, Louis; Venier, Olivier
CORPORATE SOURCE: Laboratoire de Synthese Asymetrique (URA CNRS 408), Universite P. et M. Curie, Paris, 75005, Fr.
SOURCE: Journal of Organic Chemistry (1997), 62(7), 2106-2112
CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Treatment of .alpha.-alkenyl N-Boc oxazolidines with N-bromosuccinimide leads to epoxy oxazolidines via a bromocyclocarbamation reaction which is completely stereoselective. Action of sodium azide on these epoxides, followed by a few functional group manipulations, eventually affords chiral .beta.-amino alcs., which are intermediates for the enantioselective synthesis of bioactive products: the anti side chain of taxol and a hydroxyethylamine isostere. Both the bromocarbamation cyclization and the nucleophilic cleavage of the epoxides are totally regioselective. AM1 calcns. suggest that this selectivity is controlled by the pos. charge distribution at the electrophilic centers.

IT **188118-23-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(regio- and stereocontrolled formation of chiral epoxyoxazolidines)

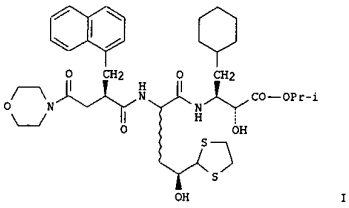
RN 188118-23-2 CAPLUS
CN 3-Oxazolidinecarboxylic acid, 2-[2-[[(1,1-dimethylethoxy)carbonyl]amino]-1-hydroxy-3-phenylpropyl]-4-phenyl-, ethyl ester, [2S-[2.alpha.(1R*,2R*),4.alpha.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L16 ANSWER 7 OF 17 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1996:697877 CAPLUS
DOCUMENT NUMBER: 126:59922
TITLE: Synthesis and renin inhibitory activity of novel angiotensinogen transition state analogs modified at the P2-histidine position
AUTHOR(S): Salimbeni, A.; Paleari, F.; Poma, D.; Criscuoli, M.; Scolastico, C.
CORPORATE SOURCE: Medical Chem. Dep., Milan, 20132, Italy
SOURCE: European Journal of Medicinal Chemistry (1996), 31(10), 827-832
CODEN: EJMCA5; ISSN: 0223-5234
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

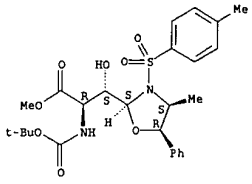
AB With the aim of finding new renin inhibitors with improved bioavailability properties, two angiotensinogen transition state analogs I [S-isomer (II), R-isomer], contg. a novel unnatural amino acid at the P2 position, namely the (2R,3S)- and (2S,3S)-2-amino-3-(1,3-dithiolan-2-yl)-3-hydroxypropanoic acid (ADHPA), have been synthesized and tested for human renin inhibitory activity and for chem. and enzymic stability. Only compd. II possessed a significant activity, which was lower than that of the corresponding histidyl deriv. KRI-1314, and combined with a low stability to the gut enzyme chymotrypsin.

IT **185111-92-6P 185111-98-2P 185112-01-0P 185112-05-4P 185112-06-5P 185112-07-6P 185112-13-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis and renin inhibitory activity of novel angiotensinogen transition state analogs modified at the P2-histidine position)

RN 185111-92-6 CAPLUS
CN 2-Oxazolidinepropanoic acid, .alpha.-[[(1,1-dimethylethoxy)carbonyl]amino]-.beta.-hydroxy-4-methyl-3-[(4-methylphenyl)sulfonyl]-5-phenyl-, methyl ester, [2S-[2.alpha.(.alpha.S*,.beta.R*),4.alpha.,5.alpha.]]- (9CI) (CA INDEX NAME)

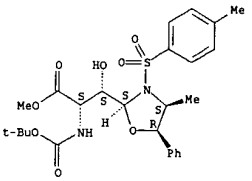
Absolute stereochemistry.

L16 ANSWER 7 OF 17 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 185111-98-2 CAPLUS
CN 2-Oxazolidinepropanoic acid, .alpha.-[[(1,1-dimethylethoxy)carbonyl]amino]-.beta.-hydroxy-4-methyl-3-[(4-methylphenyl)sulfonyl]-5-phenyl-, methyl ester, [2S-[2.alpha.(.alpha.R*,.beta.R*),4.alpha.,5.alpha.]]- (9CI) (CA INDEX NAME)

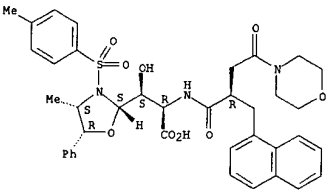
Absolute stereochemistry.



RN 185112-01-0 CAPLUS
CN 2-Oxazolidinepropanoic acid, .beta.-hydroxy-4-methyl-3-[(4-methylphenyl)sulfonyl]-.alpha.-[[4-(4-morpholinyl)-2-(1-naphthalenylmethyl)-1,4-dioxobutyl]amino]-5-phenyl-, methyl ester, [2S-[2.alpha.[.alpha.R*(S*),.beta.R*],4.alpha.,5.alpha.]]- (9CI) (CA INDEX NAME)

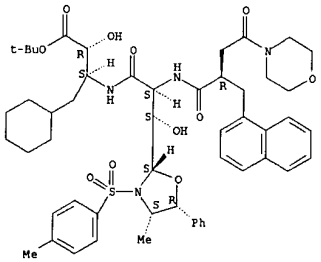
Absolute stereochemistry.

L16 ANSWER 7 OF 17 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 185112-07-6 CAPLUS
CN Cyclohexanebutanoic acid, .alpha.-hydroxy-.beta.-[[3-hydroxy-3-[4-methyl-3-[(4-methylphenyl)sulfonyl]-5-phenyl-2-oxazolidinyl]-2-[[4-(4-morpholinyl)-2-(1-naphthalenylmethyl]-1,4-dioxobutyl]amino]-1-oxopropyl]amino]-, 1,1-dimethylethyl ester, [2S-[2.alpha.[1(.alpha.S*,.beta.R*),2R*(S*),3R*],4.alpha.,5.alpha.]]- (9CI) (CA INDEX NAME)

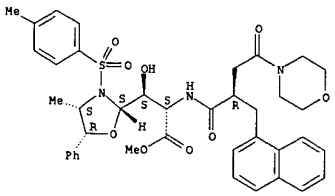
Absolute stereochemistry.



RN 185112-13-4 CAPLUS
CN Cyclohexanebutanoic acid, .alpha.-hydroxy-.beta.-[[3-hydroxy-3-[4-methyl-3-[(4-methylphenyl)sulfonyl]-5-phenyl-2-oxazolidinyl]-2-[[4-(4-morpholinyl)-2-(1-naphthalenylmethyl)-1,4-dioxobutyl]amino]-1-oxopropyl]amino]-, 1,1-dimethylethyl ester, [2S-[2.alpha.[1(.alpha.S*,.beta.R*),2S*(S*),3R*],4.alpha.,5.alpha.]]- (9CI) (CA INDEX NAME)

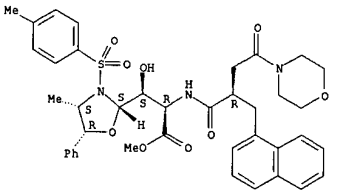
Absolute stereochemistry.

L16 ANSWER 7 OF 17 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 185112-05-4 CAPLUS
CN 2-Oxazolidinepropanoic acid, .beta.-hydroxy-4-methyl-3-[(4-methylphenyl)sulfonyl]-.alpha.-[[4-(4-morpholinyl)-2-(1-naphthalenylmethyl)-1,4-dioxobutyl]amino]-5-phenyl-, methyl ester, [2S-[2.alpha.[.alpha.S*(S*),.beta.R*],4.alpha.,5.alpha.]]- (9CI) (CA INDEX NAME)

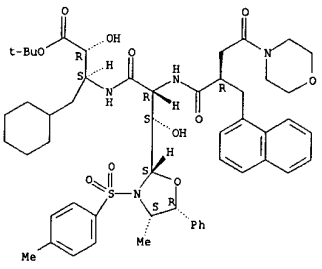
Absolute stereochemistry.



RN 185112-06-5 CAPLUS
CN 2-Oxazolidinepropanoic acid, .beta.-hydroxy-4-methyl-3-[(4-methylphenyl)sulfonyl]-.alpha.-[[4-(4-morpholinyl)-2-(1-naphthalenylmethyl)-1,4-dioxobutyl]amino]-5-phenyl-, [2S-[2.alpha.[.alpha.S*(S*),.beta.R*],4.alpha.,5.alpha.]]- (9CI) (CA INDEX NAME)

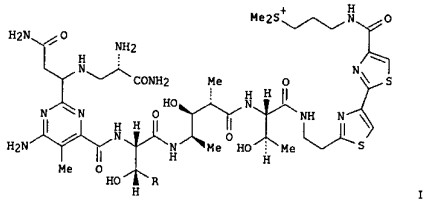
Absolute stereochemistry.

L16 ANSWER 7 OF 17 CAPLUS COPYRIGHT 2002 ACS (Continued)



L16 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER:	1996:196156 CAPLUS
DOCUMENT NUMBER:	124:344068
TITLE:	Synthesis and evaluation of potential N.pi. and N.sigma. metal chelation sites with the .beta.-hydroxy-L-histidine subunit of bleomycin A2: functional characterization of imidazole N.pi. metal complexation
AUTHOR(S):	Boger, Dale L.; Ramsey, Timothy M.; Cai, Hui
CORPORATE SOURCE:	Dep. of Chem., Scripps Res. Inst., La Jolla, CA, 92037, USA
SOURCE:	Bioorganic & Medicinal Chemistry (1996), 4(2), 195-207 CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER:	Elsevier
DOCUMENT TYPE:	Journal
LANGUAGE:	English
GT	



I

AB The synthesis and evaluation of fully functionalized deglycobleomycin A2 analogs I (R = 4-oxazolyl, 2-pyrrolyl), incorporating an oxazole and a pyrrole in place of the .beta.-hydroxy-L-histidine imidazole, are detailed. The oxazole agent is only capable of N.pi. metal complexation through a form related to the N1-H imidazole tautomer of bleomycin A2, while the pyrrole agent may potentially mimic the N.sigma. metal complexation capabilities of the imidazole N3-H tautomer. Metal complexes (FeII, FeIII) of I cleave duplex DNA in the presence of O2 (FeII) or H2O2 (FeIII). The oxazole agent, which is incapable of N.sigma. metal chelation, behaves analogous to, albeit slightly less effectively than, deglycobleomycin A2 resulting in the characteristic 5'-GC/5'-GT sequence selective cleavage of duplex DNA directly confirming that imidazole/oxazole N.pi. metal chelation is sufficient for functional reactivity. Importantly, the effect substitution of the oxazole O1 for the histidine N1 further illustrates that this group does not require deprotonation upon metal complexation, oxygen activation, or the ensuing oxidn. reactions, that the functional bleomycin A2 tautomer is the imidazole N1-H tautomer, and that the imidazole N1-H functionality is not

L16 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2002 ACS (Continued)

contributing to the polynucleotide recognition through H-bonding to the phosphate backbone or nucleotide bases. In contrast, the pyrrole agent, which is incapable to N.pi. metal chelation, but possesses the capabilities of functioning as a N.sigma. metal donor was also found to cleave duplex DNA, but does so in a nonsequence selective fashion with a significantly reduced efficiency and a diminished double to single strand cleavage ratio both only slightly above that of background iron itself. These observations are analogous to those made with I (R = H) which lacks the imidazole altogether and further support the observations that N.pi. coordination, not N.sigma. coordination, of the imidazole is required for the functional activity of bleomycin A2.

IT **176752-60-6P 176752-61-7P 176752-63-9P**

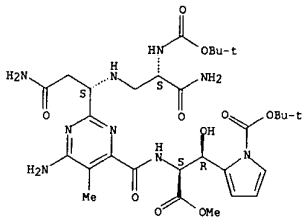
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and evaluation of potential metal chelation sites of the bleomycin hydroxyhistidine subunit)

RN 176752-60-6 CAPLUS

CN 1H-Pyrrole-2-propanoic acid, .alpha.-[[[6-amino-2-[3-amino-1-[[3-amino-2-[[(1,1-dimethylethoxy)carbonyl]amino]-3-oxopropyl]amino]-3-oxopropyl]-5-methyl-4-pyrimidinyl]carbonyl]amino]-1-[(1,1-dimethylethoxy)carbonyl]-.beta.-hydroxy-, methyl ester, [.alpha.S-[.alpha.R*[R*(R*)],.beta.S*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

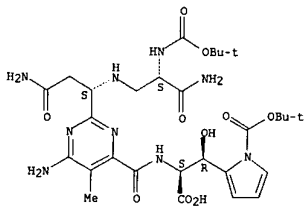


RN 176752-61-7 CAPLUS

CN 1H-Pyrrole-2-propanoic acid, .alpha.-[[[6-amino-2-[3-amino-1-[[3-amino-2-[[(1,1-dimethylethoxy)carbonyl]amino]-3-oxopropyl]amino]-3-oxopropyl]-5-methyl-4-pyrimidinyl]carbonyl]amino]-1-[(1,1-dimethylethoxy)carbonyl]-.beta.-hydroxy-, [.alpha.S-[.alpha.R*[R*(R*)],.beta.S*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

L16 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2002 ACS (Continued)

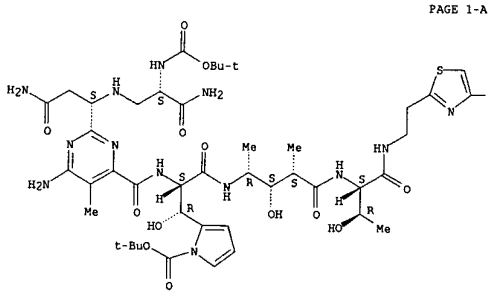


RN 176752-63-9 CAPLUS

CN Bleomycinamide, 41-O-de[2-O-[3-O-(aminocarbonyl)-.alpha.-D-mannopyranosyl]-.alpha.-L-gulopyranosyl]-41-de-1H-imidazol-4-yl-N38-[(1,1-dimethylethoxy)carbonyl]-41-[1-[(1,1-dimethylethoxy)carbonyl]-1H-pyrrol-2-yl]-N1-[3-(dimethylsulfonio)propyl]- (9CI) (CA INDEX NAME)

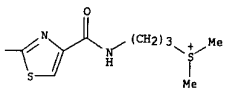
Absolute stereochemistry. Rotation (-).

PAGE 1-A



L16 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2002 ACS (Continued)

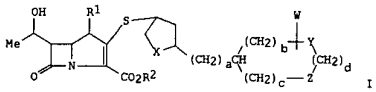
PAGE 1-B



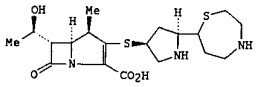
L16 ANSWER 9 OF 17 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1994:298360 CAPLUS
DOCUMENT NUMBER: 120:298360
TITLE: Preparation of carbapenem derivatives as medical bactericides
INVENTOR(S): Nakagawa, Susumu; Ootake, Kenichi; Nakano, Fumio; Yamada, Koji; Ushijima, Ryosuke; Murase, Satoshi; Fukatsu, Hiroshi
PATENT ASSIGNEE(S): Banyu Pharma Co Ltd, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 51 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 05230063	A2	19930907	JP 1992-72633	19920221

OTHER SOURCE(S): MARPAT 120:298360
GI



I



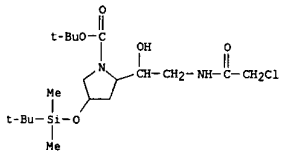
II

AB The title compds I [R1 = H, Me; R2 = H, neg. charge; X = NR3, R11R10N+; R3 = H, alkyl, alkylsulfonyl, etc.; R10, R11 = alkyl, alkylsulfonyl, etc.; Y = NR18, R19R20N+; R18 = H, alkyl, acetoimidoyl, etc.; R19, R20 = as defined above for R10, R11; W = H, alkyl, CO2R23, etc.; R23 = H, alkyl; Z = S, O, etc.; a, b, c, d = 0 - 3] were prepd. Carbapenem II [prepd. from p-nitrobenzyl (1R, 5S, 6S)-2-diphenoxyphosphoryloxy-6-[(1R)-1-hydroxyethyl]-1-methyl-1-carbapen-2-em-3-carboxylate] in vitro showed MIC values of 1.56 and 3.13 .mu.g/mL against Pseudomonas aeruginosa MB 5002 and Pseudomonas aeruginosa MB 5178, resp., vs. MIC values of 1.56 and 12.5 .mu.g/mL, resp., for imipenem.

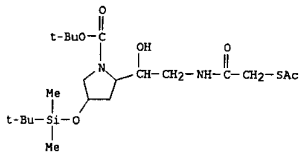
IT **154577-59-0P 154577-60-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction of, in prepn. of bactericides)

RN 154577-59-0 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 2-[2-[(chloroacetyl)amino]-1-hydroxyethyl]-4-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-, 1,1-dimethylethyl ester (9CI)

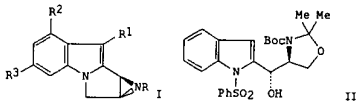
L16 ANSWER 9 OF 17 CAPLUS COPYRIGHT 2002 ACS (Continued)
(CA INDEX NAME)



RN 154577-60-3 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 2-[2-[[(acetylthio)acetyl]amino]-1-hydroxyethyl]-4-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

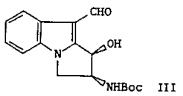


L16 ANSWER 10 OF 17 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1994:134324 CAPLUS
DOCUMENT NUMBER: 120:134324
TITLE: Preparation of alkyl-substituted indoles in the benzene portion. Part 9. Synthesis of (1aS,8bS)-1-tert-butyloxycarbonyl-8-formyl-1,1a,2,8b-tetrahydroazirino[2',3':3,4]pyrrolo[1,2-a]indole. Model study for the enantiospecific synthesis of aziridinomitosenes
AUTHOR(S): Utsunomiya, Iwao; Fuji, Masahiro; Sato, Tomohiro; Natsume, Mitsutaka
CORPORATE SOURCE: Res. Found. Itsuu Lab., Tokyo, 158, Japan
SOURCE: Chemical & Pharmaceutical Bulletin (1993), 41(5), 854-60
CODEN: CPBTAL; ISSN: 0009-2363
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 120:134324
GI



I

II



III

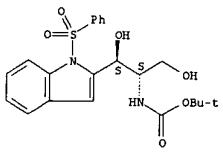
AB Effective pathways for an enantiospecific synthesis of title azirino[2',3':3,4]pyrrolo[1,2-a]indole [I; R = Me3CO2C (Boc), R1 = CHO, R2 = R3 = H] (8) were investigated as a preliminary expt. aiming at chiral syntheses of aziridinomitosenes and I (R = H, R1 = CH2O2CNH2, R2 = HO, R3 = OCH). An aldehyde derived from L-serine was condensed with 2-lithio-1-(phenylsulfonyl)indole to afford II and its diastereomer, whose stereochem. was unambiguously detd. by 1H-NMR studies of 1,3-dioxane derivs. as well as the x-ray crystallog. anal. of a dihydropyrrolo[1,2-a]indole deriv. III. The latter compd. and its diastereomer afforded the desired compd. 8 upon treatment with a mesylation reagent followed by potassium tert-butoxide in THF.

IT **152706-28-0P 152706-29-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and transacetalization or phenylsulfonyl group cleavage of)

RN 152706-28-0 CAPLUS
CN Carbamic acid, [2-hydroxy-1-(hydroxymethyl)-2-[1-(phenylsulfonyl)-1H-indol-2-yl]ethyl]-, 1,1-dimethylethyl ester, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

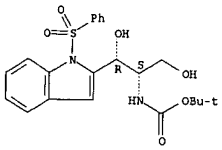
Absolute stereochemistry.

L16 ANSWER 10 OF 17 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 152706-29-1 CAPLUS
CN Carbamic acid, [2-hydroxy-1-(hydroxymethyl)-2-[1-(phenylsulfonyl)-1H-indol-2-yl]ethyl]-, 1,1-dimethylethyl ester, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



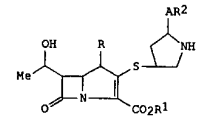
L16 ANSWER 11 OF 17 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1993:559977 CAPLUS
DOCUMENT NUMBER: 119:159977
TITLE: Aminoalkylpyrrolidinylthiocarbapenem derivatives
INVENTOR(S): Nakagawa, Susumu; Kato, Shinji; Murase, Satoshi; Okamoto, Osamu; Mitomo, Ryuji; Yamamoto, Katsumi; Yamada, Koji; Fukatsu, Hiroshi
PATENT ASSIGNEE(S): Banyu Pharmaceutical Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 161 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 545290	A1	19930609	EP 1992-120226	19921126
EP 545290	B1	20000823		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
AU 9229632	A1	19930603	AU 1992-29632	19921125
AU 651505	B2	19940721		
WO 9311128	A1	19930610	WO 1992-JP1544	19921126
W: BG, BR, CS, FI, HU, KR, NO, PL, RO, RU				
HU 64345	A2	19931228	HU 1993-2170	19921126
AT 195736	E	20000915	AT 1992-120226	19921126
ZA 9209222	A	19930524	ZA 1992-9222	19921127
CA 2083980	AA	19930528	CA 1992-2083980	19921127
CN 1073176	A	19930616	CN 1992-114620	19921127
CN 1032061	B	19960619		
JP 06087858	A2	19940329	JP 1992-341558	19921127
NO 9302685	A	19930727	NO 1993-2685	19930726
US 5550121	A	19960827	US 1994-312619	19940927
AU 9475894	A1	19950127	AU 1994-75894	19941018
AU 667786	B2	19960404		

PRIORITY APPLN. INFO.: JP 1991-335888 A 19911127
JP 1992-215613 A 19920721
WO 1992-JP1544 W 19921126
US 1992-982585 B1 19921127

OTHER SOURCE(S): MARPAT 119:159977
GI

L16 ANSWER 11 OF 17 CAPLUS COPYRIGHT 2002 ACS (Continued)



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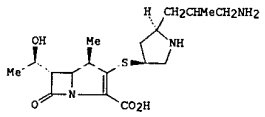


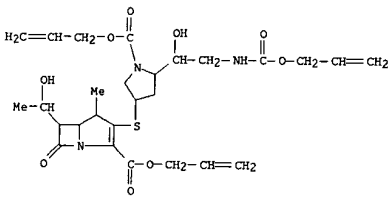
II

AB Title compds. I [R = H, Me; R1 = H, neg. charge; R2 = amino, quaternary ammonium; A = (un)substituted alkylene] were prepd. Thus, carbapenem II was obtained by treating the protected carbapenem di-Ph phosphate with the protected thiol, sepg. the diastereomers, and deblocking. II had min. inhibitory concns. against Pseudomonas aeruginosa MB5002 of 0.78.mu.g/mL, cf. imipenem 1.56.mu.g/mL.

IT **149812-44-2P 149812-49-7P 149813-12-7P**
149813-13-8P 149813-14-9P 149813-15-0P
149813-16-1P 149813-17-2P 149813-45-6P
149813-46-7P 149813-48-9P 149813-49-0P
149882-32-6P 149882-34-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(intermediate in prepn. of aminoalkylpyrrolidinylthiocarbapenems)

RN 149812-44-2 CAPLUS
CN 1-Azabicyclo[3.2.0]hept-2-ene-2-carboxylic acid, 6-(1-hydroxyethyl)-3-[[5-[1-hydroxy-2-[[(2-propenyloxy)carbonyl]amino]ethyl]-1-[(2-propenyloxy)carbonyl]-3-pyrrolidinyl]thio]-4-methyl-7-oxo-, 2-propenyl ester, [4R-[3[3S*,5S*(R*)],4.alpha.,5.beta.,6.beta.(R*)]]- (9CI) (CA INDEX NAME)

L16 ANSWER 11 OF 17 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 149812-49-7 CAPLUS
CN 1-Azabicyclo[3.2.0]hept-2-ene-2-carboxylic acid, 6-(1-hydroxyethyl)-3-[[5-[1-hydroxy-2-[methyl[[(4-nitrophenyl)methoxy]carbonyl]amino]ethyl]-1-[[(4-nitrophenyl)methoxy]carbonyl]-3-pyrrolidinyl]thio]-4-methyl-7-oxo-, (4-nitrophenyl)methyl ester, [4R-[3[3S*,5S*(S*)],4.alpha.,5.beta.,6.beta.(R*)]]- (9CI) (CA INDEX NAME)

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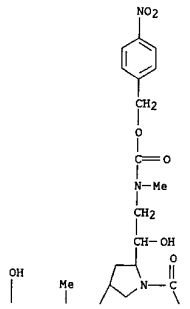
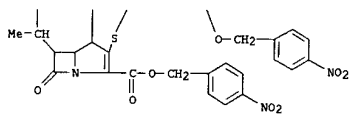


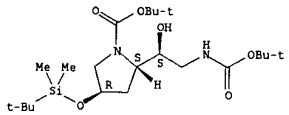
L16 ANSWER 11 OF 17 CAPLUS COPYRIGHT 2002 ACS (Continued)

PAGE 2-A



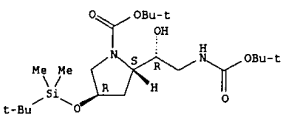
RN 149813-12-7 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 2-[2-[[(1,1-dimethylethoxy)carbonyl]amino]-1-hydroxyethyl]-4-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-, 1,1-dimethylethyl ester, [2S-[2.alpha.(R*),4.beta.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 149813-13-8 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 2-[2-[[(1,1-dimethylethoxy)carbonyl]amino]-1-hydroxyethyl]-4-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-, 1,1-dimethylethyl ester, [2S-[2.alpha.(S*),4.beta.]]- (9CI) (CA INDEX NAME)

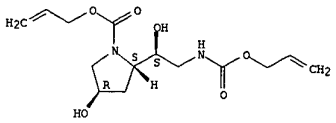
Absolute stereochemistry.



RN 149813-14-9 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 4-hydroxy-2-[1-hydroxy-2-[[(2-propenyloxy)carbonyl]amino]ethyl]-, 2-propenyl ester, [2S-[2.alpha.(R*),4.beta.]]- (9CI) (CA INDEX NAME)

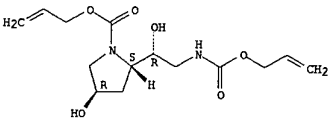
Absolute stereochemistry.

L16 ANSWER 11 OF 17 CAPLUS COPYRIGHT 2002 ACS (Continued)



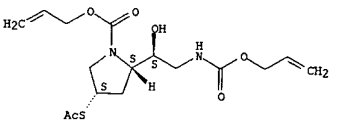
RN 149813-15-0 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 4-hydroxy-2-[1-hydroxy-2-[[(2-propenyloxy)carbonyl]amino]ethyl]-, 2-propenyl ester, [2S-[2.alpha.(S*),4.beta.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 149813-16-1 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 4-(acetylthio)-2-[1-hydroxy-2-[[(2-propenyloxy)carbonyl]amino]ethyl]-, 2-propenyl ester, [2S-[2.alpha.(R*),4.alpha.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

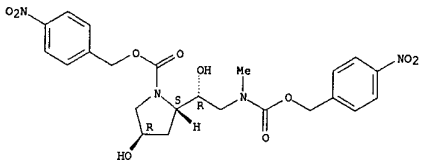


RN 149813-17-2 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 4-(acetylthio)-2-[1-hydroxy-2-[[(2-propenyloxy)carbonyl]amino]ethyl]-, 2-propenyl ester, [2S-[2.alpha.(S*),4.alpha.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

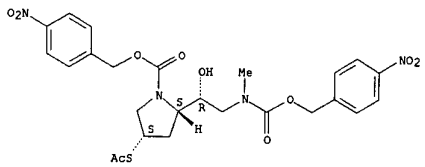
L16 ANSWER 11 OF 17 CAPLUS COPYRIGHT 2002 ACS (Continued)

Absolute stereochemistry.

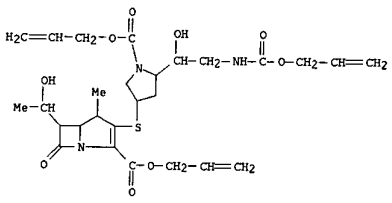


RN 149813-49-0 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 4-(acetylthio)-2-[1-hydroxy-2-[methyl[[(4-nitrophenyl)methoxy]carbonyl]amino]ethyl]-, (4-nitrophenyl)methyl ester, [2S-[2.alpha.(S*),4.alpha.]]- (9CI) (CA INDEX NAME)

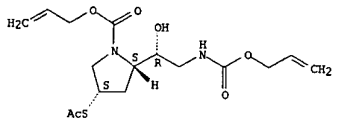
Absolute stereochemistry.



RN 149882-32-6 CAPLUS
CN 1-Azabicyclo[3.2.0]hept-2-ene-2-carboxylic acid, 6-(1-hydroxyethyl)-3-[[5-[1-hydroxy-2-[[(2-propenyloxy)carbonyl]amino]ethyl]-1-[(2-propenyloxy)carbonyl]-3-pyrrolidinyl]thio]-4-methyl-7-oxo-, 2-propenyl ester, [4R-[3[3S*,5S*(S*)],4.alpha.,5.beta.,6.beta.(R*)]]- (9CI) (CA INDEX NAME)

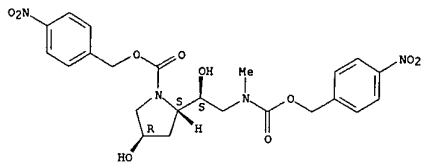


L16 ANSWER 11 OF 17 CAPLUS COPYRIGHT 2002 ACS (Continued)



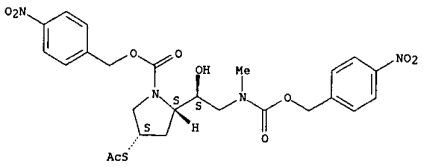
RN 149813-45-6 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 4-hydroxy-2-[1-hydroxy-2-[methyl[[(4-nitrophenyl)methoxy]carbonyl]amino]ethyl]-, (4-nitrophenyl)methyl ester, [2S-[2.alpha.(R*),4.beta.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 149813-46-7 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 4-(acetylthio)-2-[1-hydroxy-2-[methyl[[(4-nitrophenyl)methoxy]carbonyl]amino]ethyl]-, (4-nitrophenyl)methyl ester, [2S-[2.alpha.(R*),4.alpha.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

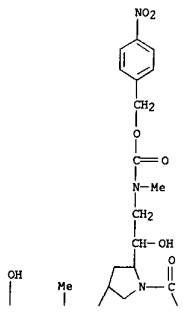


RN 149813-48-9 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 4-hydroxy-2-[1-hydroxy-2-[methyl[[(4-nitrophenyl)methoxy]carbonyl]amino]ethyl]-, (4-nitrophenyl)methyl ester, [2S-[2.alpha.(S*),4.beta.]]- (9CI) (CA INDEX NAME)

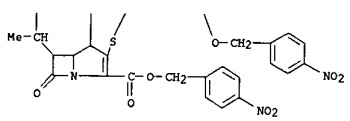
L16 ANSWER 11 OF 17 CAPLUS COPYRIGHT 2002 ACS (Continued)

RN 149882-34-8 CAPLUS
CN 1-Azabicyclo[3.2.0]hept-2-ene-2-carboxylic acid, 6-(1-hydroxyethyl)-3-[[5-[1-hydroxy-2-[methyl[[(4-nitrophenyl)methoxy]carbonyl]amino]ethyl]-1-[[(4-nitrophenyl)methoxy]carbonyl]-3-pyrrolidinyl]thio]-4-methyl-7-oxo-, (4-nitrophenyl)methyl ester, [4R-[3[3S*,5S*(R*)],4.alpha.,5.beta.,6.beta.(R*)]]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

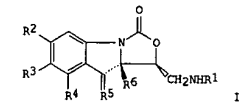


L16 ANSWER 12 OF 17 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1992:21037 CAPLUS
DOCUMENT NUMBER: 116:21037
TITLE: Preparation of tricyclic [6.5.5]/[6.6.5]-fused oxazolidinone antibacterial agents
INVENTOR(S): Brickner, Steven Joseph
PATENT ASSIGNEE(S): Upjohn Co., USA
SOURCE: PCT Int. Appl., 61 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9107409	A1	19910530	WO 1990-US6220	19901102
W: AU, BB, BG, BR, CA, FI, HU, JP, KP, KR, LK, MC, MG, MW, NO, RO, SD, SU, US				
RW: AT, BE, BF, BJ, CF, CG, CH, CM, DE, DK, ES, FR, GA, GB, GR, IT, LU, ML, MR, NL, SE, SN, TD, TG				
CA 2066191	AA	19910518	CA 1990-2066191	19901102
AU 9067246	A1	19910613	AU 1990-67246	19901102
AU 630768	B2	19921105		
EP 500686	A1	19920902	EP 1990-916933	19901102
EP 500686	B1	19960124		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
JP 05501553	T2	19930325	JP 1990-515679	19901102
JP 2994459	B2	19991227		
AT 133417	E	19960215	AT 1990-916933	19901102
US 5231188	A	19930727	US 1992-882407	19920513
US 5247090	A	19930921	US 1993-6596	19930121

PRIORITY APPLN. INFO.: US 1989-438759 19891117
US 1990-553795 19900713
WO 1990-US6220 19901102
US 1992-882407 19920513
OTHER SOURCE(S): MARPAT 116:21037
GI

L16 ANSWER 12 OF 17 CAPLUS COPYRIGHT 2002 ACS (Continued)



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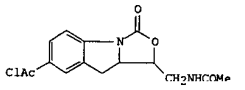
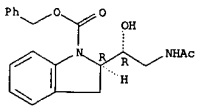


II

AB Title compds. for ex: I [R1 = H, (chloro)alkyl, cycloalkyl, alkenyl, (substituted) Ph, heterocyclyl, alkoxy, (substituted) amino, HOCH2, alkoxymethyl, alkylcarbonylmethyl; R2, R4 = H, HO, halo, alkylcarbonyloxy, PhCO2; R3 = H, halo, MeO, EtO, (substituted) alkylcarbonyl, PhCH2OCH2CO, N3CH2CO, HON:CMe, MeSO2, PhSO2, MeSO, PhSO, etc.; R5 = CO, (OH,H), (OH, Me), (H, alkyl, halo, double bond with R6), etc; R6 = H, null] and salts thereof, useful as antibacterial agents (no data), are prepd. AlCl3 in CH2Cl2 was cooled and ClCH2COCl was added dropwise followed by (1S,9aS)-N-[(9,9a-dihydro-3-oxo-1H,3H-oxazolo[3,4-a]indol-1-yl)methyl]acetamide (prepn. starting from Et indole-2-carboxylate given) in CH2Cl2, to give after work-up the (1S,9aS)-acetamide II.

IT **135829-09-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and acetylation of, in prepn. of tricyclic antibacterial)
RN 135829-09-3 CAPLUS
CN 1H-Indole-1-carboxylic acid, 2-[2-(acetylamino)-1-hydroxyethyl]-2,3-dihydro-, phenylmethyl ester, (R*,R*)- (9CI) (CA INDEX NAME)

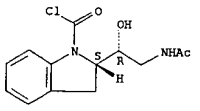
Relative stereochemistry.



IT **135854-81-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and cyclization of, in prepn. of antibacterial)
RN 135854-81-8 CAPLUS
CN 1H-Indole-1-carbonyl chloride, 2-[2-(acetylamino)-1-hydroxyethyl]-2,3-dihydro-, (R*,S*)- (9CI) (CA INDEX NAME)

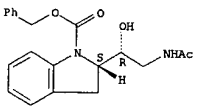
L16 ANSWER 12 OF 17 CAPLUS COPYRIGHT 2002 ACS (Continued)

Relative stereochemistry.



IT **135829-13-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and redn. of, in prepn. of tricyclic antibacterial)
RN 135829-13-9 CAPLUS
CN 1H-Indole-1-carboxylic acid, 2-[2-(acetylamino)-1-hydroxyethyl]-2,3-dihydro-, phenylmethyl ester, (R*,S*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

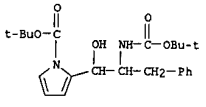


L16 ANSWER 13 OF 17 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1991:247788 CAPLUS
DOCUMENT NUMBER: 114:247788
TITLE: Peptide derivatives preparation as retroviral protease inhibitors
INVENTOR(S): Kempf, Dale J.; Plattner, Jacob J.; Norbeck, Daniel W.; Boyd, Steven A.; Baker, William R.; Erickson, John W.; Fung, Anthony K. L.; Crowley, Steven R.
PATENT ASSIGNEE(S): Abbott Laboratories, USA
SOURCE: PCT Int. Appl., 222 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 8910752	A1	19891116	WO 1989-US2055	19890512
W: AU, DK, JP, KR, US				
RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
EP 342541	A2	19891123	EP 1989-108590	19890512
EP 342541	A3	19911106		
R: ES, GR				
AU 8935660	A1	19891129	AU 1989-35660	19890512
EP 415981	A1	19910313	EP 1989-905856	19890512
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
JP 03504247	T2	19910919	JP 1989-506033	19890512

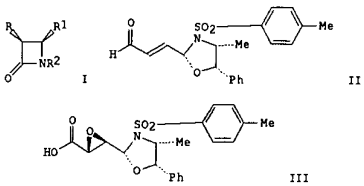
PRIORITY APPLN. INFO.: US 1988-194678 19880513
WO 1989-US2055 19890512
OTHER SOURCE(S): MARPAT 114:247788
AB Peptide derivs. are prepd. as retroviral protease inhibitors. Synthetic processess involved carbodiimide coupling, or coupling in combination with deprotection, and reaction with mixed anhydrides. Thus, N-methyl-1-cyclohexenecarboxamide was treated with BuLi in THF, treated with ClTi(OPr-iso)3, and then Boc-phenylalaninal to give N-methyl-6-[2-(tert-butoxycarbonyl)amino-1-hydroxy-3-phenyl]propyl-1-cyclohexenecarboxamide. This was then deprotected with HCl in dioxane to give N-methyl-6-(2-amino-1-hydroxy-3-phenylpropyl)-1-cyclohexenecarboxamide-HCl (I). I was coupled with Boc-Leu-Asn in the presence of 1H-BuO2CCl to give the amide.

IT **129776-79-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and deprotection and coupling of, with leucyl asparagine deriv.)
RN 129776-79-0 CAPLUS
CN 1H-Pyrrole-1-carboxylic acid, 2-[2-[[(1,1-dimethylethoxy)carbonyl]amino]-1-hydroxy-3-phenylpropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L16 ANSWER 13 OF 17 CAPLUS COPYRIGHT 2002 ACS (Continued)

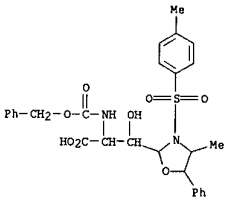
L16 ANSWER 14 OF 17 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1990:458742 CAPLUS
DOCUMENT NUMBER: 113:58742
TITLE: Asymmetric synthesis of 3,4-cis-substituted .beta.-lactams via chiral norephedrine-derived oxazolidines
AUTHOR(S): Cardani, S.; Gennari, C.; Scolastico, C.; Villa, R.
CORPORATE SOURCE: Dip. Chim. Org. Ind., Univ. Milano, Milan, 20133, Italy
SOURCE: Tetrahedron (1989), 45(23), 7397-404
CODEN: TETRAB; ISSN: 0040-4020
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 113:58742
GI



I II III

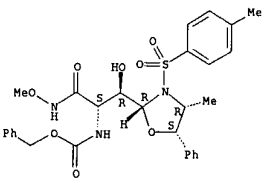
AB A diastereo- and enantioselective approach to functionalized 3,4-cis-.beta.-lactams I (R = Me, R1 = CH2OH, R2 = H; R = PhCH2O2CNH, R1 = 1,3-dithiol-2-yl, 1,3-dithian-2-yl, R2 = OMe) was from chiral norephedrine-derived oxazolidines is described. The key steps in the synthesis of I (R = Me, R1 = CH2OH, R2 = H) are the oxidn. of aldehyde II and the LiCuMe2 addn. to epoxy acid III, both steps proceeding regio- and stereoselectively (>98%) and in high yield. Std. synthetic methods and the Miller hydroxamate procedure for N-C cyclization completed the synthesis of I (R = Me, R1 = CH2OH, R2 = H) (.gtoreq.98% enantiomeric excess). In the synthesis of I (R = PhCH2O2CNH, R1 = 1,3-dithiol-2-yl, 1,3-dithian-2-yl, R2 = OMe) the key step is the aq. NH3 opening of III which proceeds regio- and stereoselectively (>98%). The Miller-type cyclization under Mitsunobu conditions gave I in only 35% yield.
IT **128300-07-2**
RL: RCT (Reactant); RACT (Reactant or reagent)
(amidation of, with methylhydroxylamine)
RN 128300-07-2 CAPLUS
CN 2-Oxazolidinepropanoic acid, .beta.-hydroxy-4-methyl-3-[(4-methylphenyl)sulfonyl]-5-phenyl-.alpha.-[[(phenylmethoxy)carbonyl]amino]-, [2R-[2.alpha.(.alpha.S*,.beta.R*),4.alpha.,5.alpha.]]- (9CI) (CA INDEX NAME)

L16 ANSWER 14 OF 17 CAPLUS COPYRIGHT 2002 ACS (Continued)



IT **128227-44-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction of, with propanedithiol or ethanedithiol)
RN 128227-44-1 CAPLUS
CN Carbamic acid, [2-hydroxy-1-[(methoxyamino)carbonyl]-2-[4-methyl-3-[(4-methylphenyl)sulfonyl]-5-phenyl-2-oxazolidinyl]ethyl]-, phenylmethyl ester, [2R-[2.alpha.(1S*,2R*),4.alpha.,5.alpha.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



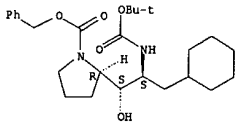
L16 ANSWER 15 OF 17 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1989:595413 CAPLUS
DOCUMENT NUMBER: 111:195413
TITLE: Preparation of renin inhibitory peptides containing 1-amino-2-hydroxy-2-heterocyclyl moiety
INVENTOR(S): Gammill, Ronald B.; Sawyer, Tomi K.
PATENT ASSIGNEE(S): Upjohn Co., USA
SOURCE: PCT Int. Appl., 74 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 8903842	A1	19890505	WO 1988-US3274	19880926
W: AU, DK, FI, JP, KR, NO, US				
RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
AU 8825415	A1	19890523	AU 1988-25415	19880926
AU 619222	B2	19920123		
EP 395664	A1	19901107	EP 1988-909067	19880926
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
JP 03500772	T2	19910221	JP 1988-508337	19880926
DK 9000977	A	19900419	DK 1990-977	19900419
NO 9001771	A	19900420	NO 1990-1771	19900420
US 5132400	A	19920721	US 1990-511273	19900420
PRIORITY APPLN. INFO.:			US 1987-111847	19871021
			WO 1988-US3274	19880926

OTHER SOURCE(S): MARPAT 111:195413
GI For diagram(s), see printed CA Issue.
AB The title compds., contg. the moiety Q [* indicates asym. C; R90, R91 = H, alkyl, aralkyl, heterocyclylalkyl, cycloalkylalkyl, adamantyl; CR100R101 = heterocyclyl; R102 = H, alkyl, aralkyl, heterocyclylalkyl, cycloalkylalkyl, etc.; n = 0, 1-5 integer], useful as renin inhibitors (no data), are prepd. Peptide I [R = CH2OPh, R1 = CO2CH2Ph], prepd. in many steps from protected phenylalaninal II, pyrrolidineformamidine III, BOC-His(.pi.BOM)-OH (BOC = Me3CO2C, BOM = CH2OCH2Ph), and Ac-Trp[Nin-CHO]Pro-Phe-OH, was deprotected with HF-anisole to give I (R = R1 = H).
IT **123337-17-7P 123337-19-9P 123337-20-2P**
123409-17-6P 123409-19-8P 123409-20-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction of, in prepn. of renin inhibiting peptides)
RN 123337-17-7 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 2-(3-cyclohexyl-2-[[(1,1-dimethylethoxy)carbonyl]amino]-1-hydroxypropyl]-, phenylmethyl ester, [2R-[2R*(1S*,2S*)]]- (9CI) (CA INDEX NAME)

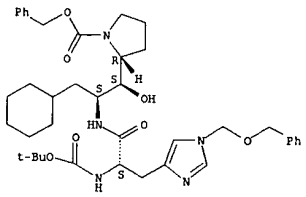
Absolute stereochemistry.

L16 ANSWER 15 OF 17 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 123337-19-9 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 2-[3-cyclohexyl-2-[[2-[[(1,1-dimethylethoxy)carbonyl]amino]-1-oxo-3-[1-[(phenylmethoxy)methyl]-1H-imidazol-4-yl]propyl]amino]-1-hydroxypropyl]-, phenylmethyl ester, [2R-[2R*[1S*,2S*(S*)]]]- (9CI) (CA INDEX NAME)

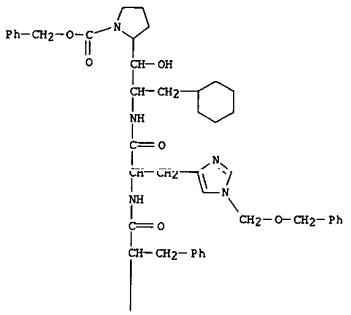
Absolute stereochemistry.



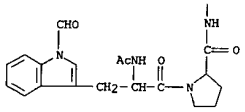
RN 123337-20-2 CAPLUS
CN L-Histidinamide, N-acetyl-1-formyl-L-tryptophyl-L-prolyl-L-phenylalanyl-N-[1-(cyclohexylmethyl)-2-hydroxy-2-[1-[(phenylmethoxy)carbonyl]-2-pyrrolidinyl]ethyl]-1-[(phenylmethoxy)methyl]-, [2R-[2R*(1S*,2S*)]]- (9CI) (CA INDEX NAME)

L16 ANSWER 15 OF 17 CAPLUS COPYRIGHT 2002 ACS (Continued)

PAGE 1-A



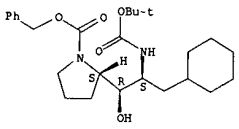
PAGE 2-A



RN 123409-17-6 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 2-[3-cyclohexyl-2-[[(1,1-dimethylethoxy)carbonyl]amino]-1-hydroxypropyl]-, phenylmethyl ester, [2S-[2R*(1S*,2R*)]]- (9CI) (CA INDEX NAME)

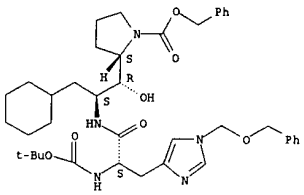
Absolute stereochemistry.

L16 ANSWER 15 OF 17 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 123409-19-8 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 2-[3-cyclohexyl-2-[[2-[[(1,1-dimethylethoxy)carbonyl]amino]-1-oxo-3-[1-[(phenylmethoxy)methyl]-1H-imidazol-4-yl]propyl]amino]-1-hydroxypropyl]-, phenylmethyl ester, [2S-[2R*[1S*,2R*(R*)]]]- (9CI) (CA INDEX NAME)

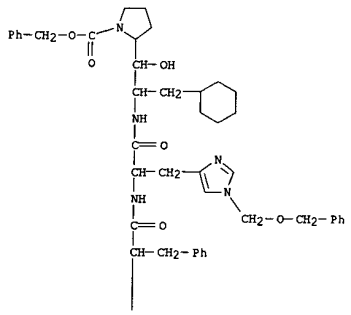
Absolute stereochemistry.



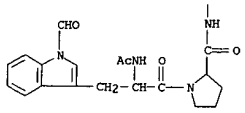
RN 123409-20-1 CAPLUS
CN L-Histidinamide, N-acetyl-1-formyl-L-tryptophyl-L-prolyl-L-phenylalanyl-N-[1-(cyclohexylmethyl)-2-hydroxy-2-[1-[(phenylmethoxy)carbonyl]-2-pyrrolidinyl]ethyl]-1-[(phenylmethoxy)methyl]-, [2S-[2R*(1R*,2S*)]]- (9CI) (CA INDEX NAME)

L16 ANSWER 15 OF 17 CAPLUS COPYRIGHT 2002 ACS (Continued)

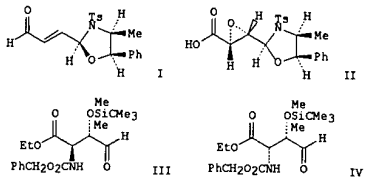
PAGE 1-A



PAGE 2-A



L16 ANSWER 16 OF 17 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1989:154837 CAPLUS
DOCUMENT NUMBER: 110:154837
TITLE: Asymmetric synthesis of functionalized .alpha.-amino-.beta.-hydroxy acids via chiral norephedrine-derived oxazolidines
AUTHOR(S): Cardani, Silvia; Bernardi, Anna; Colombo, Lino; Gennari, Cesare; Scolastico, Carlo; Venturini, Isabella
CORPORATE SOURCE: Dip. Chim. Org. Ind., Univ. Milano, Milan, 20133, Italy
SOURCE: Tetrahedron (1988), 44(17), 5563-72
CODEN: TETRAB; ISSN: 0040-4020
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 110:154837
GI



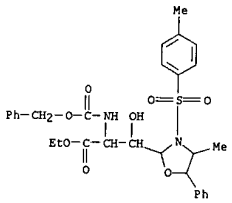
AB Both anti and syn enantiomerically pure functionalized .alpha.-amino-.beta.-hydroxy acids and derivs. were synthesized starting from norepinephrine-derived oxazolidine I (Ts = tosyl). The key steps of the synthesis were the nucleophilic epoxidn. of I and the nucleophilic opening of epoxy acid II with ammonia, both reactions proved regio- and diastereospecific. High yield prepn. of the target anti aldehyde III was accomplished using std. procedures. The complementary syn aldehyde IV was also prepd. The aldehyde function of III and IV provides a useful handle for manipulation to more complex structures, allowing potential access to a range of optically pure .alpha.-amino-.beta.-hydroxy acids. The formal total synthesis of the monocyclic .beta.-lactam antibiotic "carumonam" was accomplished using the present methodol.

IT **119588-71-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and esterification of, with diazoethane)

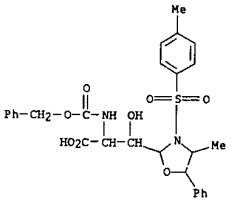
RN 119588-71-5 CAPLUS

CN 2-Oxazolidinepropanoic acid, .beta.-hydroxy-4-methyl-3-[(4-methylphenyl)sulfonyl]-5-phenyl-.alpha.-[[(phenylmethoxy)carbonyl]amino]-, [2S-[2.alpha.(.alpha.S*,.beta.R*),4.alpha.,5.alpha.]]- (9CI) (CA INDEX

L16 ANSWER 16 OF 17 CAPLUS COPYRIGHT 2002 ACS (Continued)



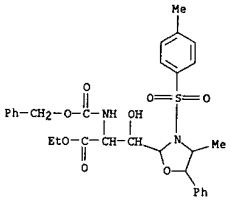
L16 ANSWER 16 OF 17 CAPLUS COPYRIGHT 2002 ACS (Continued)
NAME)



IT **119588-72-6P 119618-54-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction of, with ethanedithiol)

RN 119588-72-6 CAPLUS

CN 2-Oxazolidinepropanoic acid, .beta.-hydroxy-4-methyl-3-[(4-methylphenyl)sulfonyl]-5-phenyl-.alpha.-[[(phenylmethoxy)carbonyl]amino]-, ethyl ester, [2S-[2.alpha.(.alpha.S*,.beta.R*),4.alpha.,5.alpha.]]- (9CI) (CA INDEX NAME)



RN 119618-54-1 CAPLUS

CN 2-Oxazolidinepropanoic acid, .beta.-hydroxy-4-methyl-3-[(4-methylphenyl)sulfonyl]-5-phenyl-.alpha.-[[(phenylmethoxy)carbonyl]amino]-, ethyl ester, [2S-[2.alpha.(.alpha.R*,.beta.R*),4.alpha.,5.alpha.]]- (9CI) (CA INDEX NAME)

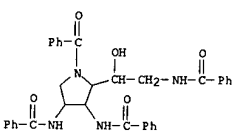
L16 ANSWER 17 OF 17 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1974:413723 CAPLUS
DOCUMENT NUMBER: 81:13723
TITLE: Di- and polyamino sugars. XX. Synthesis of 2,3,4,6-tetraamino-2,3,4,6-tetradeoxy-D-glucose
AUTHOR(S): Meyer zu Reckendorf, Wolfgang; Wassiliadou-Micheli, Niobe
CORPORATE SOURCE: Inst. Pharm. Chem., Univ. Muenster, Muenster, Ger.
SOURCE: Chem. Ber. (1974), 107(4), 1188-94
CODEN: CHBEAM
DOCUMENT TYPE: Journal
LANGUAGE: German
GI For diagram(s), see printed CA Issue.

AB The mesylate I (R = MeSO3, R1 = NHAc) underwent inversion with AcONa in MeOCH2CH2OH to give II (R = OH), the mesylate II (R = MeSO3) of which was treated with NaN3 in Me2SO to give the diazide I (R = N3, R1 = NHAc) (III) of the desired sugar. Similar conversion succeeded with the azide I (R = MeSO3, R1 = N3), and the resulting tri-azide I (R = R1 = N3) was hydrogenated to give the amine, which with HCl gave the hydrochloride I.3HCl (R = R1 = NH2) (IV). Redn. and sapon. of III gave V.4HCl (R = R1 = NH2), which was also obtained from IV. Hydrogenation of the benzoyl and acetyl deriv. of V in H2O-MeOH gave VI (R = Bz or Ac), resp. Catalytic hydrogenation of V.4HCl (R = R1 = NH2) (Pd/C, pH 3) led probably to the pyrrolidine VII.4HCl [R = CH(OH)CH2NH2], from the mother liqs. of which a small amt. desired VI.4HCl (R = H) was obtained.

IT **52887-79-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 52887-79-3 CAPLUS

CN D-Glucitol, 2,3,6-tris(benzoylamino)-1,4-(benzoylimino)-1,2,3,4,6-pentadeoxy- (9CI) (CA INDEX NAME)



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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	76.20	734.05

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
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NEWS 2	Apr 08	"Ask CAS" for self-help around the clock
NEWS 3	Apr 09	BEILSTEIN: Reload and Implementation of a New Subject Area
NEWS 4	Apr 09	ZDB will be removed from STN
NEWS 5	Apr 19	US Patent Applications available in IFICDB, IFIPAT, and IFIUDB
NEWS 6	Apr 22	Records from IP.com available in CAPLUS, HCAPLUS, and ZCAPLUS
NEWS 7	Apr 22	BIOSIS Gene Names now available in TOXCENTER
NEWS 8	Apr 22	Federal Research in Progress (FEDRIP) now available
NEWS 9	Jun 03	New e-mail delivery for search results now available
NEWS 10	Jun 10	MEDLINE Reload
NEWS 11	Jun 10	PCTFULL has been reloaded
NEWS 12	Jul 02	FOREGE no longer contains STANDARDS file segment
NEWS 13	Jul 22	USAN to be reloaded July 28, 2002; saved answer sets no longer valid
NEWS 14	Jul 29	Enhanced polymer searching in REGISTRY
NEWS 15	Jul 30	NETFIRST to be removed from STN
NEWS 16	Aug 08	CANCERLIT reload
NEWS 17	Aug 08	PHARMAMarketLetter(PHARMAML) - new on STN
NEWS 18	Aug 08	NTIS has been reloaded and enhanced
NEWS 19	Aug 19	Aquatic Toxicity Information Retrieval (AQUIRE) now available on STN
NEWS 20	Aug 19	IFIPAT, IFICDB, and IFIUDB have been reloaded
NEWS 21	Aug 19	The MEDLINE file segment of TOXCENTER has been reloaded
NEWS 22	Aug 26	Sequence searching in REGISTRY enhanced
NEWS 23	Sep 03	JAPIO has been reloaded and enhanced
NEWS 24	Sep 16	Experimental properties added to the REGISTRY file
NEWS 25	Sep 16	Indexing added to some pre-1967 records in CA/CAPLUS
NEWS 26	Sep 16	CA Section Thesaurus available in CAPLUS and CA
NEWS 27	Oct 01	CASREACT Enriched with Reactions from 1907 to 1985
NEWS 28	Oct 21	EVENTLINE has been reloaded
NEWS 29	Oct 24	BEILSTEIN adds new search fields
NEWS 30	Oct 24	Nutraceuticals International (NUTRACEUT) now available on STN
NEWS 31	Oct 25	MEDLINE SDI run of October 8, 2002

NEWS EXPRESS	October 14 CURRENT WINDOWS VERSION IS V6.01, CURRENT MACINTOSH VERSION IS V6.0a(ENG) AND V6.0Ja(JP), AND CURRENT DISCOVER FILE IS DATED 01 OCTOBER 2002
NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS INTER	General Internet Information
NEWS LOGIN	Welcome Banner and News Items
NEWS PHONE	Direct Dial and Telecommunication Network Access to STN
NEWS WWW	CAS World Wide Web Site (general information)

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FILE 'HOME' ENTERED AT 10:09:00 ON 15 NOV 2002

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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
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DICTIONARY FILE UPDATES: 13 NOV 2002 HIGHEST RN 473527-47-8

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http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

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BATCH **COMPLETE**
PROJECTED ITERATIONS: 49448 TO 55592
PROJECTED ANSWERS: 2 TO 242

L2 2 SEA SSS SAM L1

=> s l1 full
FULL SEARCH INITIATED 10:09:33 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 52960 TO ITERATE

100.0% PROCESSED 52960 ITERATIONS 157 ANSWERS
SEARCH TIME: 00.00.09

L3 157 SEA SSS FUL L1

=> fil caplus
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	140.28	140.49

FILE 'CAPLUS' ENTERED AT 10:09:45 ON 15 NOV 2002
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 15 Nov 2002 VOL 137 ISS 21
FILE LAST UPDATED: 14 Nov 2002 (20021114/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

CAS roles have been modified effective December 16, 2001. Please check your SDI profiles to see if they need to be revised. For information on CAS roles, enter HELP ROLES at an arrow prompt or use the CAS Roles thesaurus (/RL field) in this file.

=> s l3
L4 32 L3

=> fil reg
COST IN U.S. DOLLARS SINCE FILE TOTAL

	ENTRY	SESSION
FULL ESTIMATED COST	0.40	140.89

FILE 'REGISTRY' ENTERED AT 10:09:53 ON 15 NOV 2002
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 13 NOV 2002 HIGHEST RN 473527-47-8
DICTIONARY FILE UPDATES: 13 NOV 2002 HIGHEST RN 473527-47-8

TSCA INFORMATION NOW CURRENT THROUGH MAY 20, 2002

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=>
Uploading 10007342.str

L5 STRUCTURE UPLOADED

=> d
L5 HAS NO ANSWERS
L5 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=> s l5 subset=l3 full
FULL SUBset SEARCH INITIATED 10:10:41 FILE 'REGISTRY'
FULL SUBSET SCREEN SEARCH COMPLETED - 157 TO ITERATE

100.0% PROCESSED 157 ITERATIONS 41 ANSWERS
SEARCH TIME: 00.00.11

L6 41 SEA SUB=L3 SSS FUL L5

=> fil caplus
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	33.81	174.70

FILE 'CAPLUS' ENTERED AT 10:10:56 ON 15 NOV 2002
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 15 Nov 2002 VOL 137 ISS 21
FILE LAST UPDATED: 14 Nov 2002 (20021114/ED)

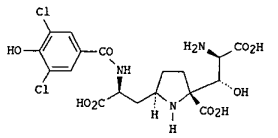
This file contains CAS Registry Numbers for easy and accurate substance identification.

CAS roles have been modified effective December 16, 2001. Please check your SDI profiles to see if they need to be revised. For information on CAS roles, enter HELP ROLES at an arrow prompt or use the CAS Roles thesaurus (/RL field) in this file.

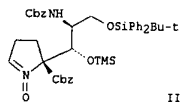
=> s l6
L7 10 L6

=> d ibib abs hitstr 1-10

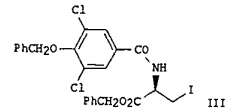
L7 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:63161 CAPLUS
DOCUMENT NUMBER: 136:355438
TITLE: The first synthesis of kaitocephalin based on the structure revision
AUTHOR(S): Watanabe, Hidenori; Okue, Masayuki; Kobayashi, Hiroyuki; Kitahara, Takeshi
CORPORATE SOURCE: Graduate School of Agricultural and Life Sciences, Department of Applied Biological Chemistry, The University of Tokyo, Bunkyo-ku, Tokyo, 113-8657, Japan
SOURCE: Tetrahedron Letters (2002), 43(5), 861-864
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 136:355438
GI



I



II



III

AB A total synthesis of kaitocephalin (I), a glutamate receptor antagonist, was accomplished employing a novel stereoselective C-C bond forming reaction of a nitrone (II) and a halide (III) with zinc in aq. solvent under sonication as a key step. The abs. configuration of kaitocephalin was confirmed to be 2R,3S,4R,7R,9S.

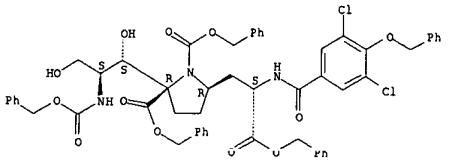
IT **420107-69-3P 420107-70-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(total synthesis of kaitocephalin via stereoselective reaction of a nitrone and a halide)

RN 420107-69-3 CAPLUS
CN 1,2-Pyrrolidinedicarboxylic acid, 5-[(2S)-2-[[3,5-dichloro-4-(phenylmethoxy)benzoyl]amino]-3-oxo-3-(phenylmethoxy)propyl]-2-[(1S,2S)-1,3-dihydroxy-2-[[(phenylmethoxy)carbonyl]amino]propyl]-,

L7 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2002 ACS (Continued)
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2002 ACS (Continued)
bis(phenylmethyl) ester, (2R,5R)- (9CI) (CA INDEX NAME)

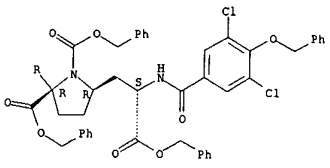
Absolute stereochemistry.



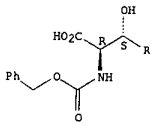
RN 420107-70-6 CAPLUS
CN 2,5-Pyrrolidinedipropanoic acid, .alpha.5-[[3,5-dichloro-4-(phenylmethoxy)benzoyl]amino]-.beta.2-hydroxy-1,2-bis[(phenylmethoxy)carbonyl]-.alpha.2-[[(phenylmethoxy)carbonyl]amino]-, .alpha.5-(phenylmethyl) ester, (.alpha.2R,.alpha.5S,.beta.2S,2R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

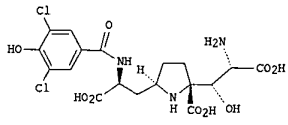


PAGE 2-A



REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS

L7 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:63160 CAPLUS
DOCUMENT NUMBER: 137:6017
TITLE: Synthesis of the proposed structure and revision of stereochemistry of kaitocephalin
AUTHOR(S): Okue, Masayuki; Kobayashi, Hiroyuki; Shin-ya, Kazuo; Furihata, Kazuo; Hayakawa, Yoichi; Seto, Haruo; Watanabe, Hidenori; Kitahara, Takeshi
CORPORATE SOURCE: Graduate School of Agricultural and Life Sciences, Department of Applied Biological Chemistry, The University of Tokyo, Yayoi, Bunkyo-ku, Tokyo, 113-8657, Japan
SOURCE: Tetrahedron Letters (2002), 43(5), 857-860
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 137:6017
GI



I

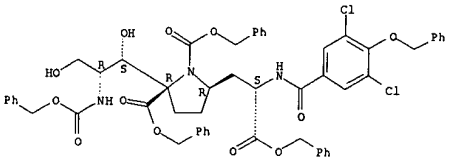
AB A stereoselective total synthesis of the proposed structure of kaitocephalin was accomplished starting from L-proline and D- and L-serines. However, its 1H NMR spectral data and retention time on HPLC were not identical with those of authentic natural kaitocephalin. The revised stereochem. of natural kaitocephalin, (2R)-isomer I, was inferred from further expts. employing diastereomers and model compds.

IT **433237-95-7P 433238-69-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis via a stereoselective coupling reaction of the proposed structure of kaitocephalin and revision of its stereochem.)

RN 433237-95-7 CAPLUS
CN 1,2-Pyrrolidinedicarboxylic acid, 5-[(2S)-2-[[3,5-dichloro-4-(phenylmethoxy)benzoyl]amino]-3-oxo-3-(phenylmethoxy)propyl]-2-[(1S,2R)-1,3-dihydroxy-2-[[(phenylmethoxy)carbonyl]amino]propyl]-, bis(phenylmethyl) ester, (2R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

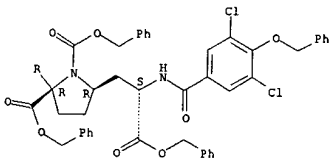
L7 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2002 ACS (Continued)



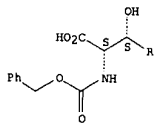
RN 433238-69-8 CAPLUS
CN 2,5-Pyrrolidinedipropanoic acid, .alpha.5-[[3,5-dichloro-4-(phenylmethoxy)benzoyl]amino]-.beta.2-hydroxy-1,2-bis[(phenylmethoxy)carbonyl]-.alpha.2-[[(phenylmethoxy)carbonyl]amino]-, .alpha.5-(phenylmethyl) ester, (.alpha.2S,.alpha.5S,.beta.2S,2R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

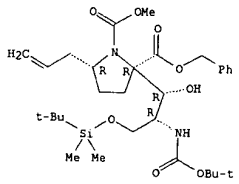


PAGE 2-A



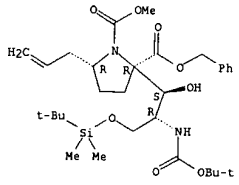
REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 372187-25-2 CAPLUS
CN 1,2-Pyrrolidinedicarboxylic acid, 2-[(1S,2R)-2-[[(1,1-dimethylethoxy)carbonyl]amino]-3-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-1-hydroxypropyl]-5-(2-propenyl)-, 1-methyl 2-(phenylmethyl) ester, (2R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

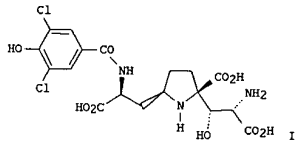


RN 372187-50-3 CAPLUS
CN 1,2-Pyrrolidinedicarboxylic acid, 2-[(1S,2R)-2-[[(1,1-dimethylethoxy)carbonyl]amino]-1,3-dihydroxypropyl]-5-(2-propenyl)-, 1-methyl 2-(phenylmethyl) ester, (2R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L7 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2001:653064 CAPLUS
DOCUMENT NUMBER: 135:357785
TITLE: Total Synthesis of Kaitocephalin, the First Naturally Occurring AMPA/KA Receptor Antagonist
AUTHOR(S): Ma, Dawei; Yang, Jiade
CORPORATE SOURCE: State Key Laboratory of Bioorganic and Natural Products Chemistry Shanghai Institute of Organic Chemistry, Chinese Academy of Sciences, Shanghai, 200032, Peop. Rep. China
SOURCE: Journal of the American Chemical Society (2001), 123(39), 9706-9707
CODEN: JACSAT; ISSN: 0002-7863
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 135:357785
GI

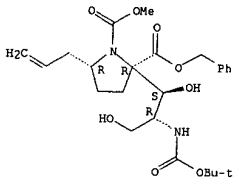


I

AB The first total synthesis of kaitocephalin (I) includes a highly diastereoselective aldol reaction and various functional group manipulations involving internal protection and group selectivity.
IT **372187-24-1P 372187-25-2P 372187-50-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(total synthesis of kaitocephalin)
RN 372187-24-1 CAPLUS
CN 1,2-Pyrrolidinedicarboxylic acid, 2-[(1R,2R)-2-[[(1,1-dimethylethoxy)carbonyl]amino]-3-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-1-hydroxypropyl]-5-(2-propenyl)-, 1-methyl 2-(phenylmethyl) ester, (2R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

L7 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2002 ACS (Continued)

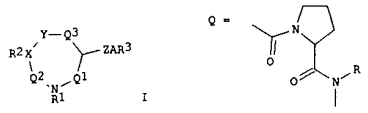


REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:34889 CAPLUS
DOCUMENT NUMBER: 132:93658
TITLE: Preparation of amino acid and peptide derivatives as microbial efflux pump inhibitors.
INVENTOR(S): Chamberland, Suzanne; Ishida, Yohei; Lee, Ving J.; Leger, Roger; Nakayama, Kiyoshi; Ohta, Toshiharu; Ohtsuka, Masami; Renau, Thomas W.; Watkins, William J.; Zhang, Zhijia J.
PATENT ASSIGNEE(S): Microcide Pharmaceuticals, Inc., USA; Daiichi Pharmaceutical Co., Ltd.
SOURCE: PCT Int. Appl., 387 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000001714	A1	20000113	WO 1999-US14871	19990629
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6399629	B1	20020604	US 1998-108906	19980701
AU 9952073	A1	20000124	AU 1999-52073	19990629

PRIORITY APPLN. INFO.: US 1998-108906 A 19980701
US 1998-87514P P 19980601
WO 1999-US14871 W 19990629
OTHER SOURCE(S): MARPAT 132:93658
GI

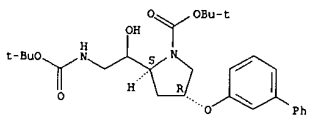


AB A method for treating a microbial infection comprises administration of title compds. [I; Q1 = (CH2)n1; Q2 = (CH2)n2; Q3 = (CH2)n3; n1 = 0, 1; n2 = 0-3; n3 = 0-2; n1+n2+n3 = 1-4; X = N, CR2a, CR2b; R2a = H, alkyl; R2b = OH, F; Y = bond, S, O, NR23; R23 = H, alkyl; R1, R2 = H, C(:NR)NR'R'', etc.; R, R', R'' = H, alkyl; Z = bond, (CHR4)nCONR4, Q, etc.; R4 = H, alkyl, aralkyl; n = 0-3; A = bond, (CHR5)nX1(CHR5)n; X1 = O, S, bond, cycloalkylene, heterocycloalkylene; R5 = H, alkyl; R3 = H, (substituted) aryl, tetrahydronaphthyl, indanyl, thienyl, furyl, pyridyl, quinolyl, cycloalkyl, etc.; with provisos]. Thus, 1-(trans-4-aminomethyl-L-prolyl)-4-(3-chloro-2-methylphenyl)piperazine (soln. phase prepn. given) at 2.5 .mu.g/mL together with levofloxacin 0.25 .mu.g/mL gave 100% inhibition of Pseudomonas aeruginosa PAM1001 growth.

IT **254883-57-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of amino acid and peptide derivs. as microbial efflux pump inhibitors)

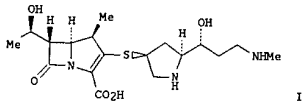
RN 254883-57-3 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 4-([1,1'-biphenyl]-3-yloxy)-2-[2-[[(1,1-dimethylethoxy)carbonyl]amino]-1-hydroxyethyl]-, 1,1-dimethylethyl ester, (2S,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1997:504115 CAPLUS
DOCUMENT NUMBER: 127:217660
TITLE: 1.beta.-Methyl-2-(5-substituted pyrrolidin-3-ylthio)carbapenems; 3. Synthesis and antibacterial activity of BO-2727 and its related compounds
AUTHOR(S): Ohtake, Norikazu; Okamoto, Osamu; Mitomo, Ryuji; Kato, Yoshiaki; Yamamoto, Katsumi; Haga, Yuji; Fukatsu, Hiroshi; Nakagawa, Susumu
CORPORATE SOURCE: Tsukuba Res. Inst., Banyu Pharmaceutical Co., Ltd., Tsukuba, 300-26, Japan
SOURCE: Journal of Antibiotics (1997), 50(7), 598-613
CODEN: JANTAJ; ISSN: 0021-8820
PUBLISHER: Japan Antibiotics Research Association
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



AB The synthesis and biol. activity of (1R,5S,6S)-2-[(3S,5S)-5-substituted pyrrolidin-3-ylthio]-6-[(R)-1-hydroxyethyl]-1-methyl-1-carbapen-2-em-3-carboxylic acid in which hydroxy-substituted aminoethyl, aminopropyl, and aminobutyl groups were introduced as substituents, are described. These derivs. showed potent antibacterial activity against Gram-pos. and Gram-neg. bacteria including P. aeruginosa. Among them, lenapenem (I; BO-2727), carrying an (R)-1-hydroxy-3-(N-methylamino)propyl group, was selected as a development candidate.

IT **194994-07-5P 194994-08-6P 194994-09-7P**
194994-10-0P 194994-11-1P 194994-13-3P
194994-35-9P
RL: PRP (Properties); PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis and antibacterial activity of BO-2727 and its related 1.beta.-methyl-2-(5-substituted pyrrolidin-3-ylthio)carbapenems)

RN 194994-07-5 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 4-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-2-[1-hydroxy-2-[[[(4-nitrophenyl)methoxy]carbonyl]amino]ethyl]-, 1,1-dimethylethyl ester, [2S-[2.alpha.(S*),4.beta.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

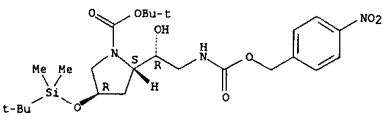
L7 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2002 ACS (Continued)

RN 254883-57-3 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 4-([1,1'-biphenyl]-3-yloxy)-2-[2-[[(1,1-dimethylethoxy)carbonyl]amino]-1-hydroxyethyl]-, 1,1-dimethylethyl ester, (2S,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

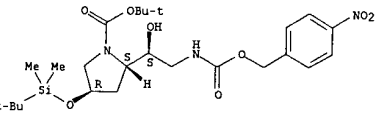
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2002 ACS (Continued)



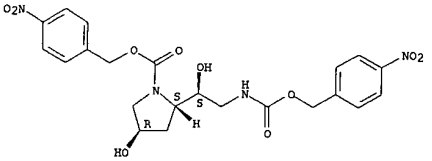
RN 194994-08-6 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 4-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-2-[1-hydroxy-2-[[[(4-nitrophenyl)methoxy]carbonyl]amino]ethyl]-, 1,1-dimethylethyl ester, [2S-[2.alpha.(R*),4.beta.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 194994-09-7 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 4-hydroxy-2-[1-hydroxy-2-[[[(4-nitrophenyl)methoxy]carbonyl]amino]ethyl]-, (4-nitrophenyl)methyl ester, [2S-[2.alpha.(R*),4.beta.]]- (9CI) (CA INDEX NAME)

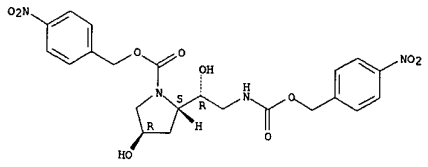
Absolute stereochemistry.



RN 194994-10-0 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 4-hydroxy-2-[1-hydroxy-2-[[[(4-nitrophenyl)methoxy]carbonyl]amino]ethyl]-, (4-nitrophenyl)methyl ester, [2S-[2.alpha.(S*),4.beta.]]- (9CI) (CA INDEX NAME)

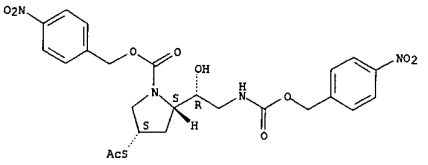
Absolute stereochemistry.

L7 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2002 ACS (Continued)



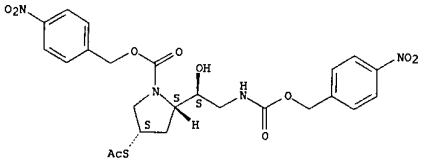
RN 194994-11-1 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 4-(acetylthio)-2-[1-hydroxy-2-[[[(4-nitrophenyl)methoxy]carbonyl]amino]ethyl]-, (4-nitrophenyl)methyl ester, [2S-[2.alpha.(S*),4.alpha.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 194994-13-3 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 4-(acetylthio)-2-[1-hydroxy-2-[[[(4-nitrophenyl)methoxy]carbonyl]amino]ethyl]-, (4-nitrophenyl)methyl ester, [2S-[2.alpha.(R*),4.alpha.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

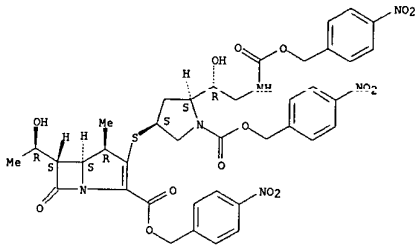


RN 194994-35-9 CAPLUS

L7 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2002 ACS (Continued)

CN 1-Azabicyclo[3.2.0]hept-2-ene-2-carboxylic acid, 6-(1-hydroxyethyl)-3-[[5-[1-hydroxy-2-[[[(4-nitrophenyl)methoxy]carbonyl]amino]ethyl]-1-[[(4-nitrophenyl)methoxy]carbonyl]-3-pyrrolidinyl]thio]-4-methyl-7-oxo-, (4-nitrophenyl)methyl ester, [4R-[3[3S*,5S*(R*)],4.alpha.,5.beta.,6.beta.(R*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

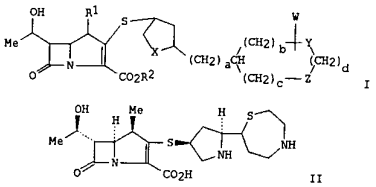


L7 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1994:298360 CAPLUS
DOCUMENT NUMBER: 120:298360
TITLE: Preparation of carbapenem derivatives as medical bactericides
INVENTOR(S): Nakagawa, Susumu; Ootake, Kenichi; Nakano, Fumio; Yamada, Koji; Ushijima, Ryosuke; Murase, Satoshi; Fukatsu, Hiroshi
PATENT ASSIGNEE(S): Banyu Pharma Co Ltd, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 51 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 05230063	A2	19930907	JP 1992-72633	19920221

OTHER SOURCE(S): MARPAT 120:298360
GI



I

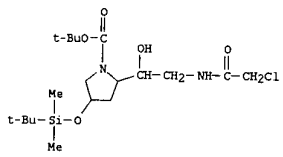
II

AB The title compds I [R1 = H, Me; R2 = H, neg. charge; X = NR3, R11R10N+; R3 = H, alkyl, alkylsulfonyl, etc.; R10, R11 = alkyl, alkylsulfonyl, etc.; Y = NR18, R19R20N+; R18 = H, alkyl, acetoimidoyl, etc.; R19, R20 = as defined above for R10, R11; W = H, alkyl, CO2R23, etc.; R23 = H, alkyl; Z = S, O, etc.; a, b, c, d = 0 - 3] were prepd. Carbapenem II [prepd. from p-nitrobenzyl (1R, 5S, 6S)-2-diphenoxyphosphoryloxy-6-[(1R)-1-hydroxyethyl]-1-methyl-1-carbapen-2-em-3-carboxylate] in vitro showed MIC values of 1.56 and 3.13 .mu.g/mL against Pseudomonas aeruginosa MB 5002 and Pseudomonas aeruginosa MB 5178, resp., vs. MIC values of 1.56 and 12.5 .mu.g/mL, resp., for imipenem.

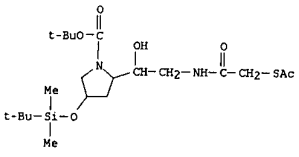
IT **154577-59-0P 154577-60-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction of, in prepn. of bactericides)

RN 154577-59-0 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 2-[2-[(chloroacetyl)amino]-1-hydroxyethyl]-4-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

L7 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 154577-60-3 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 2-[2-[[(acetylthio)acetyl]amino]-1-hydroxyethyl]-4-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



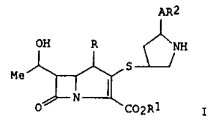
L7 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1993:559977 CAPLUS
DOCUMENT NUMBER: 119:159977
TITLE: Aminoalkylpyrrolidinylthiocarbapenem derivatives
INVENTOR(S): Nakagawa, Susumu; Kato, Shinji; Murase, Satoshi; Okamoto, Osamu; Mitomo, Ryuji; Yamamoto, Katsumi; Yamada, Koji; Fukatsu, Hiroshi
PATENT ASSIGNEE(S): Banyu Pharmaceutical Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 161 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 545290	A1	19930609	EP 1992-120226	19921126
EP 545290	B1	20000823		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
AU 9229632	A1	19930603	AU 1992-29632	19921125
AU 651505	B2	19940721		
WO 9311128	A1	19930610	WO 1992-JP1544	19921126
W: BG, BR, CS, FI, HU, KR, NO, PL, RO, RU				
HU 64345	A2	19931228	HU 1993-2170	19921126
AT 195736	E	20000915	AT 1992-120226	19921126
ZA 9209222	A	19930524	ZA 1992-9222	19921127
CA 2083980	AA	19930528	CA 1992-2083980	19921127
CN 1073176	A	19930616	CN 1992-114620	19921127
CN 1032061	B	19960619		
JP 06087858	A2	19940329	JP 1992-341558	19921127
NO 9302685	A	19930727	NO 1993-2685	19930726
US 5550121	A	19960827	US 1994-312619	19940927
AU 9475894	A1	19950127	AU 1994-75894	19941018
AU 667786	B2	19960404		

PRIORITY APPLN. INFO.: JP 1991-335888 A 19911127
JP 1992-215613 A 19920721
WO 1992-JP1544 W 19921126
US 1992-982585 B1 19921127

OTHER SOURCE(S): MARPAT 119:159977
GI

L7 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2002 ACS (Continued)



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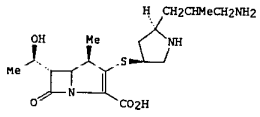


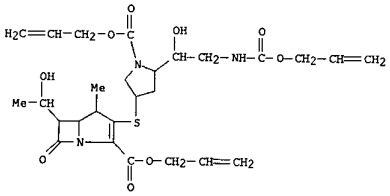
II

AB Title compds. I [R = H, Me; R1 = H, neg. charge; R2 = amino, quaternary ammonium; A = (un)substituted alkylene] were prepd. Thus, carbapenem II was obtained by treating the protected carbapenem di-Ph phosphate with the protected thiol, sepg. the diastereomers, and deblocking. II had min. inhibitory concns. against Pseudomonas aeruginosa MB5002 of 0.78.mu.g/mL, cf. imipenem 1.56.mu.g/mL.

IT **149812-44-2P 149812-49-7P 149813-12-7P**
149813-13-8P 149813-14-9P 149813-15-0P
149813-16-1P 149813-17-2P 149813-45-6P
149813-46-7P 149813-48-9P 149813-49-0P
149882-32-6P 149882-34-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(intermediate in prepn. of aminoalkylpyrrolidinylthiocarbapenems)

RN 149812-44-2 CAPLUS
CN 1-Azabicyclo[3.2.0]hept-2-ene-2-carboxylic acid, 6-(1-hydroxyethyl)-3-[[5-[1-hydroxy-2-[[(2-propenyloxy)carbonyl]amino]ethyl]-1-[(2-propenyloxy)carbonyl]-3-pyrrolidinyl]thio]-4-methyl-7-oxo-, 2-propenyl ester, [4R-[3[3S*,5S*(R*)],4.alpha.,5.beta.,6.beta.(R*)]]- (9CI) (CA INDEX NAME)

L7 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 149812-49-7 CAPLUS
CN 1-Azabicyclo[3.2.0]hept-2-ene-2-carboxylic acid, 6-(1-hydroxyethyl)-3-[[5-[1-hydroxy-2-[methyl[[(4-nitrophenyl)methoxy]carbonyl]amino]ethyl]-1-[[(4-nitrophenyl)methoxy]carbonyl]-3-pyrrolidinyl]thio]-4-methyl-7-oxo-, (4-nitrophenyl)methyl ester, [4R-[3[3S*,5S*(S*)],4.alpha.,5.beta.,6.beta.(R*)]]- (9CI) (CA INDEX NAME)

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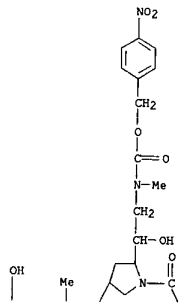
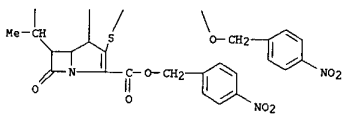


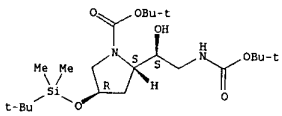
L7 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2002 ACS (Continued)

PAGE 2-A



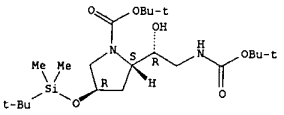
RN 149813-12-7 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 2-[2-[[(1,1-dimethylethoxy)carbonyl]amino]-1-hydroxyethyl]-4-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-, 1,1-dimethylethyl ester, [2S-[2.alpha.(R*),4.beta.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 149813-13-8 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 2-[2-[[(1,1-dimethylethoxy)carbonyl]amino]-1-hydroxyethyl]-4-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-, 1,1-dimethylethyl ester, [2S-[2.alpha.(S*),4.beta.]]- (9CI) (CA INDEX NAME)

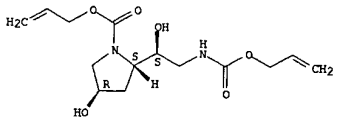
Absolute stereochemistry.



RN 149813-14-9 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 4-hydroxy-2-[1-hydroxy-2-[[(2-propenyloxy)carbonyl]amino]ethyl]-, 2-propenyl ester, [2S-[2.alpha.(R*),4.beta.]]- (9CI) (CA INDEX NAME)

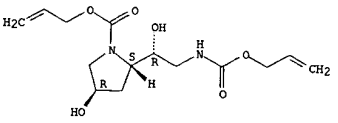
Absolute stereochemistry.

L7 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2002 ACS (Continued)



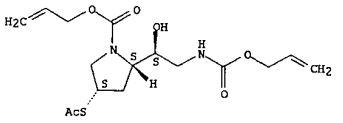
RN 149813-15-0 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 4-hydroxy-2-[1-hydroxy-2-[[(2-propenyloxy)carbonyl]amino]ethyl]-, 2-propenyl ester, [2S-[2.alpha.(S*),4.beta.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 149813-16-1 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 4-(acetylthio)-2-[1-hydroxy-2-[[(2-propenyloxy)carbonyl]amino]ethyl]-, 2-propenyl ester, [2S-[2.alpha.(R*),4.alpha.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

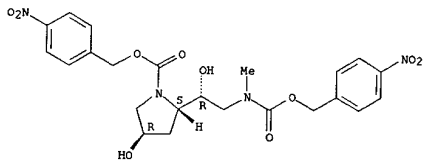


RN 149813-17-2 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 4-(acetylthio)-2-[1-hydroxy-2-[[(2-propenyloxy)carbonyl]amino]ethyl]-, 2-propenyl ester, [2S-[2.alpha.(S*),4.alpha.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

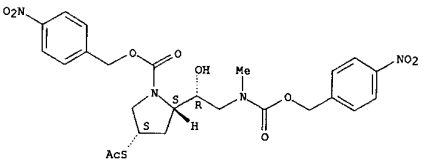
L7 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2002 ACS (Continued)

Absolute stereochemistry.

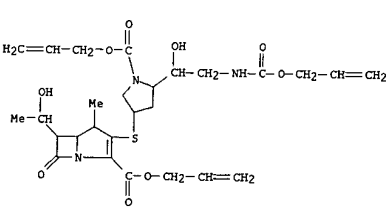


RN 149813-49-0 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 4-(acetylthio)-2-[1-hydroxy-2-[methyl[[(4-nitrophenyl)methoxy]carbonyl]amino]ethyl]-, (4-nitrophenyl)methyl ester, [2S-[2.alpha.(S*),4.alpha.]]- (9CI) (CA INDEX NAME)

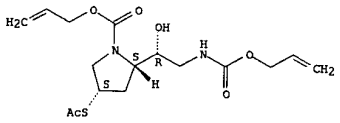
Absolute stereochemistry.



RN 149882-32-6 CAPLUS
CN 1-Azabicyclo[3.2.0]hept-2-ene-2-carboxylic acid, 6-(1-hydroxyethyl)-3-[[5-[1-hydroxy-2-[[(2-propenyloxy)carbonyl]amino]ethyl]-1-[(2-propenyloxy)carbonyl]-3-pyrrolidinyl]thio]-4-methyl-7-oxo-, 2-propenyl ester, [4R-[3[3S*,5S*(S*)],4.alpha.,5.beta.,6.beta.(R*)]]- (9CI) (CA INDEX NAME)

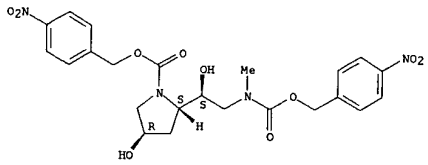


L7 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2002 ACS (Continued)



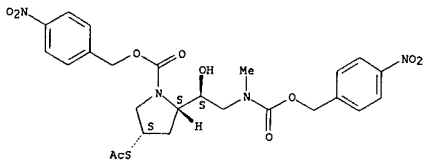
RN 149813-45-6 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 4-hydroxy-2-[1-hydroxy-2-[methyl[[(4-nitrophenyl)methoxy]carbonyl]amino]ethyl]-, (4-nitrophenyl)methyl ester, [2S-[2.alpha.(R*),4.beta.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 149813-46-7 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 4-(acetylthio)-2-[1-hydroxy-2-[methyl[[(4-nitrophenyl)methoxy]carbonyl]amino]ethyl]-, (4-nitrophenyl)methyl ester, [2S-[2.alpha.(R*),4.alpha.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 149813-48-9 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 4-hydroxy-2-[1-hydroxy-2-[methyl[[(4-nitrophenyl)methoxy]carbonyl]amino]ethyl]-, (4-nitrophenyl)methyl ester, [2S-[2.alpha.(S*),4.beta.]]- (9CI) (CA INDEX NAME)

L7 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2002 ACS (Continued)

RN 149882-34-8 CAPLUS
CN 1-Azabicyclo[3.2.0]hept-2-ene-2-carboxylic acid, 6-(1-hydroxyethyl)-3-[[5-[1-hydroxy-2-[methyl[[(4-nitrophenyl)methoxy]carbonyl]amino]ethyl]-1-[[(4-nitrophenyl)methoxy]carbonyl]-3-pyrrolidinyl]thio]-4-methyl-7-oxo-, (4-nitrophenyl)methyl ester, [4R-[3[3S*,5S*(R*)],4.alpha.,5.beta.,6.beta.(R*)]]- (9CI) (CA INDEX NAME)

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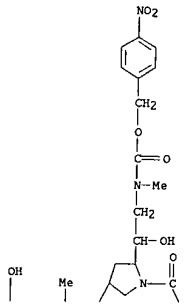

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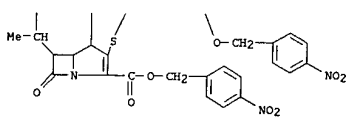


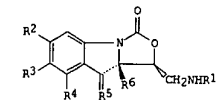
L7 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1992:21037 CAPLUS
DOCUMENT NUMBER: 116:21037
TITLE: Preparation of tricyclic [6.5.5]/[6.6.5]-fused oxazolidinone antibacterial agents
INVENTOR(S): Brickner, Steven Joseph
PATENT ASSIGNEE(S): Upjohn Co., USA
SOURCE: PCT Int. Appl., 61 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9107409	A1	19910530	WO 1990-US6220	19901102
W: AU, BB, BG, BR, CA, FI, HU, JP, KP, KR, LK, MC, MG, MW, NO, RO, SD, SU, US				
RW: AT, BE, BF, BJ, CF, CG, CH, CM, DE, DK, ES, FR, GA, GB, GR, IT, LU, ML, MR, NL, SE, SN, TD, TG				
CA 2066191	AA	19910518	CA 1990-2066191	19901102
AU 9067246	A1	19910613	AU 1990-67246	19901102
AU 630768	B2	19921105		
EP 500686	A1	19920902	EP 1990-916933	19901102
EP 500686	B1	19960124		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
JP 05501553	T2	19930325	JP 1990-515679	19901102
JP 2994459	B2	19991227		
AT 133417	E	19960215	AT 1990-916933	19901102
US 5231188	A	19930727	US 1992-882407	19920513
US 5247090	A	19930921	US 1993-6596	19930121

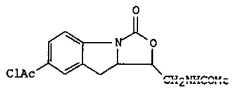
PRIORITY APPLN. INFO.: US 1989-438759 19891117
US 1990-553795 19900713
WO 1990-US6220 19901102
US 1992-882407 19920513

OTHER SOURCE(S): MARPAT 116:21037
GI

L7 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2002 ACS (Continued)



I

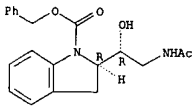


II

AB Title compds. for ex: I [R1 = H, (chloro)alkyl, cycloalkyl, alkenyl, (substituted) Ph, heterocyclyl, alkoxy, (substituted) amino, HOCH2, alkoxymethyl, alkylcarbonylmethyl; R2, R4 = H, HO, halo, alkylcarbonyloxy, PhCO2; R3 = H, halo, MeO, EtO, (substituted) alkylcarbonyl, PhCH2OCH2CO, N3CH2CO, HON:CMe, MeSO2, PhSO2, MeSO, PhSO, etc.; R5 = CO, (OH,H), (OH, Me), (H, alkyl, halo, double bond with R6), etc; R6 = H, null] and salts thereof, useful as antibacterial agents (no data), are prepd. AlCl3 in CH2Cl2 was cooled and ClCH2COCl was added dropwise followed by (1S,9aS)-N-[(9,9a-dihydro-3-oxo-1H,3H-oxazolo[3,4-a]indol-1-yl)methyl]acetamide (prepn. starting from Et indole-2-carboxylate given) in CH2Cl2, to give after work-up the (1S,9aS)-acetamide II.

IT **135829-09-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and acetylation of, in prepn. of tricyclic antibacterial)
RN 135829-09-3 CAPLUS
CN 1H-Indole-1-carboxylic acid, 2-[2-(acetylamino)-1-hydroxyethyl]-2,3-dihydro-, phenylmethyl ester, (R*,R*)- (9CI) (CA INDEX NAME)

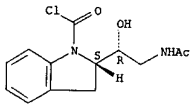
Relative stereochemistry.



IT **135854-81-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and cyclization of, in prepn. of antibacterial)
RN 135854-81-8 CAPLUS
CN 1H-Indole-1-carbonyl chloride, 2-[2-(acetylamino)-1-hydroxyethyl]-2,3-dihydro-, (R*,S*)- (9CI) (CA INDEX NAME)

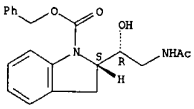
L7 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2002 ACS (Continued)

Relative stereochemistry.



IT **135829-13-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and redn. of, in prepn. of tricyclic antibacterial)
RN 135829-13-9 CAPLUS
CN 1H-Indole-1-carboxylic acid, 2-[2-(acetylamino)-1-hydroxyethyl]-2,3-dihydro-, phenylmethyl ester, (R*,S*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L7 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1989:595413 CAPLUS
DOCUMENT NUMBER: 111:195413
TITLE: Preparation of renin inhibitory peptides containing 1-amino-2-hydroxy-2-heterocyclyl moiety
INVENTOR(S): Gammill, Ronald B.; Sawyer, Tomi K.
PATENT ASSIGNEE(S): Upjohn Co., USA
SOURCE: PCT Int. Appl., 74 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 8903842	A1	19890505	WO 1988-US3274	19880926
W: AU, DK, FI, JP, KR, NO, US				
RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
AU 8825415	A1	19890523	AU 1988-25415	19880926
AU 619222	B2	19920123		
EP 395664	A1	19901107	EP 1988-909067	19880926
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
JP 03500772	T2	19910221	JP 1988-508337	19880926
DK 9000977	A	19900419	DK 1990-977	19900419
NO 9001771	A	19900420	NO 1990-1771	19900420
US 5132400	A	19920721	US 1990-511273	19900420

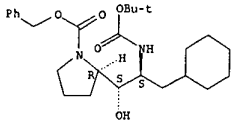
PRIORITY APPLN. INFO.: US 1987-111847 19871021
WO 1988-US3274 19880926

OTHER SOURCE(S): MARPAT 111:195413
GI For diagram(s), see printed CA Issue.
AB The title compds., contg. the moiety Q [* indicates asym. C; R90, R91 = H, alkyl, aralkyl, heterocyclylalkyl, cycloalkylalkyl, adamantyl; CR100R101 = heterocyclyl; R102 = H, alkyl, aralkyl, heterocyclylalkyl, cycloalkylalkyl, etc.; n = 0, 1-5 integer], useful as renin inhibitors (no data), are prepd. Peptide I [R = CH2OPh, R1 = CO2CH2Ph], prepd. in many steps from protected phenylalaninal II, pyrrolidineformamidine III, BOC-His(.pi.BOM)-OH (BOC = Me3CO2C, BOM = CH2OCH2Ph), and Ac-Trp[Nin-CHO]Pro-Phe-OH, was deprotected with HF-anisole to give I (R = R1 = H).

IT **123337-17-7P 123337-19-9P 123337-20-2P 123409-17-6P 123409-19-8P 123409-20-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction of, in prepn. of renin inhibiting peptides)
RN 123337-17-7 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 2-[3-cyclohexyl-2-[[(1,1-dimethylethoxy)carbonyl]amino]-1-hydroxypropyl]-, phenylmethyl ester, [2R-[2R*(1S*,2S*)]]- (9CI) (CA INDEX NAME)

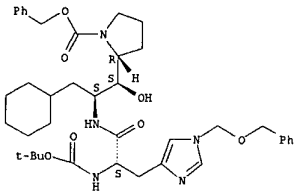
Absolute stereochemistry.

L7 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 123337-19-9 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 2-[3-cyclohexyl-2-[[2-[[(1,1-dimethylethoxy)carbonyl]amino]-1-oxo-3-[1-[(phenylmethoxy)methyl]-1H-imidazol-4-yl]propyl]amino]-1-hydroxypropyl]-, phenylmethyl ester, [2R-[2R*[1S*,2S*(S*)]]]- (9CI) (CA INDEX NAME)

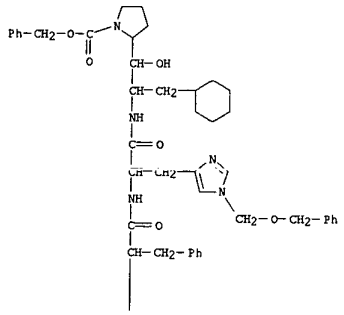
Absolute stereochemistry.



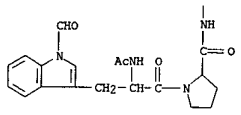
RN 123337-20-2 CAPLUS
CN L-Histidinamide, N-acetyl-1-formyl-L-tryptophyl-L-prolyl-L-phenylalanyl-N-[1-(cyclohexylmethyl)-2-hydroxy-2-[1-[(phenylmethoxy)carbonyl]-2-pyrrolidinyl]ethyl]-1-[(phenylmethoxy)methyl]-, [2R-[2R*(1S*,2S*)]]- (9CI) (CA INDEX NAME)

L7 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2002 ACS (Continued)

PAGE 1-A



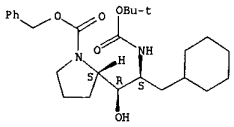
PAGE 2-A



RN 123409-17-6 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 2-[3-cyclohexyl-2-[[(1,1-dimethylethoxy)carbonyl]amino]-1-hydroxypropyl]-, phenylmethyl ester, [2S-[2R*(1S*,2R*)]]- (9CI) (CA INDEX NAME)

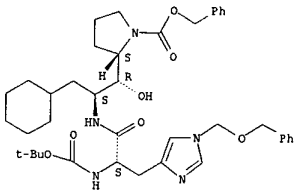
Absolute stereochemistry.

L7 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 123409-19-8 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 2-[3-cyclohexyl-2-[[2-[[(1,1-dimethylethoxy)carbonyl]amino]-1-oxo-3-[1-[(phenylmethoxy)methyl]-1H-imidazol-4-yl]propyl]amino]-1-hydroxypropyl]-, phenylmethyl ester, [2S-[2R*[1S*,2R*(R*)]]]- (9CI) (CA INDEX NAME)

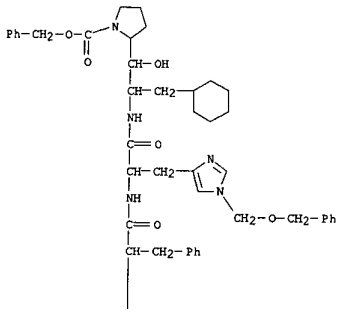
Absolute stereochemistry.



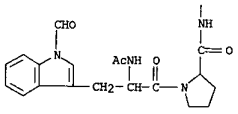
RN 123409-20-1 CAPLUS
CN L-Histidinamide, N-acetyl-1-formyl-L-tryptophyl-L-prolyl-L-phenylalanyl-N-[1-(cyclohexylmethyl)-2-hydroxy-2-[1-[(phenylmethoxy)carbonyl]-2-pyrrolidinyl]ethyl]-1-[(phenylmethoxy)methyl]-, [2S-[2R*(1R*,2S*)]]- (9CI) (CA INDEX NAME)

L7 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2002 ACS (Continued)

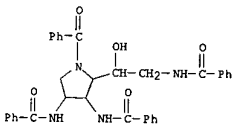
PAGE 1-A



PAGE 2-A



L7 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1974:413723 CAPLUS
DOCUMENT NUMBER: 81:13723
TITLE: Di- and polyamino sugars. XX. Synthesis of 2,3,4,6-tetraamino-2,3,4,6-tetradeoxy-D-glucose
AUTHOR(S): Meyer zu Reckendorf, Wolfgang; Wassiliadou-Micheli, Niobe
CORPORATE SOURCE: Inst. Pharm. Chem., Univ. Muenster, Muenster, Ger.
SOURCE: Chem. Ber. (1974), 107(4), 1188-94
CODEN: CHBEAM
DOCUMENT TYPE: Journal
LANGUAGE: German
GI For diagram(s), see printed CA Issue.
AB The mesylate I (R = MeSO3, R1 = NHAc) underwent inversion with AcONa in MeOCH2CH2OH to give II (R = OH), the mesylate II (R = MeSO3) of which was treated with NaN3 in Me2SO to give the diazide I (R = N3, R1 = NHAc) (III) of the desired sugar. Similar conversion succeeded with the azide I (R = MeSO3, R1 = N3), and the resulting tri-azide I (R = R1 = N3) was hydrogenated to give the amine, which with HCl gave the hydrochloride I.3HCl (R = R1 = NH2) (IV). Redn. and sapon. of III gave V.4HCl (R = R1 = NH2), which was also obtained from IV. Hydrogenation of the benzoyl and acetyl deriv. of V in H2O-MeOH gave VI (R = Bz or Ac), resp. Catalytic hydrogenation of V.4HCl (R = R1 = NH2) (Pd/C, pH 3) led probably to the pyrrolidine VII.4HCl [R = CH(OH)CH2NH2], from the mother liqs. of which a small amt. desired VI.4HCl (R = H) was obtained.
IT **52887-79-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 52887-79-3 CAPLUS
CN D-Glucitol, 2,3,6-tris(benzoylamino)-1,4-(benzoylimino)-1,2,3,4,6-pentadeoxy- (9CI) (CA INDEX NAME)



=> log y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	47.06	221.76

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-6.20	-6.20

STN INTERNATIONAL LOGOFF AT 10:15:54 ON 15 NOV 2002

Welcome to STN International! Enter x:x

LOGINID:ssspta1600rxa

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS 1		Web Page URLs for STN Seminar Schedule - N. America
NEWS 2	Apr 08	"Ask CAS" for self-help around the clock
NEWS 3	Apr 09	BEILSTEIN: Reload and Implementation of a New Subject Area
NEWS 4	Apr 09	ZDB will be removed from STN
NEWS 5	Apr 19	US Patent Applications available in IFICDB, IFIPAT, and IFIUDB
NEWS 6	Apr 22	Records from IP.com available in CAPLUS, HCAPLUS, and ZCAPLUS
NEWS 7	Apr 22	BIOSIS Gene Names now available in TOXCENTER
NEWS 8	Apr 22	Federal Research in Progress (FEDRIP) now available
NEWS 9	Jun 03	New e-mail delivery for search results now available
NEWS 10	Jun 10	MEDLINE Reload
NEWS 11	Jun 10	PCTFULL has been reloaded
NEWS 12	Jul 02	FOREGE no longer contains STANDARDS file segment
NEWS 13	Jul 22	USAN to be reloaded July 28, 2002; saved answer sets no longer valid
NEWS 14	Jul 29	Enhanced polymer searching in REGISTRY
NEWS 15	Jul 30	NETFIRST to be removed from STN
NEWS 16	Aug 08	CANCERLIT reload
NEWS 17	Aug 08	PHARMAMarketLetter(PHARMAML) - new on STN
NEWS 18	Aug 08	NTIS has been reloaded and enhanced
NEWS 19	Aug 19	Aquatic Toxicity Information Retrieval (AQUIRE) now available on STN
NEWS 20	Aug 19	IFIPAT, IFICDB, and IFIUDB have been reloaded
NEWS 21	Aug 19	The MEDLINE file segment of TOXCENTER has been reloaded
NEWS 22	Aug 26	Sequence searching in REGISTRY enhanced
NEWS 23	Sep 03	JAPIO has been reloaded and enhanced
NEWS 24	Sep 16	Experimental properties added to the REGISTRY file
NEWS 25	Sep 16	Indexing added to some pre-1967 records in CA/CAPLUS
NEWS 26	Sep 16	CA Section Thesaurus available in CAPLUS and CA
NEWS 27	Oct 01	CASREACT Enriched with Reactions from 1907 to 1985
NEWS 28	Oct 21	EVENTLINE has been reloaded
NEWS 29	Oct 24	BEILSTEIN adds new search fields
NEWS 30	Oct 24	Nutraceuticals International (NUTRACEUT) now available on STN
NEWS 31	Oct 25	MEDLINE SDI run of October 8, 2002

NEWS EXPRESS	October 14 CURRENT WINDOWS VERSION IS V6.01, CURRENT MACINTOSH VERSION IS V6.0a(ENG) AND V6.0Ja(JP), AND CURRENT DISCOVER FILE IS DATED 01 OCTOBER 2002
NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS INTER	General Internet Information
NEWS LOGIN	Welcome Banner and News Items
NEWS PHONE	Direct Dial and Telecommunication Network Access to STN
NEWS WWW	CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

Examiner Anderson 703-605-1157

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* * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 10:33:12 ON 15 NOV 2002

=> fil reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 10:33:20 ON 15 NOV 2002
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 14 NOV 2002 HIGHEST RN 473658-67-2
DICTIONARY FILE UPDATES: 14 NOV 2002 HIGHEST RN 473658-67-2

TSCA INFORMATION NOW CURRENT THROUGH MAY 20, 2002

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

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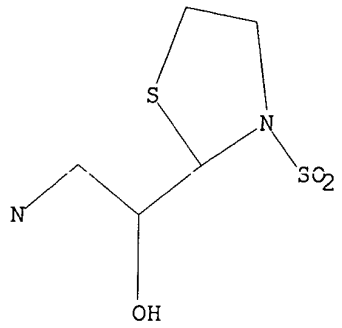
L1 STRUCTURE UPLOADED

=> d
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

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=> s l1
SAMPLE SEARCH INITIATED 10:33:42 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -     35 TO ITERATE

100.0% PROCESSED      35 ITERATIONS                                 0 ANSWERS
SEARCH TIME: 00.00.02

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:          346 TO     1054
PROJECTED ANSWERS:               0 TO        0

L2            0 SEA SSS SAM L1

=> s l1 full
FULL SEARCH INITIATED 10:33:48 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -    641 TO ITERATE

100.0% PROCESSED     641 ITERATIONS                                 0 ANSWERS
SEARCH TIME: 00.00.04

L3            0 SEA SSS FUL L1

=>
Uploading 10007342b.str

L4      STRUCTURE UPLOADED

=> de
DE IS NOT A RECOGNIZED COMMAND
The previous command name entered was not recognized by the system.
For a list of commands available to you in the current file, enter
"HELP COMMANDS" at an arrow prompt (=>).

=> d
L4 HAS NO ANSWERS
L4              STR
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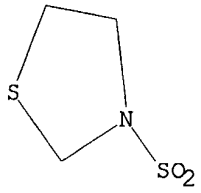

Structure attributes must be viewed using STN Express query preparation.

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=> s l4
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SAMPLE SCREEN SEARCH COMPLETED -    153 TO ITERATE

100.0% PROCESSED     153 ITERATIONS                          45 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:         2318 TO     3802
PROJECTED ANSWERS:             498 TO     1302

L5            45 SEA SSS SAM L4

=> s l4 full
FULL SEARCH INITIATED 10:35:41 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -   3436 TO ITERATE

100.0% PROCESSED    3436 ITERATIONS                        1129 ANSWERS
SEARCH TIME: 00.00.02

L6          1129 SEA SSS FUL L4

=>
Uploading 10007342b.str

L7       STRUCTURE UPLOADED

=> d
L7 HAS NO ANSWERS
L7                STR
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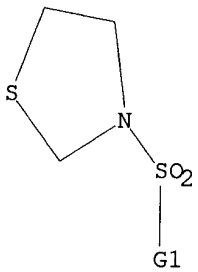

G1 Cy,Ak

Examiner Anderson 703-605-1157

Structure attributes must be viewed using STN Express query preparation.

=> s l7 subset=l6 full
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FULL SUBSET SCREEN SEARCH COMPLETED - 1129 TO ITERATE

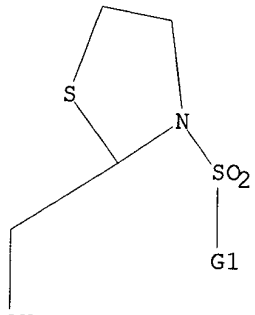
100.0% PROCESSED 1129 ITERATIONS 1113 ANSWERS
SEARCH TIME: 00.00.01

L8 1113 SEA SUB=L6 SSS FUL L7

=>
Uploading 10007342b.str

L9 STRUCTURE UPLOADED

=> d
L9 HAS NO ANSWERS
L9 STR



G1 Cy,Ak

Structure attributes must be viewed using STN Express query preparation.

=> s l9 subset=l8 full
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FULL SUBSET SCREEN SEARCH COMPLETED - 39 TO ITERATE

100.0% PROCESSED 39 ITERATIONS 27 ANSWERS
SEARCH TIME: 00.00.01

L10 27 SEA SUB=L8 SSS FUL L9

=> fil caplus
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	348.94	349.15

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Examiner Anderson 703-605-1157

FILE COVERS 1907 - 15 Nov 2002 VOL 137 ISS 21
FILE LAST UPDATED: 14 Nov 2002 (20021114/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

CAS roles have been modified effective December 16, 2001. Please check your SDI profiles to see if they need to be revised. For information on CAS roles, enter HELP ROLES at an arrow prompt or use the CAS Roles thesaurus (/RL field) in this file.

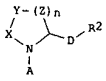
=> s l10
L11 5 L10

=> d ibib abs hitstr 1-5

L11 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:784085 CAPLUS
DOCUMENT NUMBER: 132:18814
TITLE: Aza-heterocyclic compounds used to treat neurological disorders and hair loss
INVENTOR(S): Hamilton, Gregory S.; Norman, Mark H.; Wu, Yong-Qian; Li, Jia-He; Steiner, Joseph P.
PATENT ASSIGNEE(S): Guilford Pharmaceuticals Inc., USA; Amgen, Inc.
SOURCE: PCT Int. Appl., 106 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9962888	A1	19991209	WO 1998-US25574	19981203
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2333964	AA	19991209	CA 1998-2333964	19981203
AU 9917082	A1	19991220	AU 1999-17082	19981203
ZA 9811062	A	19991220	ZA 1998-11062	19981203
BR 9815919	A	20010220	BR 1998-15919	19981203
EP 1102756	A1	20010530	EP 1998-961867	19981203
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002517383	T2	20020618	JP 2000-552100	19981203
NO 2000006117	A	20010201	NO 2000-6117	20001201
US 2002045641	A1	20020418	US 2001-776904	20010206

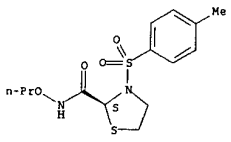
PRIORITY APPLN. INFO.: US 1998-87843P P 19980603
US 1998-204238 A3 19981203
WO 1998-US25574 W 19981203
OTHER SOURCE(S): MARPAT 132:18814
GI



I

AB The invention is directed to carboxylic acids and isosteres of heterocyclic ring compds. I [X, Y, Z = C, O, S, N (provided that not all X, Y, Z are C); n = 1-3; A = R1C(O)C(O), R1C(O)C(S), R1SO2, (E)(R1)NC(O); R1, E = H, C1-9 (un)branched alkyl or alkenyl, aryl, etc.; D = C1-10 (un)branched alkyl, ethylene, butylene; R2 = carboxylic acid or carboxylic

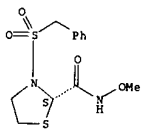
L11 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2002 ACS (Continued)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

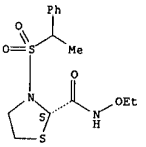
L11 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2002 ACS (Continued)
acid isostere] which have multiple heteroatoms within the heterocyclic ring, derivs. contg. N-linked diketos, sulfonamides, ureas and carbamates attached thereto, their prepn. and use for treating neurol. disorders including phys. damaged nerves and neurodegenerative diseases, as well as for treating alopecia and promoting hair growth.
IT **251953-45-4 251953-46-5 251953-47-6**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(heterocyclic compds. for treatment of neurol. disorder or hair loss)
RN 251953-45-4 CAPLUS
CN 2-Thiazolidinecarboxamide, N-methoxy-3-[(phenylmethyl)sulfonyl]-, (2S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 251953-46-5 CAPLUS
CN 2-Thiazolidinecarboxamide, N-ethoxy-3-[(1-phenylethyl)sulfonyl]-, (2S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 251953-47-6 CAPLUS
CN 2-Thiazolidinecarboxamide, 3-[(4-methylphenyl)sulfonyl]-N-propoxy-, (2S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:113666 CAPLUS
DOCUMENT NUMBER: 130:182768
TITLE: Preparation of N-sulfonyl O-carbamoyltyrosine dipeptide derivatives and analogs as inhibitors of leukocyte adhesion mediated by VLA-4
INVENTOR(S): Thorsett, Eugene D.; Semko, Christopher M.; Sarantakis, Dimitrios; Pleiss, Michael A.; Kreft, Anthony; Konradi, Andrei W.; Grant, Francine S.; Dressen, Darren B.; Ashwell, Susan; Baudy, Reinhardt Bernhard; Lombardo, Louis John
PATENT ASSIGNEE(S): Athena Neurosciences, Inc., USA; American Home Products Corporation
SOURCE: PCT Int. Appl., 386 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9906390	A1	19990211	WO 1998-US15324	19980731
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
ZA 9806830	A	20000502	ZA 1998-6830	19980730
AU 9885849	A1	19990222	AU 1998-85849	19980731
AU 740681	B2	20011108		
EP 1000051	A1	20000517	EP 1998-937052	19980731
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9811598	A	20001003	BR 1998-11598	19980731
JP 2001512114	T2	20010821	JP 2000-505149	19980731
US 2002039745	A1	20020404	US 1998-127364	19980731

PRIORITY APPLN. INFO.: US 1997-904424 A1 19970731
US 1997-54453P P 19970801
WO 1998-US15324 W 19980731
OTHER SOURCE(S): MARPAT 130:182768
AB Disclosed are title compds. R1SO2NR2CHR3QCHR5COR6 [R1 = (un)substituted alkyl, (un)substituted aryl, (un)substituted cycloalkyl, (un)substituted heterocyclyl; R2 = H, any group R1; R1R2 may form (un)substituted heterocyclic ring; R3 = H, any group R1; R2R3 may form (un)substituted heterocyclic ring; R5 = (CH2)x-Ar-R5'; R5' = OZNR8R8', OZR12; R8, R8' = independently H, (un)substituted alkyl, (un)substituted cycloalkyl, (un)substituted heterocyclyl; R12 = (un)substituted heterocyclyl; Z = CO, SO2; Ar = (un)substituted aryl or heteroaryl; x = 1-4; Q = C(X)NR7; R7 = H, alkyl; X = O, S; R6 = NH2, (un)substituted alkoxy, (un)substituted cycloalkoxy, succinimidyloxy, adamantylamino, .beta.-cholest-5-en-3-yloxy, NHOY, NH(CH2)pCO2Y, OCH2NR9R10; Y = H, (un)substituted alkyl, (un)substituted aryl; p = 1-8; R9 = (un)substituted CO-aryl; R10 = H, CH2CO2R11, NHSO2Z'; R11 = alkyl; Z' = (un)substituted alkyl, (un)substituted cycloalkyl, (un)substituted aryl, (un)substituted heteroaryl, (un)substituted heterocyclyl; and pharmaceutically acceptable salts thereof, with provisos] which bind VLA-4 (also referred to as

L11 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2002 ACS (Continued)

integrin .alpha.4.beta.1 and CD49d/CD29). Certain of these compds. also inhibit leukocyte adhesion and, in particular, leukocyte adhesion mediated by VLA-4. Such compds. are useful in the treatment of inflammatory diseases in a mammalian patient, e.g., human, wherein the disease may be, for example, asthma, Alzheimer's disease, atherosclerosis, AIDS dementia, diabetes, inflammatory bowel disease, rheumatoid arthritis, tissue transplantation, tumor metastasis and myocardial ischemia. The compds. can also be administered for the treatment of inflammatory brain diseases such as multiple sclerosis. Thus, carbamoylation of Ts-Pro-Tyr-OEt (Ts = tosyl) with Me2NCOCl in the presence of Et3N and DMAP gave 99% desired title compd. Ts-Pro-Tyr(CONMe2)-OEt (I). Sapon. of I gave the corresponding free acid Ts-Pro-Tyr(CONMe2)-OH. All prepd. compds. have IC50 .ltoreq. 15 .mu.M in a VLA-4 binding assay.

IT **220547-47-7P 220547-48-8P 220547-51-3P 220547-53-5P 220547-56-8P 220547-64-8P**

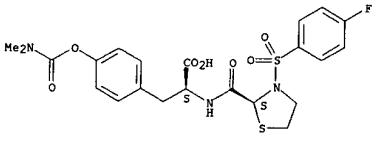
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of N-sulfonyl O-carbamoyltyrosine dipeptide derivs. and analogs as inhibitors of leukocyte adhesion mediated by VLA-4)

RN 220547-47-7 CAPLUS

CN L-Tyrosine, N-[[(2S)-3-[(4-fluorophenyl)sulfonyl]-2-thiazolidinyl]carbonyl]-, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

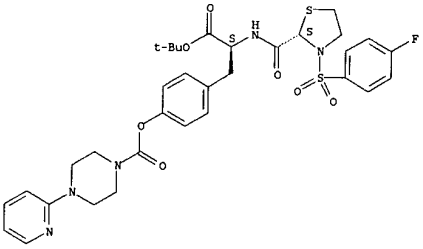


RN 220547-48-8 CAPLUS

CN L-Tyrosine, N-[[(2S)-3-[(4-fluorophenyl)sulfonyl]-2-thiazolidinyl]carbonyl]-, 1,1-dimethylethyl ester, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

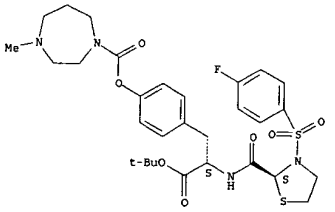
L11 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 220547-56-8 CAPLUS

CN L-Tyrosine, N-[[(2S)-3-[(4-fluorophenyl)sulfonyl]-2-thiazolidinyl]carbonyl]-, 1,1-dimethylethyl ester, hexahydro-4-methyl-1H-1,4-diazepine-1-carboxylate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

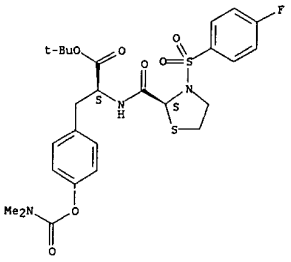


RN 220547-64-8 CAPLUS

CN L-Tyrosine, N-[[(2S)-3-[(4-fluorophenyl)sulfonyl]-2-thiazolidinyl]carbonyl]-, hexahydro-4-methyl-1H-1,4-diazepine-1-carboxylate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

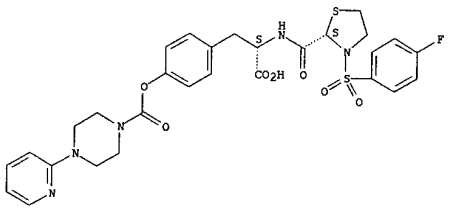
L11 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 220547-51-3 CAPLUS

CN L-Tyrosine, N-[[(2S)-3-[(4-fluorophenyl)sulfonyl]-2-thiazolidinyl]carbonyl]-, 4-(2-pyridinyl)-1-piperazinecarboxylate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

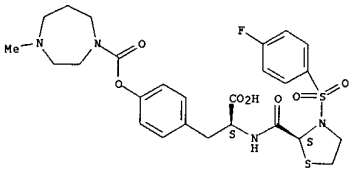


RN 220547-53-5 CAPLUS

CN L-Tyrosine, N-[[(2S)-3-[(4-fluorophenyl)sulfonyl]-2-thiazolidinyl]carbonyl]-, 1,1-dimethylethyl ester, 4-(2-pyridinyl)-1-piperazinecarboxylate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2002 ACS (Continued)



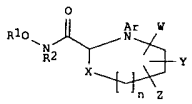
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1998:163570 CAPLUS
DOCUMENT NUMBER: 128:204898
TITLE: Prepn. of 1,3-diheterocyclic metalloprotease inhibitors
INVENTOR(S): Pikul, Stanislaw; McDow-Dunham, Kelly Lynn; Almstead, Neil Gregory; De, Biswanath; Natchus, Michael George; Taiwo, Yetunde Olabisi
PATENT ASSIGNEE(S): Procter & Gamble Company, USA
SOURCE: PCT Int. Appl., 49 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9808822	A1	19980305	WO 1997-US14550	19970822
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9739858	A1	19980319	AU 1997-39858	19970822
AU 727820	B2	20001221		
EP 927168	A1	19990707	EP 1997-937317	19970822
EP 927168	B1	20021106		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
CN 1228771	A	19990915	CN 1997-197545	19970822
BR 9713186	A	19991103	BR 1997-13186	19970822
JP 2000516251	T2	20001205	JP 1998-511710	19970822
US 6150370	A	20001121	US 1997-918419	19970826
ZA 9707693	A	19980223	ZA 1997-7693	19970827
NO 9900838	A	19990428	NO 1999-838	19990222
US 6465474	B1	20021015	US 2000-652114	20000829
US 6469000	B1	20021022	US 2000-649826	20000829

PRIORITY APPLN. INFO.: US 1996-24830P P 19960828
WO 1997-US14550 W 19970822
US 1997-918419 A1 19970826

OTHER SOURCE(S): MARPAT 128:204898
GI



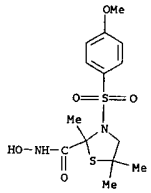
I

L11 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2002 ACS (Continued)

AB Prepn. is reported for (I; R1 = H; R2 = H, alkyl, acyl; Ar = COR3 (R3 = alkoxy, aryloxy, heteroaryloxy, etc.), SO2R4 (R4 = alkyl, heteroalkyl, aryl, etc.); X = O, S, SO, SO2, NR5 (R5 = H, alkyl, heteroalkyl, etc.); W = H, alkyl, heterocycle, etc.; Y = H, OH, SR10 (R10 = H, alkyl, aryl, heteroaryl); Z = nil, spiro moiety or oxo group substituted on heterocyclic ring; n = 1-4) or an optical isomer, diastereomer or enantiomer thereof, or a pharmaceutically-acceptable salt, or biohydrolyzable amide, ester, or imide thereof which are useful as inhibitors of metalloproteases. Thus, condensation of C(CH2NH2)2Me2 with p-MeO-C6H4SO2Cl followed by cyclocondensation with HC(O)CO2Me and amidation with KNH(OH) gives N-hydroxy-1,3-di-[(4-methoxyphenyl)sulfonyl]-5,5-dimethylhexahydropyrimidine-2-carboxamide. Also disclosed are pharmaceutical compns. and methods of treating diseases, disorders and conditions characterized by metalloprotease activity using these compds. or the pharmaceutical compns. contg. them. Examples are given for treatment of rheumatoid arthritis, osteoarthritis, corneal abrasion and ulceration, chem. burns, asthma, premetastatic tumor, periodontitis, etc. Typically, for a human adult weighing .apprxeq.70 kg., 5 - 3000 mg. more preferably 5 - 1000 mg. and more preferably 10 - 100 mg. of I are administered per day in pharmaceutical compns. for systemic administration.

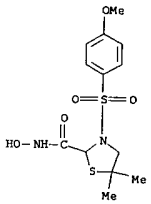
IT **203915-75-7P 203915-76-8P 203915-77-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 1,3-diheterocyclic metalloprotease inhibitors and their pharmaceutical compns.)

RN 203915-75-7 CAPLUS
CN 2-Thiazolidinecarboxamide, N-hydroxy-3-[(4-methoxyphenyl)sulfonyl]-2,5,5-trimethyl- (9CI) (CA INDEX NAME)

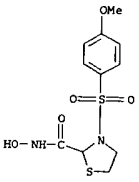


RN 203915-76-8 CAPLUS
CN 2-Thiazolidinecarboxamide, N-hydroxy-3-[(4-methoxyphenyl)sulfonyl]-5,5-dimethyl- (9CI) (CA INDEX NAME)

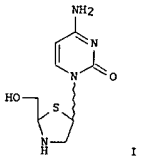
L11 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 203915-77-9 CAPLUS
CN 2-Thiazolidinecarboxamide, N-hydroxy-3-[(4-methoxyphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



L11 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1996:620423 CAPLUS
DOCUMENT NUMBER: 126:8478
TITLE: Synthesis, structural studies and antiretroviral evaluation of 3'-aza-4'-thia-2',3'-dideoxynucleosides (thiazolidine-nucleoside analogs)
AUTHOR(S): Faury, Philippe; Camplo, Michel; Mourier, Nicolas; Trabaud, Carole; Niddam, Valerie; Kraus, Jean-Louis
CORPORATE SOURCE: Faculte Sciences Luminy, Unite INSERM, Marseille, 13288, Fr.
SOURCE: Bulletin de la Societe Chimique de France (1996), 133(6), 553-561
CODEN: BSCFAS; ISSN: 0037-8968
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

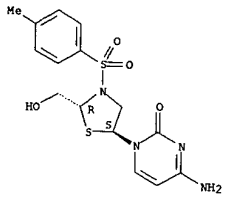
AB Starting with the concept that heterocyclic pseudo-ribose rings could confer potent antiviral activity to nucleoside analogs, we synthesized 3'-aza-4'-thia-2',3'-dideoxynucleosides, e.g. I. The synthesis of such analogs required the prepn. of N-protected-1,3-thiazolidines adequately disubstituted in 2- and 5-positions. Introduction of nucleobases on these sugar-like thiazolidines was achieved through coupling reactions using tin(IV) chloride as a catalyst. The N-protecting group (N-fluoroenylmethoxycarbonyl, N-acetyl and N-tosyl) of the thiazolidine ring is crucial for final deprotection of 3'-aza-4'-thia-2',3'-dideoxynucleosides. None of these compds. were found active on HIV-infected MT-4 cells.

IT **183477-89-6P 183477-91-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and structural studies and antiretroviral evaluation of thiazolidine nucleoside analogs)

RN 183477-89-6 CAPLUS
CN 2-Thiazolidinemethanol, 5-(4-amino-2-oxo-1(2H)-pyrimidinyl)-3-[(4-methylphenyl)sulfonyl]-, trans- (9CI) (CA INDEX NAME)

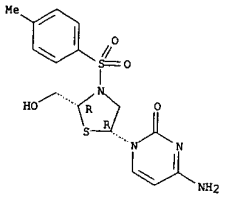
Relative stereochemistry.

L11 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 183477-91-0 CAPLUS
CN 2-Thiazolidinemethanol, 5-(4-amino-2-oxo-1(2H)-pyrimidinyl)-3-[(4-methylphenyl)sulfonyl]-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



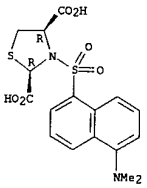
L11 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1992:422613 CAPLUS
DOCUMENT NUMBER: 117:22613
TITLE: Endogenous alkaloids in man. 12. Determination of 1,3-thiazolidinecarboxylic acids in urine by reversed-phase HPLC after fluorescence labeling with dansyl chloride
AUTHOR(S): Bringmann, G.; Feineis, D.; Hesselmann, Ch.
CORPORATE SOURCE: Inst. Org. Chem., Univ. Wuerzburg, Wuerzburg, D-8700, Germany
SOURCE: Analytical Letters (1992), 25(3), 497-512
CODEN: ANALBP; ISSN: 0003-2719
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A sensitive and reliable HPLC assay for the detn. of highly polar alkaloid-type heterocycles and their precursors, L-cysteine, cysteamine, and D(-)-penicillamine, was developed, based on the prechromatog. derivatization of secondary amines with dansyl chloride to form yellow fluorescent compds. Series of tests, monitoring diastereomeric 5,5-dimethyl-thiazolidine-2(R,S)-4(S)-dicarboxylic acids after dansylation in matrix-free soln. and in urine, resp., using an external std. method, are presented. The detection limit for urine samples was detd. to be 2-3 nmol/mL.

IT **141985-35-5 141985-36-6 141985-37-7**
RL: PRP (Properties)
(spectra of)

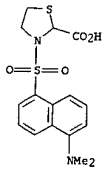
RN 141985-35-5 CAPLUS
CN 2,4-Thiazolidinedicarboxylic acid, 3-[[5-(dimethylamino)-1-naphthalenyl]sulfonyl]-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



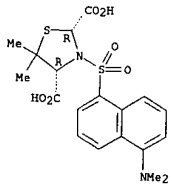
RN 141985-36-6 CAPLUS
CN 2-Thiazolidinecarboxylic acid, 3-[[5-(dimethylamino)-1-naphthalenyl]sulfonyl]- (9CI) (CA INDEX NAME)

L11 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 141985-37-7 CAPLUS
CN 2,4-Thiazolidinedicarboxylic acid, 3-[[5-(dimethylamino)-1-naphthalenyl]sulfonyl]-5,5-dimethyl-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



=> log y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	23.93	373.08

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-3.10	-3.10

STN INTERNATIONAL LOGOFF AT 10:41:38 ON 15 NOV 2002